STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 198717

TO: ERICH A LEESER
Location: rem
Art Unit: 1624
Tuesday, August 15, 2006
Case Serial Number: 10/811,428

From: Saloni Sharma
Location: Biotech-Chem Library
REM-1A64
Phone: (571)272-8601

saloni.sharma@uspto.gov

Search Notes

Examiner LEESER,

See attached results.

If you have any questions about this search feel free to contact me at any time.

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Saloni Sharma
Technical Information Specialist
STIC Biotech/Chem Library
(571)272-8601

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact *the searcher or contact:*

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art* ***found****, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s).
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art* ***not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC Biotech-Chem Library, Remsen Bldg.

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=> d his nofile

     (FILE 'HOME' ENTERED AT 08:36:17 ON 15 AUG 2006)

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L4             14 SEA SSS SAM L3
                  D QUE L3
L5           2753 SEA SSS FUL L3
                  SAVE L5 LEESER428/A TEMP

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L7              1 SEA ABB=ON  PLU=ON  US2004-811428/AP
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DICTIONARY FILE UPDATES:  14 AUG 2006  HIGHEST RN 901253-54-1

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FILE LAST UPDATED: 14 Aug 2006  (20060814/ED)

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 substance identification.

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=> d ibib abs 126 tot

L26 ANSWER 1 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:778003 HCAPLUS
TITLE: Transforming growth factor-β receptor type 1 (TGFβRI) kinase activity but not p38 activation is required for TGFβRI-induced myofibroblast differentiation and profibrotic gene expression
AUTHOR(S): Kapoun, Ann M.; Gaspar, Nicholas J.; Wang, Ying; Damm, Debby; Liu, Yu-Wang; O'Young, Gilbert; Quon, Diana; Lam, Andrew; Munson, Kimberly; Tran, Thomas-Toan; Ma, Jing Ying; ***Murphy, Alison***; ***Dugar, Sundeep***; ***Chakravarty, Sarvajit***; Protter, Andrew A.; Wen, Fu-Qiang; Liu, Xiangde; Rennard, Stephen I.; Higgins, Linda Slanec
CORPORATE SOURCE: Scios Inc., Fremont, CA, USA
SOURCE: Molecular Pharmacology (2006), 70(2), 518-531
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Transforming growth factor-β (TGFβ) is a major mediator of normal wound healing and of pathol. conditions involving fibrosis, such as idiopathic pulmonary fibrosis. TGFβ also stimulates the differentiation of myofibroblasts, a hallmark of fibrotic diseases. In this study, we examined the underlying processes of TGFβRI kinase activity in myofibroblast conversion of human lung fibroblasts using specific inhibitors of TGFβRI (SD-208) and p38 mitogen-activated kinase (SD-282). We demonstrated that SD-208, but not SD-282, inhibited TGFβ-induced SMAD signaling, myofibroblast transformation, and collagen gel contraction. Furthermore, we extended our findings to a rat bleomycin-induced lung fibrosis model, demonstrating a significant decrease in the number of myofibroblasts at fibroblastic foci in animals treated with SD-208 but not those treated with SD-282. SD-208 also reduced collagen deposition in this in vivo model. Microarray anal. of human lung fibroblasts identified mol. fingerprints of these processes and showed that SD-208 had global effects on reversing TGFβ-induced genes involved in fibrosis, inflammation, cell proliferation, cytoskeletal organization, and apoptosis. These studies also revealed that although the p38 pathway may not be needed for appearance or disappearance of the myofibroblast, it can mediate a subset of inflammatory and fibrogenic events of the myofibroblast during the process of tissue repair and fibrosis. Our findings suggest that inhibitors such as SD-208 may be therapeutically useful in human interstitial lung diseases and pulmonary fibrosis.

L26 ANSWER 2 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:710531 HCAPLUS
TITLE: Inhibition of Growth and Metastasis of Mouse Mammary Carcinoma by Selective Inhibitor of Transforming Growth Factor-{szligbeta} Type I Receptor Kinase In vivo
AUTHOR(S): Ge, Rongrong; Rajeev, Vaishali; Ray, Partha; Lattime, Edmund; Rittling, Susan; Medicherla, Satya; Protter,

Andy; ***Murphy, Alison***; Chakravarty, Jit; ***Dugar, Sundeep***; Schreiner, George; Barnard, Nicola; Reiss, Michael
CORPORATE SOURCE: Departments of Internal Medicine and Surgery, The Cancer Institute of New Jersey, and Department of Pathology, University of Medicine and Dentistry of New Jersey-Robert Wood Johnson Medical School, New Brunswick, NJ, 08903, USA
SOURCE: Clinical Cancer Research (2006), 12(14, Pt. 1), 4315-4330
CODEN: CCREF4; ISSN: 1078-0432
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB PURPOSE: Transforming growth factor-{szligbeta} (TGF-{szligbeta}) suppresses tumor development by inhibiting cellular proliferation, inducing differentiation and apoptosis, and maintaining genomic integrity. However, once tumor cells escape from the tumor-suppressive effects of TGF-{szligbeta}, they often constitutively overexpress and activate TGF-{szligbeta}, which may promote tumor progression by enhancing invasion, metastasis, and angiogenesis and by suppressing antitumor immunity. The purpose of this study was to test this hypothesis using TGF-{szligbeta} pathway antagonists. Exptl. Design: We examined the effects of selective TGF-{szligbeta} type I receptor kinase inhibitors, SD-093 and SD-208, on two murine mammary carcinoma cell lines (R3T and 4T1) in vitro and in vivo. RESULTS: Both agents blocked TGF-{szligbeta}-induced phosphorylation of the receptor-associated Smads, Smad2 and Smad3, in a dose-dependent manner, with IC50 between 20 and 80 nmol/L. TGF-{szligbeta} failed to inhibit growth of these cell lines, but stimulated epithelial-to-mesenchymal transdifferentiation, migration, and invasiveness into Matrigel in vitro. These effects were inhibited by SD-093, indicating that these processes are partly driven by TGF-{szligbeta}. Treatment of syngeneic R3T or 4T1 tumor-bearing mice with orally given SD-208 inhibited primary tumor growth as well as the number and size of metastases. In contrast, SD-208 failed to inhibit R3T tumor growth or metastasis in athymic nude mice. Moreover, in vitro anti-4T1 cell cytotoxic T-cell responses of splenocytes from drug-treated animals were enhanced compared with cells from control animals. In addition, SD-208 treatment resulted in a decrease in tumor angiogenesis. CONCLUSION: TGF-{szligbeta} type I receptor kinase inhibitors hold promise as novel therapeutic agents for metastatic breast cancer.
REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:658534 HCAPLUS
DOCUMENT NUMBER: 145:137476
TITLE: A selective p38α mitogen-activated protein kinase inhibitor reverses cartilage and bone destruction in mice with collagen-induced arthritis
AUTHOR(S): Medicherla, Satyanarayana; Ma, Jing Ying; Mangadu, Ruban; Jiang, Yebin; Zhao, Jenny J.; Almirez, Ramona; Kerr, Irene; Stebbins, Elizabeth G.; O'Young, Gilbert; Kapoun, Ann M.; Luedtke, Gregory; ***Chakravarty, Sarvajit***; ***Dugar, Sundeep***; Genant, Harry K.; Protter, Andrew A.
CORPORATE SOURCE: Scios Inc., Fremont, CA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2006), 318(1), 132-141

CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Destruction of cartilage and bone is a poorly managed hallmark of human rheumatoid arthritis (RA). P38 Mitogen-activated protein kinase (MAPK) has been shown to regulate key proinflammatory pathways in RA, including tumor necrosis factor α, interleukin (IL)-1β, and cyclooxygenase-2, as well as the process of osteoclast differentiation. Therefore, we evaluated whether a p38α MAPK inhibitor, indole-5-carboxamide (SD-282), could modulate cartilage and bone destruction in a mouse model of RA induced with bovine type II collagen [collagen-induced arthritis (CIA)]. In mice with early disease, SD-282 treatment significantly improved clin. severity scores, reduced bone and cartilage loss, and reduced mRNA levels of proinflammatory genes in paw tissue, including IL-1β, IL-6, and cyclooxygenase-2. Notably, SD-282 treatment of mice with advanced disease resulted in significant improvement in clin. severity scoring and paw swelling, a reversal in bone and cartilage destruction as assessed by histol., bone volume fraction and thickness, and three-dimensional image anal. These changes were accompanied by reduced osteoclast number and lowered levels of serum cartilage oligomeric matrix protein, a marker of cartilage breakdown. Thus, in a model of exptl. arthritis associated with significant osteolysis, p38α MAPK inhibition not only attenuates disease progression but also reverses cartilage and bone destruction in mice with advanced CIA disease.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 4 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:488573 HCAPLUS

TITLE: Inhibition of p38α MAPK enhances proteasome inhibitor-induced apoptosis of myeloma cells by modulating Hsp27, Bcl-XL, Mcl-1 and p53 levels in vitro and inhibits tumor growth in vivo

AUTHOR(S): Navas, T. A.; Nguyen, A. N.; Hideshima, T.; Reddy, M.; Ma, J. Y.; Haghnazari, E.; Henson, M.; Stebbins, E. G.; Kerr, I.; O'Young, G.; Kapoun, A. M.; ***Chakravarty, S.***; Mavunkel, B.; Perumattam, J.; Luedtke, G.; ***Dugar, S.***; Medicherla, S.; Protter, A. A.; Schreiner, G. F.; Anderson, K. C.; Higgins, L. S.
CORPORATE SOURCE: Scios, Inc., Fremont, CA, USA

SOURCE: Leukemia (2006), 20(6), 1017-1027
CODEN: LEUKED; ISSN: 0887-6924
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Inhibition of p38 kinase blocks the production of tumor-promoting factors in the multiple myeloma (MM) bone marrow microenvironment. Proteasome inhibitors MG132 and bortezomib have been shown to have direct cytotoxic effects on MM cells. We show that a selective inhibitor of p38α, SCIO-469, enhances the ability of MG132 and bortezomib to induce the apoptosis of MM cells. Previously, we showed that p38 inhibition with SCIO-469 enhances MM cytotoxicity of bortezomib by inhibiting the transient expression and phosphorylation of Hsp27, a downstream target of p38. Here we show that continued treatment of MM cells with bortezomib leads to a SCIO-469-enhanced downregulation of Hsp27 and to increased MM

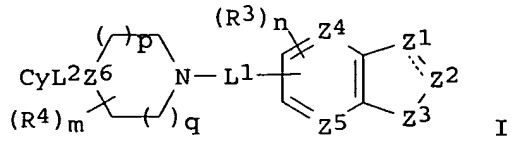
apoptosis. Furthermore, we show that p38 inhibition enhances the bortezomib-induced MM apoptosis by upregulation of p53 and downregulation of Bcl-XL and Mcl-1. In a mouse xenograft plasmacytoma model of MM, we found that inhibiting p38 augments the effects of bortezomib in decreasing MM tumor growth in vivo. Thus, in addition to its role in suppressing an activated MM microenvironment, co-treatment with a p38 inhibitor, such as SCIO-469, may enhance the cytotoxicity of bortezomib by modulating pro-apoptotic and anti-apoptotic factors in MM cells, suggesting great potential for co-therapy.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1351110 HCAPLUS
DOCUMENT NUMBER: 144:88316
TITLE: Preparation of azaindoles as inhibitors of p38 kinase
INVENTOR(S): Mavunkel, Babu J.; Perumattam, John J.; Lu, Qing; ***Dugar, Sundeep***; Goyal, Bindu; Wang, Dan X.; ***Chakravarty, Sarvajit***; Luedtke, Gregory R.; Nashashibi, Imad; Tester, Richland; Tan, Xuefei
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 83 pp., Cont.-in-part of U.S. Ser. No. 683,656.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005288299	A1	20051229	US 2005-107027		20050415
US 2004176598	A1	20040909	US 2003-683656		20031009
PRIORITY APPLN. INFO.:			US 2002-417599P	P	20021009
			US 2003-683656	A2	20031009

OTHER SOURCE(S): MARPAT 144:88316
GI



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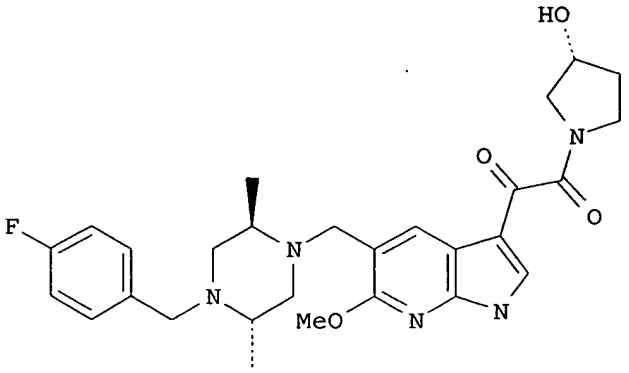


II

AB Title compds. [I; dotted line = optional double bond; 1 of Z1, Z2 = CQ, CR1Q, the other = CRR1, C(R1)2; Q = R1, WiCOXjY; W, X = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene; i, j = 0, 1; Y = COR2, isostere; Z3 = NR7, O, S; Z4, Z5 = N, CH, CR3, or 1 of Z4, Z5 = C to which L1 is linked; ≥1 of Z4, Z5 = N; Z6 = N, CR5; L1, L2 = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene; Cy = 1-2 (substituted) (fused) 3-7 membered ring(s); R1, R2, R5, R7 = H, R3; R3 = (substituted) alkyl, heteroalkyl, alkenyl, heteroalkenyl, alkynyl, heteroalkynyl, acyl, heteroacyl, aryl, heteroaryl, halo, etc.; R4 = R3, O, NCN, etc.; n = 0-2; m = 0-4; p, q = 0-2; p+k = 0-3], were prepared Thus, title compound (II) inhibited p38α with IC50 = 0.01 μM.

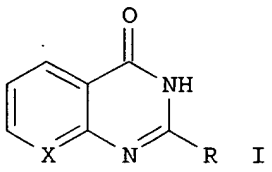
L26 ANSWER 6 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:638672 HCAPLUS
DOCUMENT NUMBER: 143:133391
TITLE: Preparation of pyridopyrimidones
INVENTOR(S): ***Chakravarty, Sarvajit***; ***Dugar, Sundeep***; Tester, Richland; ***Conte, Aurelia***
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065416	A2	20050721	WO 2004-US44064	20041231
WO 2005065416	A3	20050915		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005176957 A1 20050811 US 2004-29139 20041231
PRIORITY APPLN. INFO.: US 2003-534057P P 20031231
OTHER SOURCE(S): CASREACT 143:133391; MARPAT 143:133391
GI



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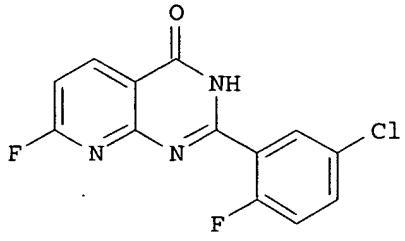

II

AB The present invention is directed to a process for making 2-substituted pyridopyrimidone derivs. I [R = (hetero)aryl, alkyl; X = N, CH]. In particular, 2-substituted pyridopyrimidones, e.g. II, are made through the single step reaction of suitable acid derivs., e.g. 2,6-difluoronicotinic acid, with desired derivs. of amidines, e.g. 2-fluoro-5-chlorobenzamidine.

L26 ANSWER 7 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:324132 HCAPLUS
DOCUMENT NUMBER: 142:392427
TITLE: Preparation of N-heterocyclyl amides and sulfonamides as p38 kinase inhibitors
INVENTOR(S): ***Dugar, Sundeep; McEnroe, Glen***
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033072	A2	20050414	WO 2004-US32403	20040930
WO 2005033072	A3	20060112		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2540828	AA	20050414	CA 2004-2540828	20040930
EP 1675830	A2	20060705	EP 2004-789449	20040930

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

PRIORITY APPLN. INFO.: US 2003-507633P P 20030930
WO 2004-US32403 W 20040930

OTHER SOURCE(S): MARPAT 142:392427

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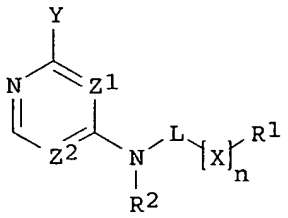

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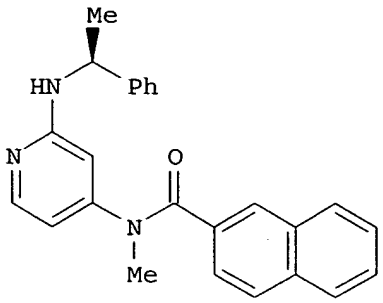

II

AB The title compds. I [R1 = alkyl, cycloalkyl, heterocycloalkyl, aryl; L = CO, SO2; X = O, CO, (un)substituted CH2, NH; n = 0-3; R2 = H, alkyl, aryl, etc.; Y = (un)substituted NH2, OH; one of Z1 and Z2 = CH, and the other is either CH or N], useful for inhibiting p38 kinase, were prepared E.g., a multi-step synthesis of (1S)-II, starting from 4-amino-2-chloropyridine and 2-naphthoyl chloride, was given. The compds. I were tested against p38α kinase in the diluted whole blood assay (biol. data were given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

L26 ANSWER 8 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:324006 HCAPLUS
DOCUMENT NUMBER: 142:392425
TITLE: Preparation of 2-phenyl-N-4-pyridinyl-4-pteridinamines and related compounds as TGF-β inhibitors
INVENTOR(S): ***Dugar, Sundeep***; ***Chakravarty, Sarvajit***; ***Murphy, Alison***; ***Mcenroe, Glen***; ***Conte, Aurelia***; Perumattam, John J.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032481	A2	20050414	WO 2004-US32430	20040930
WO 2005032481	A3	20050616		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

US 2005096333	A1	20050505	US 2004-957183	20040930
PRIORITY APPLN. INFO.:			US 2003-507910P	P 20030930

OTHER SOURCE(S): MARPAT 142:392425
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (R1)m; B = (R2)n; C = Z5; D = Z6; E = Z7; F = Z8; m, n = 0-3; R1 = OH, SH, NH2, etc.; R2 = NH2, CONH2, R, etc.; R = (un)substituted alkyl, alkenyl, alkynyl, etc.; Z5, Z6, Z7, Z8 = N or CH with provisos] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of 4-aminopyridine with 4-chloropteridine II, e.g., prepared from Me 3-amino-2-pyrazinecarboxylate in 3-steps, afforded pyridinylpteridinamine III in 36% yield. In TGF-β inhibition assays, 47-examples of compds. I exhibited IC50 values <5 μM. Compds. I are claimed to be useful for the treatment of conditions characterized by enhanced TGFβ activity.

L26 ANSWER 9 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:232421 HCAPLUS
DOCUMENT NUMBER: 142:316692
TITLE: Preparation of indolylcarboxamide derivatives as inhibitors of p38 kinase
INVENTOR(S): Mavunkel, Babu J.; ***Chakravarty, Sarvajit***; Perumattam, John J.; ***Dugar, Sundeep***; Lu, Qing; Liang, Xi
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: U.S., 65 pp., Cont.-in-part of U.S. 6,589,954. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6867209	B1	20050315	US 2000-575060	20000519
US 6130235	A	20001010	US 1998-128137	19980803
US 6340685	B1	20020122	US 1999-275176	19990324
US 6589954	B1	20030708	US 1999-316761	19990521
US 2003158417	A1	20030821	US 2002-146703	20020514
US 2003144520	A1	20030731	US 2002-157048	20020528
US 6864260	B2	20050308		
US 2003162970	A1	20030828	US 2002-156996	20020528
US 2003195355	A1	20031016	US 2002-156997	20020528
PRIORITY APPLN. INFO.:			US 1998-86531P	P 19980522
			US 1998-128137	A2 19980803
			US 1999-275176	A2 19990324
			US 1999-316761	A2 19990521

US 1999-154594P P 19990917
US 2000-202608P P 20000509
US 2000-575060 A1 20000519

OTHER SOURCE(S): MARPAT 142:316692

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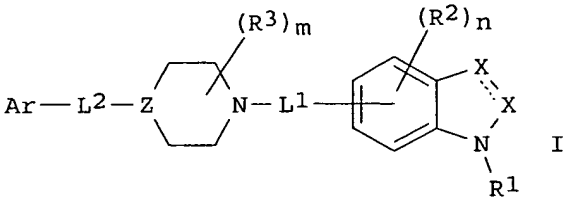

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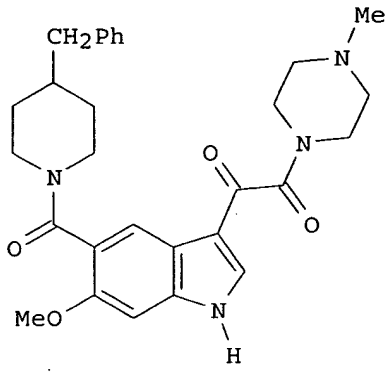

II

AB Title compds. I [X independently = CA, CR4A, CR5, CR52, NR6, or N; L1 = CO, SO2, or alkylene; L2 = (un)substituted-alkylene or -alkenylene; Ar = (un)substituted aryl group with substituents consisting of alkyl, alkenyl, halo, CN, etc.; Z = N or CR7 wherein R7 = H or non-interfering substituent; R1 = H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, etc.; R2 independently = halo, alkyl, OH, alkoxy, etc.; R3 independently = CN, CF3, NO2, alkyl, aryl, acyl, etc.; R4 = H, halo, alkyl or alkenyl; R5 independently = H, halo, alkyl, OH, etc.; R6 = H, alkyl, alkenyl, aryl, acyl, aroyl, etc.; A = -WiCOXjY wherein Y is COR8 wherein R8 = H, (un)substituted-alkyl, -alkenyl, -alkynyl, etc.; W and X = (un)substituted-alkylene, -alkenylene, -alkynylene; Y = tetrazole, 1,2,3-triazole, 1,2,4-triazole, or imidazole and each of i and j independently = 0 or 1; m = 0-4; n = 0-3], and their pharmaceutically acceptable salts are prepared and disclosed as useful for treatment of rheumatoid arthritis. Thus, e.g., II, was prepared by carbonylation of 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride and subsequent amination using 4-methylpiperazine. ELISA assays for evaluation of inhibition of p38 kinase by I revealed that all compds. of the invention possessed IC50 values in the range of 0.1-1.5 μM. I as inhibitors of p38 kinase should prove useful in the treatment of rheumatoid arthritis.

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 10 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:191633 HCAPLUS
TITLE: p38 α MAP kinase inhibitors: From discovery to the clinic
AUTHOR(S): ***Dugar, Sundeep***; Mavunkel, Babu; ***Chakravarty, Sarvajit***; Perumattam, John; Luedtke, Greg; Lu, Qing; Chen, Zheng; Xu, Yong-jing; Protter, Andrew; Schreiner, George; Almirez, Ramona; Scott, Brian; Laney, Maureen; Henson, Margaret; Lewicki, John; Moore, Adrian; Lee, Sarah; Brahn, Earnest; Liu, David
CORPORATE SOURCE: Scios, Inc, Fremont, CA, 94555, USA
SOURCE: Abstracts of Papers, 229th ACS National Meeting, San Diego, CA, United States, March 13-17, 2005 (2005), MEDI-300. American Chemical Society: Washington, D. C.
CODEN: 69GQMP
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB P38α MAP kinase is an intracellular soluble serine threonine kinase which is activated in response to stress, growth factors and cytokines, such as IL-1β and TNF-α. Its activation has been shown to further activate proteins and transcription factors that lead to the production of several key pro-inflammatory and inflammatory cytokines. P38α MAP kinase has an important patho-physiol. role in diseases, such as rheumatoid arthritis, where chronic inflammation is said to play a causal role. In recent years there have been several reports of efforts to find small mol. inhibitors of this enzyme as potential therapy in several disease areas. This presentation describes the SAR, in-vitro and in-vivo characterization of a class of highly specific, indole based piperidine amide inhibitors of p38α.

L26 ANSWER 11 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1029578 HCAPLUS
DOCUMENT NUMBER: 142:132714
TITLE: p38 Inhibition attenuates the pro-inflammatory response to C-reactive protein by human peripheral blood mononuclear cells
AUTHOR(S): Lim, Moon Y.; Wang, Hui; Kapoun, Ann M.; O'Connell, Maile; O'Young, Gilbert; Brauer, Heather Ann; Luedtke, Gregory R.; ***Chakravarty, Sarvajit***; ***Dugar, Sundeep***; Schreiner, George S.; Protter, Andrew A.; Higgins, Linda S.
CORPORATE SOURCE: Scios Inc., Fremont, CA, 94555, USA
SOURCE: Journal of Molecular and Cellular Cardiology (2004), 37(6), 1111-1114
CODEN: JMCDAY; ISSN: 0022-2828
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An active role for C-reactive protein (CRP) in inflammatory vascular diseases has been recently suggested. Monocytes play an important role in vascular pathol. and are activated by p38 mitogen activated protein kinase (MAPK) dependent mechanisms in many inflammatory settings. Therefore, we investigated whether CRP directly promotes a pro-inflammatory phenotype in human peripheral blood mononuclear cells (HPBMC) via p38 MAPK signaling. CRP exposure leads to a rapid phosphorylation of p38 MAPK in HPBMC. CRP-induced p38 kinase activity in HPBMC was blocked by treatment with an

inhibitor of p38 kinase, SD-282. CRP-induced the expression of tissue factor protein and the secretion of IL-6, IL-8, IL-1β, TNFα and PGE2. Co-exposure to CRP and SD-282 blocked the secretion of these pro-inflammatory and pro-thrombotic mediators. CRP treatment elevated IL-6, IL-8, IL-1β, TNFα, COX-2 and TF mRNA expression. These effects of CRP also required p38 activity, since SD-282 blocked mRNA induction of each. Taken together these data suggest a mechanistic relationship between p38 MAPK signaling and CRP-induced pro-inflammatory and pro-thrombotic activities in HPBMC. Thus, p38 inhibition may represent a novel approach to attenuate inflammation and its consequences in cardiovascular disease.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 12 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1024814 HCAPLUS

DOCUMENT NUMBER: 142:196206

TITLE: Transforming Growth Factor β Receptor II Kinase Inhibitor Down-Regulates Cytokine Secretion and Multiple Myeloma Cell Growth in the Bone Marrow Microenvironment

AUTHOR(S): Hayashi, Toshiaki; Hideshima, Teru; Nguyen, Aaron N.; Munoz, Olivier; Podar, Klaus; Hamasaki, Makoto; Ishitsuka, Kenji; Yasui, Hiroshi; Richardson, Paul; ***Chakravarty, Sarvajit***; ***Murphy, Alison*** ; Chauhan, Dharminder; Higgins, Linda S.; Anderson, Kenneth C.

CORPORATE SOURCE: Jerome Lipper Multiple Myeloma Center, Department of Medical Oncology, Dana-Farber Cancer Institute, Department of Medicine, Dana-Farber Cancer Institute, Boston, MA, 02115, USA

SOURCE: Clinical Cancer Research (2004), 10(22), 7540-7546
CODEN: CCREF4; ISSN: 1078-0432

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Transforming growth factors (TGFs) have pleiotropic biol. effects on tumor cells and their environment. In multiple myeloma (MM), the authors have reported that bone marrow stromal cells (BMSCs) from MM patients produce more TGF-β1 than BMSCs from healthy donors, which in turn induces interleukin (IL)-6 secretion. The authors show here that the TGF-β receptor I kinase inhibitor SD-208 decreases secretion of both IL-6 and vascular endothelial growth factor (VEGF) from BMSCs, as well as tumor cell growth triggered by MM cell adhesion to BMSCs. Cytokine production and MM cell proliferation triggered by TGF-β1 or adhesion to BMSCs were examined in the presence or absence of SD-208. Effects of SD-208 on TGF-β1-induced signaling pathways triggering IL-6 and VEGF transcription in BMSCs were also delineated. SD-208 inhibits not only transcription but also secretion of both IL-6 and VEGF from BMSCs triggered by either TGF-β1 or adhesion of MM cells to BMSCs. Moreover, SD-208 decreased tumor cell growth triggered by MM cell adhesion to BMSCs. SD-208 works, at least in part, by blocking TGF-β1-triggered nuclear accumulation of Smad2/3 and hypoxia-inducible factor 1α, as well as related production of IL-6 and VEGF, resp. Thus, SD-208 inhibits production of cytokines mediating MM cell growth, survival, drug resistance, and migration in the BM milieu, thereby providing the preclin. rationale for clin. evaluation of SD-208 to improve patient outcome in MM.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 13 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:857329 HCAPLUS
DOCUMENT NUMBER: 141:332209
TITLE: Preparation of bicyclic pyrimidine inhibitors of TGF-β
INVENTOR(S): ***Dugar, Sundeep; Chakravarty, Sarvajit; Conte, Aurelia; Axon, Jonathan; Mcenroe, Glenn***
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087056	A2	20041014	WO 2004-US9300	20040326
WO 2004087056	A3	20050224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2520465	AA	20041014	CA 2004-2520465	20040326
US 2005004143	A1	20050106	US 2004-811428	20040326
EP 1608631	A2	20051228	EP 2004-758392	20040326
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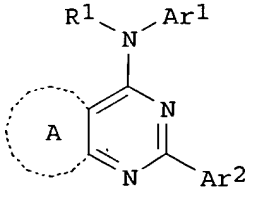
PRIORITY APPLN. INFO.: US 2003-458982P P 20030328
WO 2004-US9300 W 20040326

OTHER SOURCE(S): MARPAT 141:332209
GI



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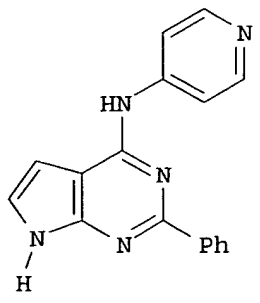


II

AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkenyl, -alkynyl; Ar1 and Ar2 independently = (un)substituted aromatic or heteroarom. moiety; Ring

A is (un)substituted, (un)saturated or aromatic and contains 4-7 members, wherein each member independently = C, N, O, or S], as well as their pharmaceutically acceptable salts, are prepared and disclosed as being useful for treating subjects with conditions ameliorated by inhibition of transforming growth factor-β (TGF-β) activity. Thus, e.g., II was prepd by cyclocondensation of benzamidine hydrochloride with Et 2-cyano-4,4-diethoxybutyrate to form 2-phenylpyrrolo[2,3-d]pyrimidone which was chlorinated and substituted with 4-aminopyridine. In TGF-β assays, I were found to possess IC50 values ranging from 0.0145-16.141 μM.

L26 ANSWER 14 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:792540 HCAPLUS
DOCUMENT NUMBER: 142:211908
TITLE: p38α Mitogen-Activated Protein Kinase Inhibition Improves Cardiac Function and Reduces Myocardial Damage in Isoproterenol-Induced Acute Myocardial Injury in Rats
AUTHOR(S): Li, Zhihe; Tran, Thomas-Toan; Ma, Jing Ying; O'Young, Gilbert; Kapoun, Ann M.; ***Chakravarty, Sarvajit*** ; ***Dugar, Sundeep***; Schreiner, George; Protter, Andrew A.
CORPORATE SOURCE: Department of Pharmacology and Preclinical Research, Scios Inc., Fremont, CA, 6500, USA
SOURCE: Journal of Cardiovascular Pharmacology (2004), 44(4), 486-492
CODEN: JCPCDT; ISSN: 0160-2446
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB P38 mitogen-activated protein (MAP) kinase is activated during ischemic/hypoxic myocardial injury. However, the role of activated p38 MAP kinase on cardiac function after myocardial injury is not well understood. In the present study, we investigated the cardioprotective effects of p38 MAP kinase inhibition in a rat model of acute myocardial injury, induced by s.c. injection of isoproterenol (ISO, 20 mg/kg/d for 3 days). A synthetic p38α MAP kinase inhibitor, SD-282 (40 mg/kg) or vehicle (0.25% Tween 80 in saline) was given i.p. twice a day for 3 days, concomitant with ISO treatment. Cardiac function, systolic blood pressure, gene expression including collagen I and III, fibronectin and COX-2, and the myocardial injury were analyzed. Results showed that administration of SD-282 remarkably improved ISO-induced reduction of cardiac function with increases in ejection fraction ($P < 0.001$), cardiac output ($P < 0.05$), stroke volume ($P < 0.001$), and cardiac index ($P < 0.01$). SD-282 abolished ISO-induced reduction of systolic blood pressure (106.7±2.2 vs. 123.1±5.3 mm Hg, $P < 0.05$). The ISO-induced expression of COX-2, collagen I and III, and fibronectin genes was reduced significantly ($P < 0.05$ in all cases) by administration of SD-282. The myocardial injury induced by ISO was significantly reduced by the treatment of SD-282 as judged by the reduction of myocardial necrosis. Data suggest that p38α MAP kinase may be involved in the pathogenesis of cardiac dysfunction in ischemic myocardial injury. Inhibition of this enzyme may improve cardiac function and protect myocardium from ischemic/hypoxic injury that occurs during ischemic heart disease.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 15 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:750916 HCAPLUS
DOCUMENT NUMBER: 141:393361
TITLE: Peripheral and central p38 MAPK mediates capsaicin-induced hyperalgesia
AUTHOR(S): Sweitzer, S. M.; Peters, M. C.; Ma, J. Y.; Kerr, I.; Mangadu, R.; ***Chakravarty, S.***; ***Dugar, S.***; Medicherla, S.; Protter, A. A.; Yeomans, D. C.
CORPORATE SOURCE: Department of Anesthesia, Stanford University School of Medicine, Stanford, CA, 94305, USA
SOURCE: Pain (2004), 111(3), 278-285
CODEN: PAINDB; ISSN: 0304-3959
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The stress-activated mitogen-activated protein kinase (MAPK) p38 is emerging as an important mediator of pain. The present study examined the possible involvement of peripheral and spinal p38 MAPK in capsaicin-induced thermal hyperalgesia. Topical capsaicin produced phosphorylation of p38 MAPK in the skin from the affected hindpaw as well as the corresponding lumbar spinal cord in a time dependent manner. Topical capsaicin produced robust C-fiber mediated thermal hyperalgesia that was inhibited by systemic, local peripheral, or central intrathecal pre-treatment with the p38 MAPK inhibitor, SD-282. I.p. SD-282 (10-60 mg/kg) significantly and dose-dependently attenuated capsaicin-induced C-fiber mediated thermal hyperalgesia. Similarly, 0.1-5 mg/kg s.c. SD-282 in the hindpaw dose-dependently attenuated capsaicin-induced thermal hyperalgesia. Intrathecal administration of 1 μg SD-282 was also anti-hyperalgesic in this model. Functionally, SD-282 decreased capsaicin-induced release of calcitonin gene related peptide in an in vitro skin release assay, consistent with a role for p38 MAPK in peripheral nerve function. These results suggest that p38 MAPK plays a role in the development of hyperalgesic states, exerting effects both centrally in the spinal cord and peripherally in sensory C fibers.
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 16 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:658081 HCAPLUS
TITLE: Discovery and biological evaluation of p38α MAP kinase inhibitor SX-011
AUTHOR(S): Lu, Qing; Mavunkel, Babu; ***Chakravarty, Sarvajit***; Perumattam, John; Luedtke, Greg; Chen, Zheng; Xu, Yong-jing; ***Dugar, Sundeep***; Protter, Andrew; Schreiner, George; Almirez, Ramona; Scott, Brian; Laney, Maureen; Henson, Margaret; Lewicki, John; Moore, Adrian; Lee, Sarah; Brahn, Earnest; Liu, David
CORPORATE SOURCE: Scios, Inc, Fremont, CA, 94555, USA
SOURCE: Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), MEDI-217. American Chemical Society: Washington, D. C.
CODEN: 69FTZ8
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB P38α MAP kinase is an intracellular soluble serine threonine kinase which is activated in response to stress, growth factors and cytokines, such as IL-1β and TNF-α. Its activation has been shown to

further activate proteins and transcription factors that lead to the production of several key pro-inflammatory and inflammatory cytokines. P38α MAP kinase has an important patho-physiol. role in diseases, such as rheumatoid arthritis, where chronic inflammation is said to play a causal role. In recent years there have been several reports of efforts to find small mol. inhibitors of this enzyme as potential therapy in several disease areas. This presentation describes the SAR, in-vitro and in-vivo characterization of a representative (SX-011) from a class of highly specific, indole based piperidine amide inhibitors of p38α of the general structure I.

L26 ANSWER 17 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:619571 HCAPLUS
DOCUMENT NUMBER: 141:204904
TITLE: Targeting endogenous transforming growth factor β receptor signaling in SMAD4-deficient human pancreatic carcinoma cells inhibits their invasive phenotype1
AUTHOR(S): Subramanian, Gayathri; Schwarz, Roderich E.; Higgins, Linda; ***McEnroe, Glenn***; ***Chakravarty, Sarvajit***; ***Dugar, Sundeep***; Reiss, Michael
CORPORATE SOURCE: Departments of Internal Medicine (Medical Oncology), The Cancer Institute of New Jersey, University of Medicine and Dentistry of New Jersey-Robert Wood Johnson Medical School, New Brunswick, NJ, 08903, USA
SOURCE: Cancer Research (2004), 64(15), 5200-5211
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Transforming growth factor-β (TGF-β) suppresses tumor formation by blocking cell cycle progression and maintaining tissue homeostasis. In pancreatic carcinomas, this tumor suppressive activity is often lost by inactivation of the TGF-β-signaling mediator, Smad4. The authors found that human pancreatic carcinoma cell lines that have undergone deletion of MADH4 constitutively expressed high endogenous levels of phosphorylated receptor-associated Smad proteins (pR-Smad2 and pR-Smad3), whereas Smad4-pos. lines did not. These elevated pR-Smad levels could not be attributed to a decreased dephosphorylation rate nor to increased expression of TGF-β type I (TβR-I) or type II (TβR-II) receptors. Although minimal amts. of free bioactive TGF-β1 and TGF-β2 were detected in conditioned medium, treatment with a pan-specific (but not a TGF-β3 specific) TGF-β-neutralizing antibody and with anti-αVβ6 integrin antibody decreased steady-state pSmad2 levels and activation of a TGF-β-inducible reporter gene in neighboring cells, resp. Thus, activation of TGF-β at the cell surface was responsible for the increased autocrine endogenous and paracrine signaling. Blocking TβR-I activity using a selective kinase inhibitor (SD-093) strongly decreased the in vitro motility and invasiveness of the pancreatic carcinoma cells without affecting their growth characteristics, morphol., or the subcellular distribution of E-cadherin and F-actin. Moreover, exogenous TGF-β strongly stimulated in vitro invasiveness of BxPC-3 cells, an effect that could also be blocked by SD-093. Thus, the motile and invasive properties of Smad4-deficient pancreatic cancer cells are at least partly driven by activation of endogenous TGF-β signaling. Therefore, targeting the TβR-I kinase represents a potentially powerful novel therapeutic approach for the treatment of this disease.
REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 18 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:471693 HCAPLUS
DOCUMENT NUMBER: 141:167694
TITLE: Selective inhibitors of type I receptor kinase block cellular transforming growth factor-β signaling
AUTHOR(S): Ge, Rongrong; Rajeev, Vaishali; Subramanian, Gayathri; Reiss, Kim A.; Liu, David; Higgins, Linda; Joly, Alison; ***Dugar, Sundeep***; Chakravarty, Jit; Henson, Margaret; ***McEnroe, Glenn***; Schreiner, George; Reiss, Michael
CORPORATE SOURCE: Division of Medical Oncology, Department of Internal Medicine, UMDNJ-Robert Wood Johnson Medical School and The Cancer Institute of New Jersey, New Brunswick, NJ, USA
SOURCE: Biochemical Pharmacology (2004), 68(1), 41-50
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Transforming growth factor (TGFβ) is a 25-kDa dimeric polypeptide that plays a key role in a variety of physiol. processes and disease states. Blocking TGFβ signaling represents a potentially powerful and conceptually novel approach to the treatment of disorders in which the signaling pathway is constitutively activated, such as cancer, chronic inflammation with fibrosis and select immune disorders. In this paper, the authors describe the biol. properties of a novel series of quinazoline-derived inhibitors of the type I transforming growth factor receptor kinase (TβKIs) that bind to the ATP-binding site and keep the kinase in its inactive conformation. These compds. effectively inhibited TGFβ-induced Smad2 phosphorylation in cultured cells in vitro with an IC50 between 20 and 300 nM. Moreover, TβKIs were able to broadly block TGFβ-induced reporter gene activation. Finally, TβKIs inhibited TGFβ-mediated growth inhibition of normal murine mammary epithelial cells (NMuMG) and mink lung epithelial cells (Mv1Lu), and TGFβ-induced epithelial-mesenchymal transdifferentiation (EMT) of NMuMG cells. Thus, these chemical TβKIs have the potential to be further developed as anti-cancer and -fibrosis agents. In addition, they represent valuable new tools for dissecting the biochem. mechanisms of TGFβ signal transduction and understanding the role of TGFβ signaling pathways in different physiol. and disease processes.
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 19 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:420665 HCAPLUS
DOCUMENT NUMBER: 142:4714
TITLE: Antinociceptive action of a p38α MAPK inhibitor, SD-282, in a diabetic neuropathy model
AUTHOR(S): Sweitzer, Sarah M.; Medicherla, Satyanarayana; Almirez, Ramona; ***Dugar, Sundeep***; ***Chakravarty, Sarvajit***; Shumilla, Jennifer A.; Yeomans, David C.; Protter, Andrew A.
CORPORATE SOURCE: Department of Anesthesia, Stanford University School of Medicine, Stanford, CA, 94305-5117, USA
SOURCE: Pain (2004), 109(3), 409-419
CODEN: PAINDB; ISSN: 0304-3959
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Diabetes can induce a bewildering list of sensory changes, including alteration in pain sensitivity. Painful diabetic neuropathy is refractory to most common analgesics. This study examined the effect of a p38α MAPK inhibitor, SD-282, on mech. allodynia, thermal hyperalgesia, and formalin-evoked nociception in streptozotocin-induced diabetic rats. Four-week diabetic rats exhibited mech. allodynia, decreased mech. thresholds, and C- and Aδ-fiber mediated thermal hyperalgesia. Mech. and thermal responses were measured in diabetic rats following acute and repeated i.p. administration of vehicle, 15 or 45 mg/kg SD-282. Mech. allodynia was reversed by acute and repeated administration of 15 and 45 mg/kg SD-282. Repeated administration of 15 or 45 mg/kg SD-282 prevented the exacerbation of C-, but not Aδ-fiber, mediated thermal hyperalgesia. Repeated administration of 45 mg/kg SD-282 attenuated flinching behaviors during the quiescent period and the second phase of the formalin response in diabetic rats. Acute and repeated administration of 15 or 45 mg/kg SD-282 had no effect on mech., thermal or formalin responses in age-matched control rats. These results indicate a potential therapeutic value of p38α MAPK inhibitors in the treatment of aberrant pain sensitivity produced by diabetes.
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 20 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:252350 HCAPLUS
DOCUMENT NUMBER: 140:264537
TITLE: Pyrimidine and triazine compounds as inhibitors of TGFβ, preparation thereof, and therapeutic use
INVENTOR(S): ***Axon, Jonathan; Chakravarty, Sarvajit; Dugar, Sundeep; McEnroe, Glen; Murphy, Alison***
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024159	A1	20040325	WO 2003-US28590	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498460	AA	20040325	CA 2003-2498460	20030910
AU 2003272324	A1	20040430	AU 2003-272324	20030910
US 2004132730	A1	20040708	US 2003-660115	20030910
EP 1549316	A1	20050706	EP 2003-754501	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

BR 2003014196	A	20050726	BR 2003-14196	20030910
CN 1694708	A	20051109	CN 2003-824984	20030910
JP 2006503043	T2	20060126	JP 2004-536518	20030910
PRIORITY APPLN. INFO.:			US 2002-409870P	P 20020910
			WO 2003-US28590	W 20030910

OTHER SOURCE(S): MARPAT 140:264537

AB Substituted pyrimidines and triazines are useful in the treatment to conditions associated with enhanced TGFβ activity. Compound preparation is included.

L26 ANSWER 21 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:220433 HCAPLUS

DOCUMENT NUMBER: 140:270879

TITLE: Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolamines as p38 kinase inhibitors.

INVENTOR(S): ***Chakravarty, Sarvajit; Dugar, Sundeep***; Lu, Qing; Luedtke, Gregory R.; Mavunkel, Babu J.; Perumatam, John Joseph; Tester, Richland

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

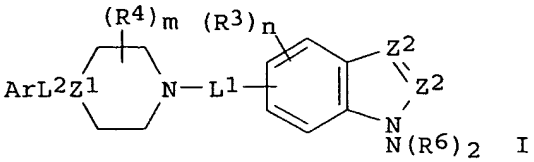
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022712	A2	20040318	WO 2003-US27761	20030903
WO 2004022712	A3	20040429		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2497408	AA	20040318	CA 2003-2497408	20030903
AU 2003268464	A1	20040329	AU 2003-268464	20030903
US 2004142940	A1	20040722	US 2003-654840	20030903
EP 1545528	A2	20050629	EP 2003-749429	20030903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006506346	T2	20060223	JP 2004-534595	20030903
PRIORITY APPLN. INFO.:			US 2002-408493P	P 20020903
			WO 2003-US27761	W 20030903

OTHER SOURCE(S): MARPAT 140:270879

GI



AB Title compds. [I; 1 Z2 = CA, the other = CR1; R1, R2, R5, R6 = H, noninterfering substituent; A = WiCOXjY; Y = COR2; W, X = spacer of 2-6Å; i, j = 0, 1; 2 R6 may form a 5-6 membered ring; m = 0-4; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; Z1 = N, CR5; Ar = (substituted) (fused) Ph, thienyl], were prepared for treatment of pro-inflammation response (no data). Thus, 1-(4-fluorobenzyl)-2S,5R-dimethylpiperazine, 6-chloroindole-5-carboxylic acid (preparation given), TBTU, and Et3N were stirred in DMF overnight to give 92% amide, which in CH2Cl2 at 0° was treated with (COCl)2 followed by stirring at room temperature for 5 h. Pyrrolidine was added followed by stirring for 1 h to give 71% 1-[6-chloro-5-[4-(4-fluorobenzyl)-2R,5S-dimethylpiperazine-1-carbonyl]-1H-indol-3-yl]-2-pyrrolidin-1-ylethane-1,2-dione. This was stirred with NaH in THF for 30 min.; O-(diphenylphosphinyl)hydroxylamine was added followed by stirring for 10 h to give 1-[1-amino-6-chloro-5-[4-(4-fluorobenzyl)-2R,5S-dimethylpiperazine-1-carbonyl]-1H-indol-3-yl]-2-pyrrolidin-1-ylethane-1,2-dione.

L26 ANSWER 22 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:931342 HCAPLUS
DOCUMENT NUMBER: 140:791
TITLE: Treatment of fibroproliferative disorders using TGF-β inhibitors
INVENTOR(S): ***Chakravarty, Sarvajit***; ***Dugar, Sundeep***; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003229305	A1	20031202	AU 2003-229305	20030516
US 2004038856	A1	20040226	US 2003-440428	20030516
EP 1511738	A1	20050309	EP 2003-726892	20030516

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-381720P P 20020517
US 2003-440428 A 20030516
WO 2003-US15514 W 20030516

OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF-β mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF-β mediated cell activation, with a non-peptide small mol. inhibitor of TGF-β, specifically binding a TGFβ-R1 receptor kinase present in the cell or tissue.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 23 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:665552 HCAPLUS

DOCUMENT NUMBER: 139:345323

TITLE: Indole-based heterocyclic inhibitors of p38α MAP kinase: designing a conformationally restricted analogue

AUTHOR(S): Mavunkel, Babu J.; ***Chakravarty, Sarvajit***; Perumattam, John J.; Luedtke, Gregory R.; Liang, Xi; Lim, Don; Xu, Yong-jin; Laney, Maureen; Liu, David Y.; Schreiner, George F.; Lewicki, John A.; ***Dugar, Sundeep***

CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(18), 3087-3090
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:345323

AB P38α Mitogen Activated Protein Kinase (MAP kinase) is an intracellular soluble serine threonine kinase. P38α kinase is activated in response to cellular stresses, growth factors and cytokines such as interleukin-1 (IL-1) and tumor necrosis factor alpha (TNF-α). The central role of p38α activation in settings of both chronic and acute inflammation has led efforts to find inhibitors of this enzyme as possible therapies for diseases such as rheumatoid arthritis, where p38α activation is thought to play a causal role. Herein, we report structure-activity relationship studies on a series of indole-based heterocyclic inhibitors that led to the design and identification of a new class of p38α inhibitors.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 24 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:899335 HCAPLUS

DOCUMENT NUMBER: 139:78095

TITLE: Inhibitors of p38α MAP kinase

AUTHOR(S): ***Chakravarty, Sarvajit; Dugar, Sundeep***

CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA
SOURCE: Annual Reports in Medicinal Chemistry (2002), 37, 177-186
CODEN: ARMCBI; ISSN: 0065-7743
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review on the structural and mechanistic basis for inhibition of p38α MAP (mitogen activated protein) kinase. X-ray structures and structure-activity relationship studies have led to the design of selective and potent p38α kinase inhibitors. These inhibitors provide the opportunity for the development of agents targeting a variety of human diseases through the central role of p38α kinase in acute and chronic inflammation.

REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 25 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:845560 HCAPLUS
DOCUMENT NUMBER: 137:353051
TITLE: Preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors
INVENTOR(S): ***Chakravarty, Sarvajit; Dugar, Sundeep***; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6476031	B1	20021105	US 1999-383825	19990827
US 6184226	B1	20010206	US 1998-141916	19980828
US 6277989	B1	20010821	US 2000-525034	20000314
US 2003069248	A1	20030410	US 2001-969936	20011002
US 2002161010	A1	20021031	US 2001-972582	20011005
US 6903096	B2	20050607		
US 2005171123	A1	20050804	US 2005-53121	20050207
US 2005220784	A1	20051006	US 2005-136242	20050523

PRIORITY APPLN. INFO.:
US 1998-141916 A2 19980828
US 1999-383825 A3 19990827
US 2001-969936 B1 20011002
US 2001-972582 A3 20011005

OTHER SOURCE(S): MARPAT 137:353051
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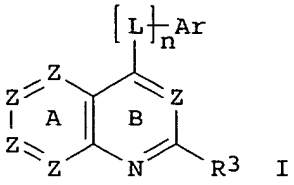

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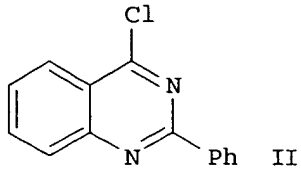

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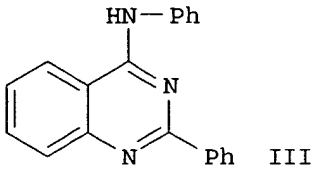

III

AB Title compds. I [R3 = (un)substituted aromatic; Ar = (un)substituted monocyclic or polylcyclic aromatic; L = S(CR22)m, NR1SO2(CR22)l, SO2(CR22)m, etc.; Z = CR2, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R2 = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38-α kinase inhibition studies, 9-examples of compds. I exhibited IC50 values in the range of 0.1-1.5 μM. Also, the specificity of compds. I for p38-α was assessed by their ability to inhibit other kinases, e.g., p38-γ JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC50 values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.

REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 26 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:428896 HCAPLUS
DOCUMENT NUMBER: 137:6088
TITLE: Preparation of indolecarboxamides as p38-α inhibitors
INVENTOR(S): ***Dugar, Sundeep***; Mavunkel, Babu J.; Luedtke, Gregory R.; ***Mcenroe, Glen***
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044168	A2	20020606	WO 2001-US43439	20011120
WO 2002044168	A3	20030522		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,

UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2429382	AA	20020606	CA 2001-2429382	20011120
AU 2002037657	A5	20020611	AU 2002-37657	20011120
US 2003100588	A1	20030529	US 2001-989991	20011120
US 6890938	B2	20050510		
EP 1339708	A2	20030903	EP 2001-986461	20011120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004536779	T2	20041209	JP 2002-546538	20011120
US 2005171183	A1	20050804	US 2005-98905	20050404
PRIORITY APPLN. INFO.:			US 2000-252163P P	20001120
			US 2001-989991 A1	20011120
			WO 2001-US43439 W	20011120

OTHER SOURCE(S): MARPAT 137:6088
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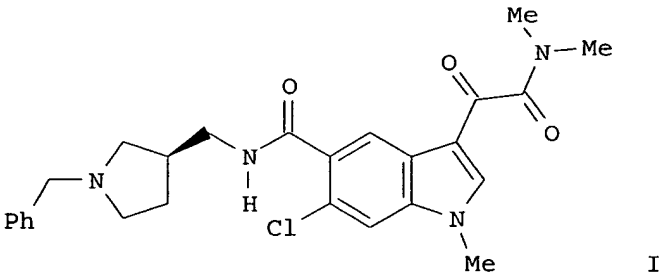


I

AB Title compds. were prepared as p38-α inhibitors (no data). Thus, 6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by (R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation to give title compound I.

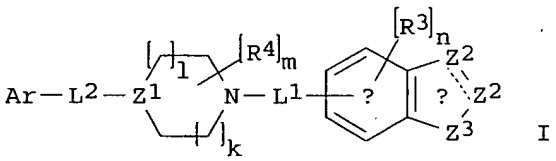
L26 ANSWER 27 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:842127 HCAPLUS
DOCUMENT NUMBER: 134:17503
TITLE: Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
INVENTOR(S): Mavunkel, Babu J.; ***Chakravarty, Sarvajit***; Perumattam, John J.; ***Dugar, Sundeep***; Lu, Qing; Liang, Xi
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071535	A1	20001130	WO 2000-US14003	20000519
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,				

CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6589954	B1	20030708	US 1999-316761		19990521
CA 2372567	AA	20001130	CA 2000-2372567		20000519
EP 1178983	A1	20020213	EP 2000-939322		20000519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 2000011274	A	20020226	BR 2000-11274		20000519
NZ 515285	A	20040130	NZ 2000-515285		20000519
AU 772295	B2	20040422	AU 2000-54424		20000519
RU 2278115	C2	20060620	RU 2001-134501		20000519
BG 106091	A	20020628	BG 2001-106091		20011108
HR 2001000854	A1	20030430	HR 2001-854		20011119
NO 2001005655	A	20020118	NO 2001-5655		20011120
AU 2004203356	A1	20040819	AU 2004-203356		20040722
PRIORITY APPLN. INFO.:			US 1999-316761	A	19990521
			US 1999-154594P	P	19990917
			US 2000-202608P	P	20000509
			US 1998-86531P	P	19980522
			US 1998-128137	A2	19980803
			US 1999-275176	A2	19990324
			WO 2000-US14003	W	20000519

OTHER SOURCE(S): MARPAT 134:17503
GI



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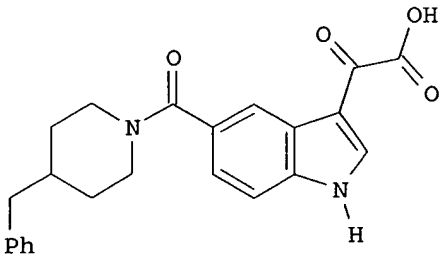

II

AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6Å; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5,

N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the α ring is 4.5-24Å] which inhibit p38-α kinase (biol. data given), were prepared Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 28 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:161275 HCAPLUS
DOCUMENT NUMBER: 132:194387
TITLE: Preparation of quinazolines as p38-α kinase and TGF-β inhibitors
INVENTOR(S): ***Chakravarty, Sarvajit***; ***Dugar, Sundeep***; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012497	A2	20000309	WO 1999-US19846	19990827
WO 2000012497	A3	20000629		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6184226	B1	20010206	US 1998-141916	19980828
CA 2342250	AA	20000309	CA 1999-2342250	19990827
AU 9962413	A1	20000321	AU 1999-62413	19990827
AU 771947	B2	20040408		
EP 1107959	A2	20010620	EP 1999-949568	19990827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9913648	A	20020102	BR 1999-13648	19990827
JP 2002523502	T2	20020730	JP 2000-567525	19990827
PRIORITY APPLN. INFO.:			US 1998-141916 A	19980828
			WO 1999-US19846 W	19990827

OTHER SOURCE(S): MARPAT 132:194387
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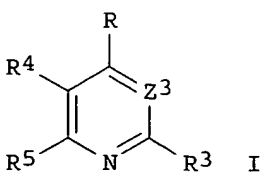


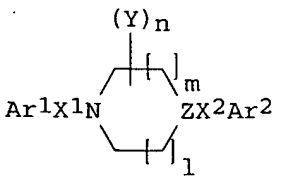
I

AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

L26 ANSWER 29 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:161119 HCAPLUS
DOCUMENT NUMBER: 132:203174
TITLE: Inhibitors of p38-α kinase, preparation thereof, and therapeutic use
INVENTOR(S): Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; ***Chakravarty, Sarvajit***; ***Dugar, Sundeep***; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012074	A2	20000309	WO 1999-US19845	19990827
WO 2000012074	A3	20000831		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2342251	AA	20000309	CA 1999-2342251	19990827
AU 9957936	A1	20000321	AU 1999-57936	19990827
AU 772477	B2	20040429		
EP 1107758	A2	20010620	EP 1999-945316	19990827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9913654	A	20011127	BR 1999-13654	19990827
JP 2002523448	T2	20020730	JP 2000-567192	19990827
PRIORITY APPLN. INFO.:			US 1998-98219P P	19980828
			US 1999-125343P P	19990319
			WO 1999-US19845 W	19990827

OTHER SOURCE(S): MARPAT 132:203174
GI



I

AB Methods are provided for treating conditions mediated by p38-α kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical composition thereof. Preparation of compds. is described. Compds. of the invention may be used to treat p38-α kinase-mediated conditions.

L26 ANSWER 30 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:44887 HCAPLUS
DOCUMENT NUMBER: 132:278695
TITLE: Strategies for rapid generation of small molecule libraries on a solid support
AUTHOR(S): Perumattam, John; ***Chakravarty, Sarvajit***; ***McEnroe, Glenn***
CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA
SOURCE: Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemical Diversity, Collected Papers, International Symposium, 5th, London, Sept. 2-6, 1997 (1999), Meeting Date 1997, 123-126. Editor(s): Epton, Roger. Mayflower Scientific Ltd.: Kingswinford, UK.
CODEN: 680EAA
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report on the generation of ABC-type libraries from amines, anhydrides, and resin-bound amino acids.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

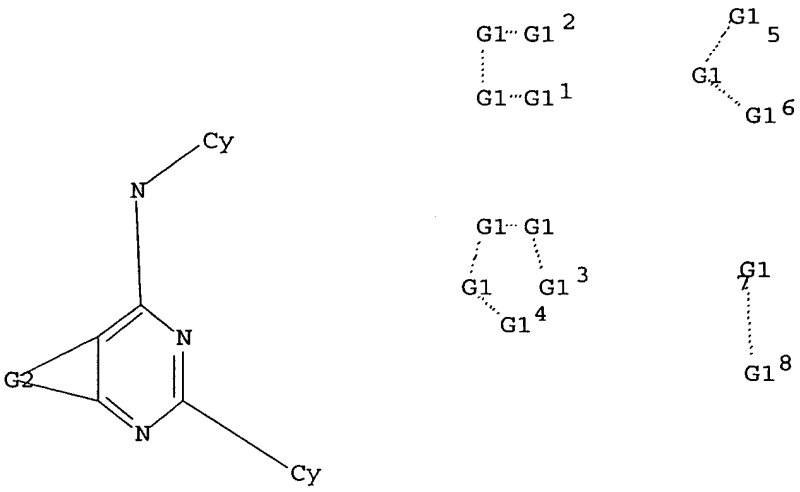
L26 ANSWER 31 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:303623 HCAPLUS
DOCUMENT NUMBER: 129:40700
TITLE: Solid phase synthesis of combinatorial libraries using anhydrides as templates
AUTHOR(S): Perumattam, John; ***Chakravarty, Sarvajit***; ***Mcenroe, Glenn A.***; Goehring, R. Richard; Mavunkel, Babu; Suravajjala, Sandhya; Smith, Whitney W.; Chen, Baili
CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA
SOURCE: Molecular Diversity (1998), Volume Date 1997-1998, 3(2), 121-128
CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A simple and general approach to the synthesis of chemical libraries based on a universal anhydride template allows the preparation of large nos. of compds. Various cyclic/acyclic amines, primary/secondary amines, differently protected bifunctional amines were used as nucleophiles to react with anhydrides. The free carboxylic acid generated was then coupled with

solid-bound amines. The facile and rapid generation of compds. through this multi-component assembly can be accomplished in a combinatorial parallel synthesis.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 32 OF 32 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:162838 HCAPLUS
TITLE: Solid phase synthesis of combinatorial libraries using anhydrides as templates (part II).
AUTHOR(S): Perumattam, John; ***Chakravarty, Sarvajit***; ***McEnroe, Glenn***
CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA
SOURCE: Book of Abstracts, 213th ACS National Meeting, San Francisco, April 13-17 (1997), ORGN-576. American Chemical Society: Washington, D. C.
CODEN: 64AOAA
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB ABC type libraries are rapidly generated using readily available components such as anhydrides (A), amine nucleophiles (B), and resin-bound amines (C). Sym. diamines are reacted with chlorotrityl resin where one amine is protected as result of attachment to the resin leaving the other amine available for coupling reaction with various acids. The diverse acids are prepared by the reaction of anhydrides with amines as reported earlier.1. A method is developed for the simultaneous synthesis of hundreds of amino compds. using array synthesis which results in a single well-defined compound per well..

=> d que l33
L3 STR



G1 C,O,S,N
G2 [@1-@2],[@3-@4],[@5-@6],[@7-@8]

Structure attributes must be viewed using STN Express query preparation.
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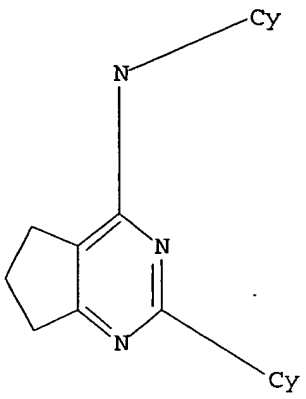

Structure attributes must be viewed using STN Express query preparation.
L12 55 SEA FILE=REGISTRY SUB=L5 SSS FUL L10
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L33 11 SEA FILE=HCAPLUS ABB=ON PLU=ON (L7 OR L13)

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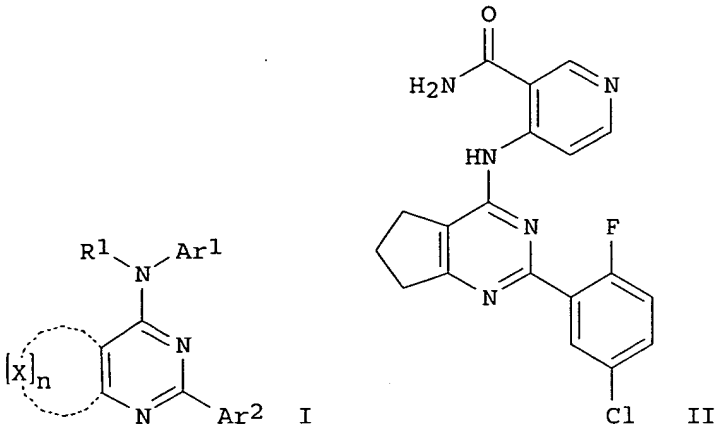
L33 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:319101 HCAPLUS
DOCUMENT NUMBER: 144:370119
TITLE: Preparation of HCV inhibiting bi-cyclic pyrimidines
INVENTOR(S): Simmen, Kenneth Alan; Lin, Tse-I.; Lenz, Oliver; Surleraux, Dominique Louis Nestor Ghislain; Raboisson, Pierre Jean-Marie Bernard
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035061	A1	20060406	WO 2005-EP54912	20050929

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2004-104815 A 20040930
EP 2005-102810 A 20050408
OTHER SOURCE(S): MARPAT 144:370119

GI

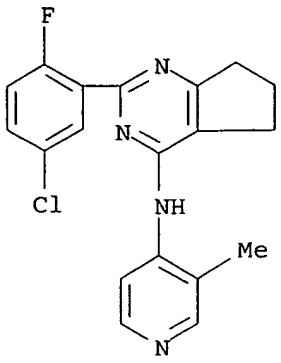


AB The title compds. I [the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsatd. or aromatic ring
containing 4-7 members; X = N, O, S; n = 0-3; Ar1, Ar2 = (un)substituted 5-12 membered (hetero)aryl containing one or more O, S, and/or N; R1 = H, (un)substituted alkyl, alkenyl, alkynyl; with proviso], useful as inhibitors of HCV replication, were prepared E.g., a multi-step synthesis of II, starting from Me 2-oxocyclopentanecarboxylate and 2-fluoro-5-chlorobenzamidine, was given. II showed EC50 of 0.4 μM in HCV replicon assay. In addition, the present invention relates to the use of of compds. I in pharmaceutical compns. aimed to treat or combat HCV infections, and processes for preparation of such pharmaceutical compns. The present invention also concerns combinations of the present bi-cyclic pyrimidines with other anti-HCV agents.

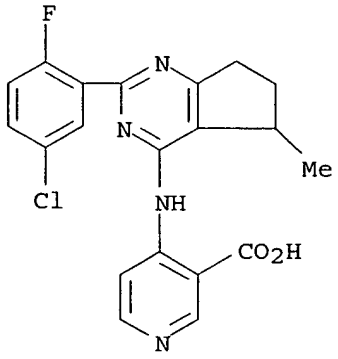
IT ***773138-62-8P 773139-11-0P***
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of HCV inhibiting bi-cyclic pyrimidines)

RN 773138-62-8 HCAPLUS

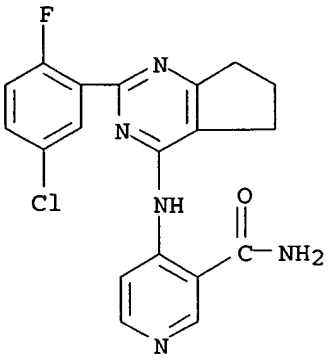
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



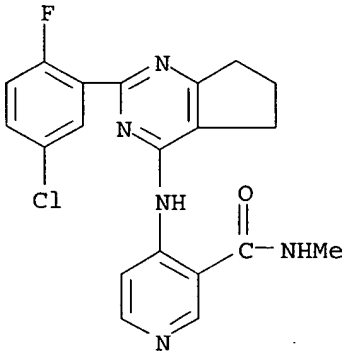
RN 773139-11-0 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



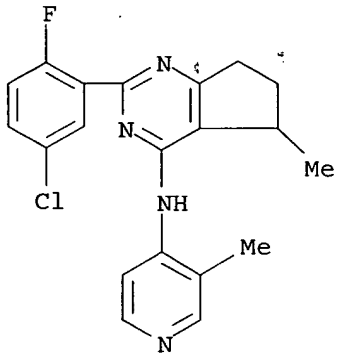
IT ***773138-64-0P 773138-82-2P 773138-98-0P***
773139-05-2P 773139-07-4P 773139-27-8P
773139-31-4P 773139-35-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of HCV inhibiting bi-cyclic pyrimidines)
RN 773138-64-0 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



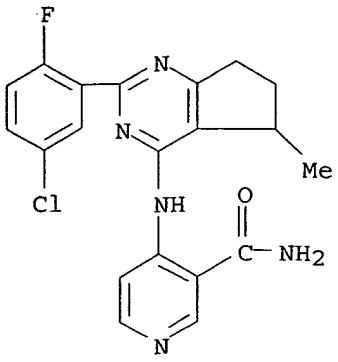
RN 773138-82-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



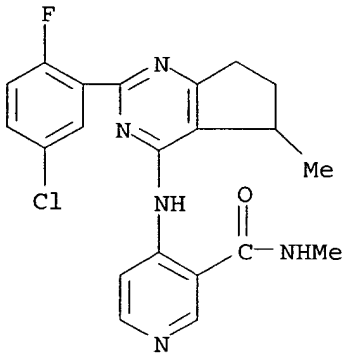
RN 773138-98-0 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



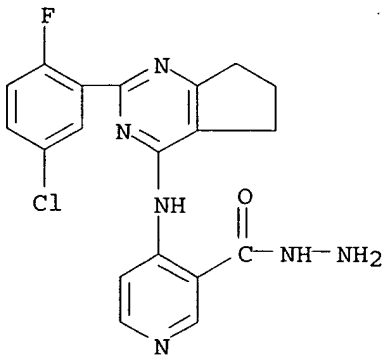
RN 773139-05-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



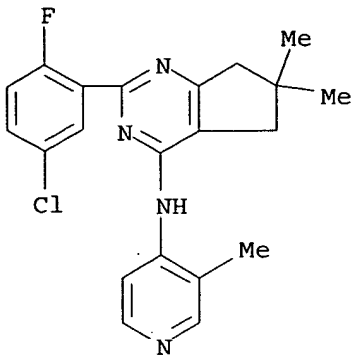
RN 773139-07-4 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-5H-cyclopentapyrimidin-4-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



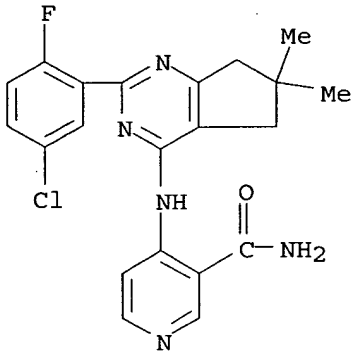
RN 773139-27-8 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-, hydrazide (9CI) (CA INDEX NAME)



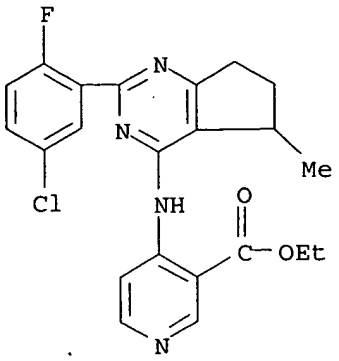
RN 773139-31-4 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



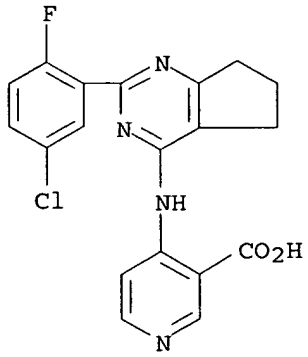
RN 773139-35-8 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



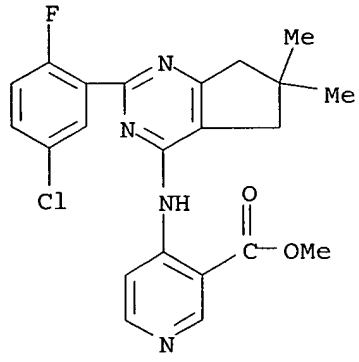
IT ***773139-09-6P 773140-00-4P 773140-26-4P 773140-27-5P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of HCV inhibiting bi-cyclic pyrimidines)
RN 773139-09-6 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-5H-cyclopentapyrimidin-4-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



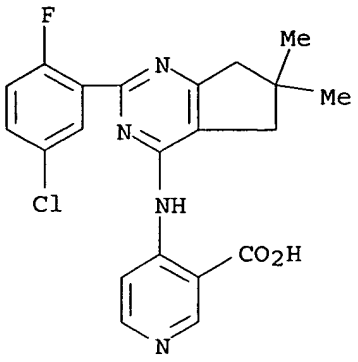
RN 773140-00-4 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



RN 773140-26-4 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 773140-27-5 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



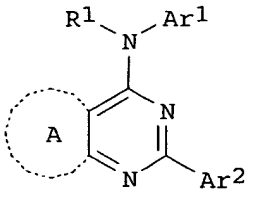
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:857329 HCAPLUS
DOCUMENT NUMBER: 141:332209
TITLE: Preparation of bicyclic pyrimidine inhibitors of TGF-β
INVENTOR(S): Dugar, Sundeep; Chakravarty, Sarvajit; Conte, Aurelia; Axon, Jonathan; Mcenroe, Glenn
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087056	A2	20041014	WO 2004-US9300	20040326
WO 2004087056	A3	20050224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2520465	AA	20041014	CA 2004-2520465	20040326
US 2005004143	A1	20050106	US 2004-811428	20040326 <--
EP 1608631	A2	20051228	EP 2004-758392	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-458982P P 20030328
WO 2004-US9300 W 20040326

OTHER SOURCE(S): MARPAT 141:332209
GI



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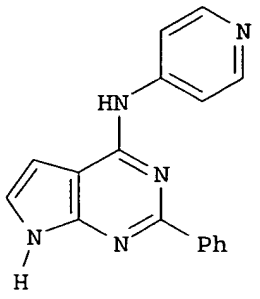
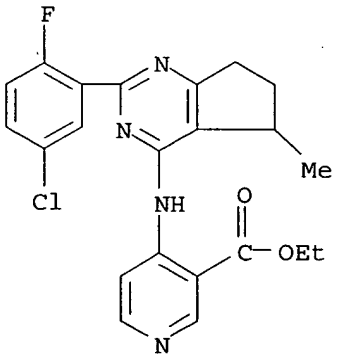


II

AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkenyl, -alkynyl; Ar1 and Ar2 independently = (un)substituted aromatic or heteroarom. moiety; Ring A is (un)substituted, (un)saturated or aromatic and contains 4-7 members, wherein each member independently = C, N, O, or S], as well as their pharmaceutically acceptable salts, are prepared and disclosed as being useful for treating subjects with conditions ameliorated by inhibition of transforming growth factor-β (TGF-β) activity. Thus, e.g., II was prepd by cyclocondensation of benzamidine hydrochloride with Et 2-cyano-4,4-diethoxybutyrate to form 2-phenylpyrrolo[2,3-d]pyrimidone which was chlorinated and substituted with 4-aminopyridine. In TGF-β assays, I were found to possess IC50 values ranging from 0.0145-16.141 μM.

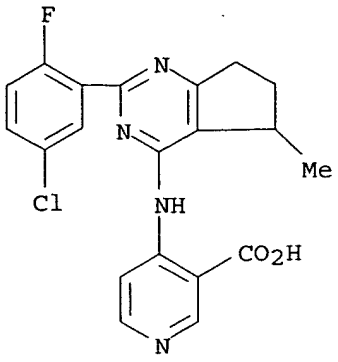
IT ***773139-09-6P 773139-11-0P***
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of bicyclic pyrimidines as inhibitors of transforming growth factor-β)

RN 773139-09-6 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-5H-cyclopentapyrimidin-4-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 773139-11-0 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-

methyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



IT *773138-62-8P 773138-64-0P 773138-76-4P*
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773138-94-6P 773138-96-8P 773138-98-0P
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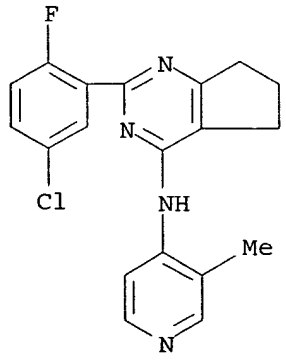
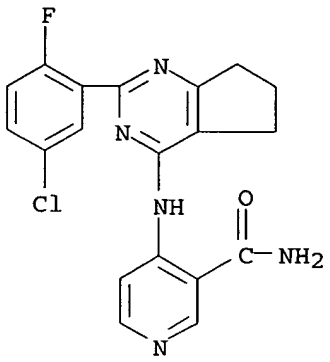
773139-65-4P 773139-67-6P 773139-73-4P
773139-75-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bicyclic pyrimidines as inhibitors of transforming growth factor-β)

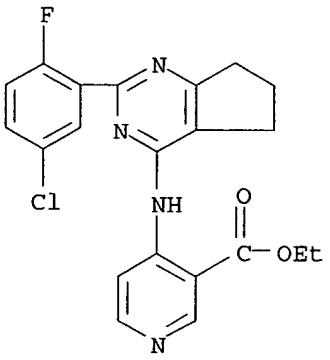
RN 773138-62-8 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



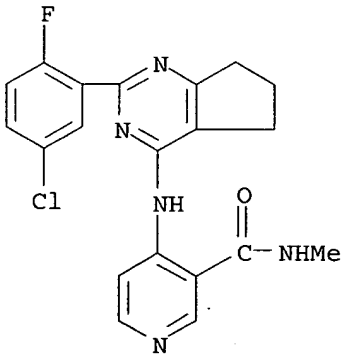
RN 773138-64-0 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



RN 773138-76-4 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

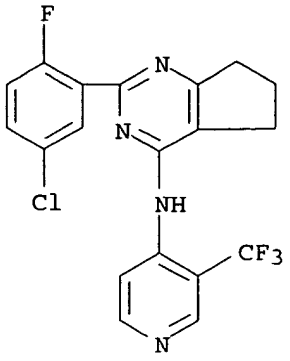


RN 773138-82-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)

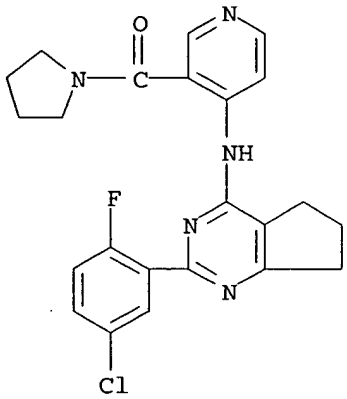


RN 773138-84-4 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-N-

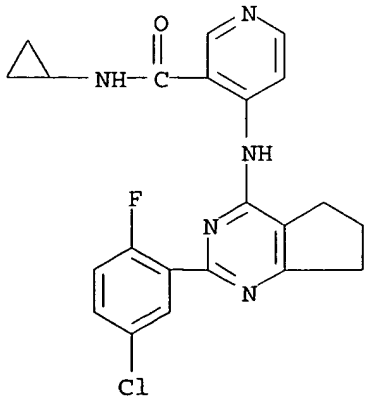
[3-(trifluoromethyl)-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 773138-86-6 HCAPLUS
CN Pyrrolidine, 1-[[4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 773138-94-6 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-cyclopropyl- (9CI) (CA INDEX NAME)



RN 773138-96-8 HCAPLUS
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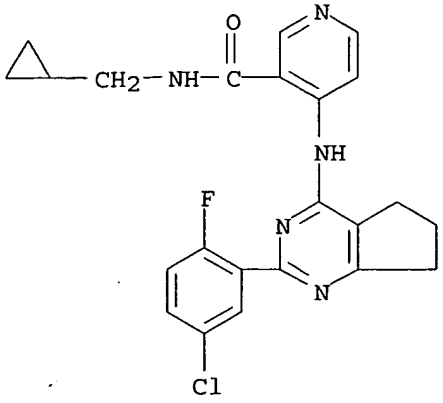
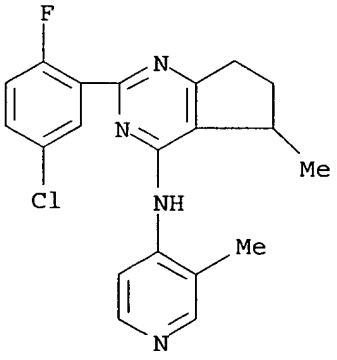
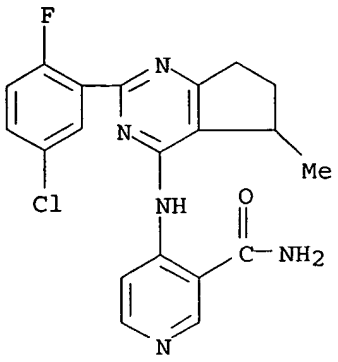


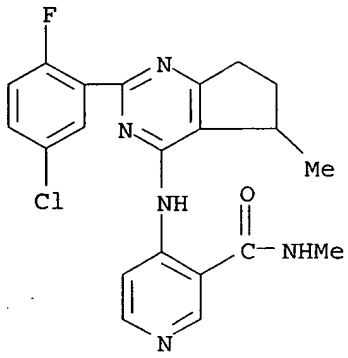
RN 773138-98-0 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 773139-05-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

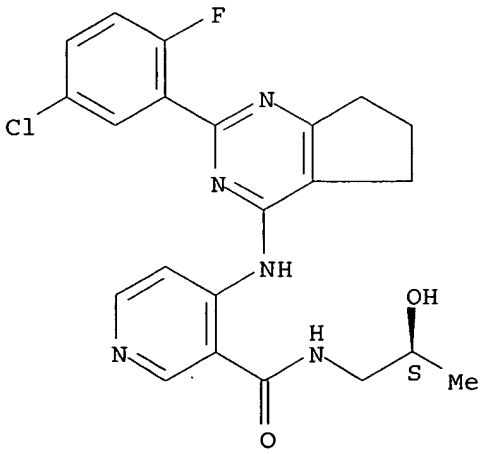


RN 773139-07-4 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5-methyl-5H-cyclopentapyrimidin-4-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



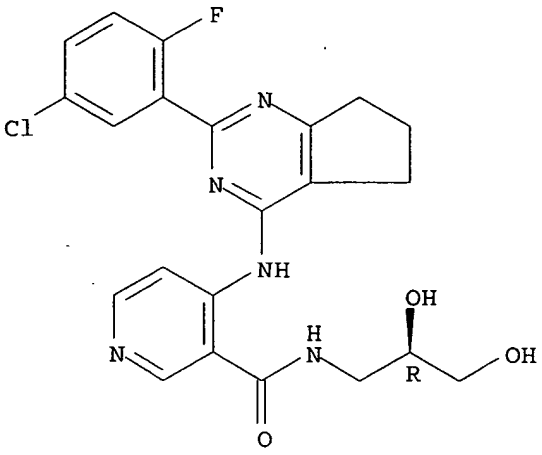
RN 773139-15-4 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

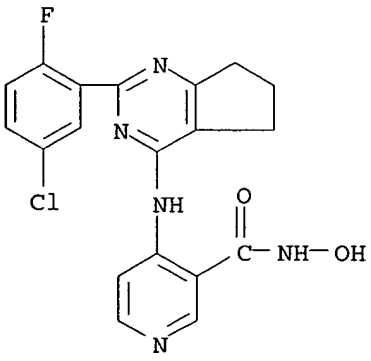


RN 773139-17-6 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[(2R)-2,3-dihydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

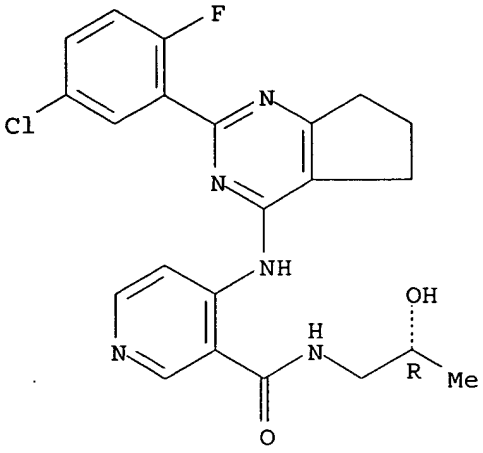


RN 773139-19-8 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

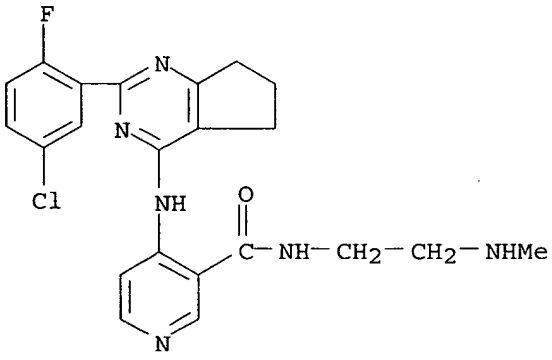


RN 773139-21-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

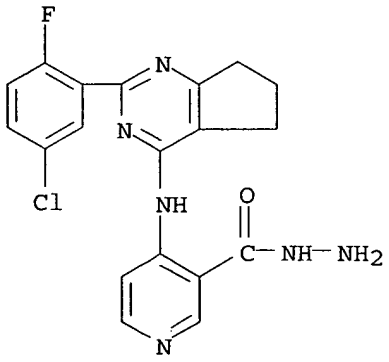
Absolute stereochemistry.



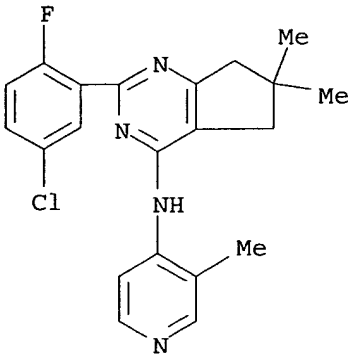
RN 773139-23-4 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[2-(methylamino)ethyl]- (9CI) (CA INDEX NAME)



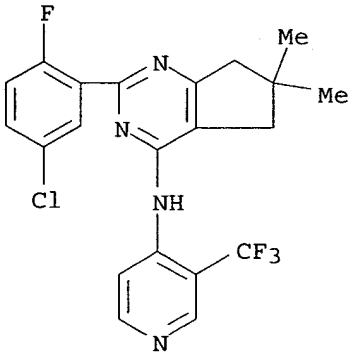
RN 773139-27-8 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-, hydrazide (9CI) (CA INDEX NAME)



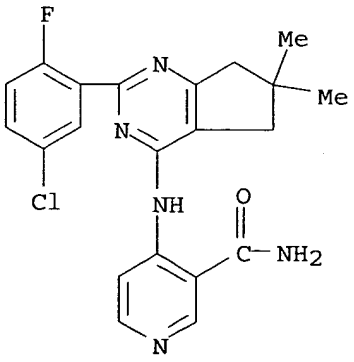
RN 773139-31-4 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-N-(3-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



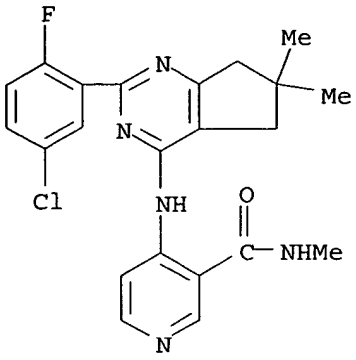
RN 773139-33-6 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-N-[3-(trifluoromethyl)-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 773139-35-8 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)

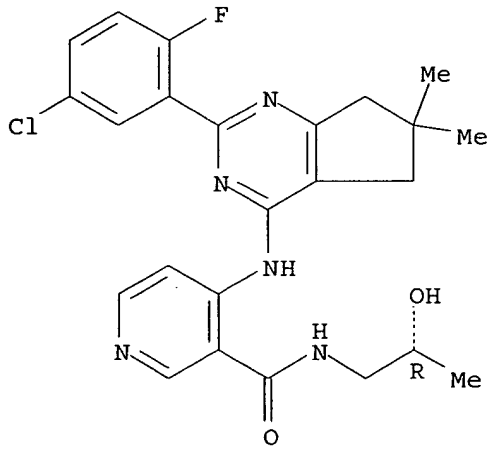


RN 773139-37-0 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



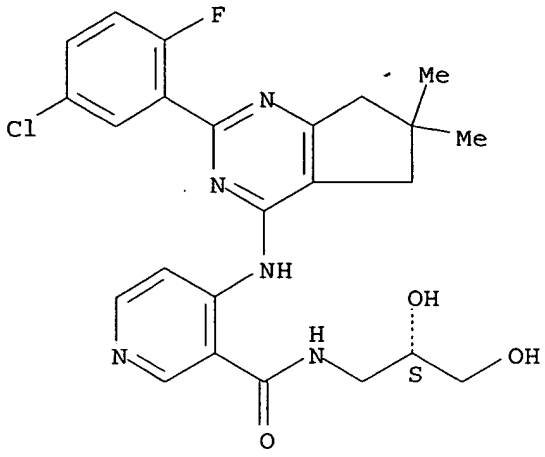
RN 773139-39-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

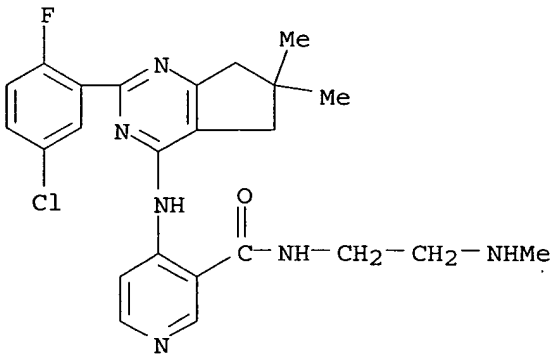


RN 773139-41-6 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]-N-[(2S)-2,3-dihydroxypropyl]- (9CI) (CA INDEX NAME)

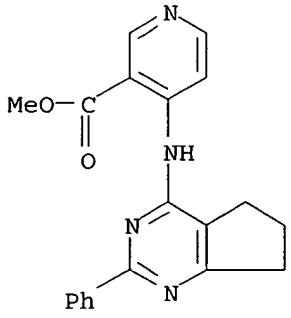
Absolute stereochemistry.



RN 773139-43-8 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]-N-[2-(methylamino)ethyl]-(9CI) (CA INDEX NAME)

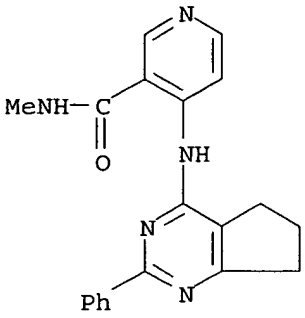


RN 773139-45-0 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[(6,7-dihydro-2-phenyl-5H-cyclopentapyrimidin-4-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

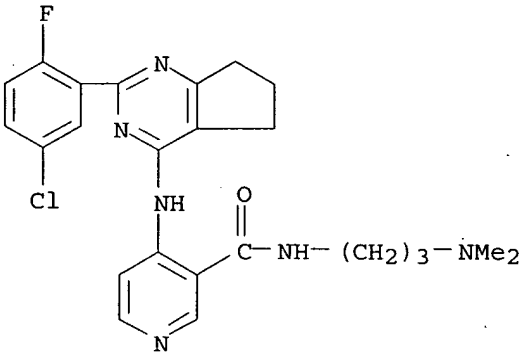


RN 773139-47-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[(6,7-dihydro-2-phenyl-5H-cyclopentapyrimidin-4-

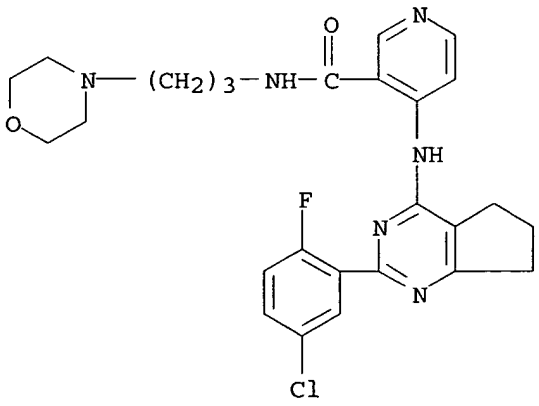
yl)amino]-N-methyl- (9CI) (CA INDEX NAME)



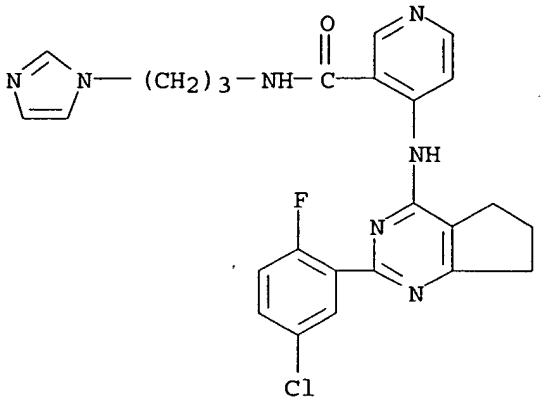
RN 773139-49-4 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



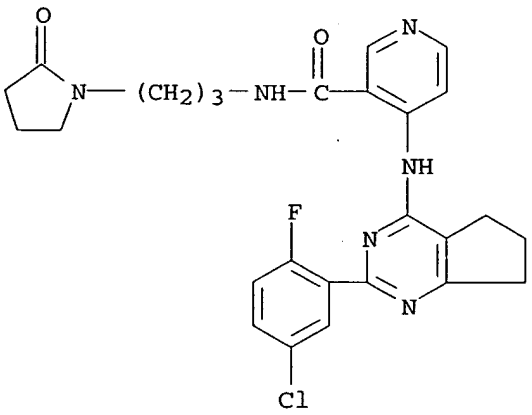
RN 773139-51-8 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



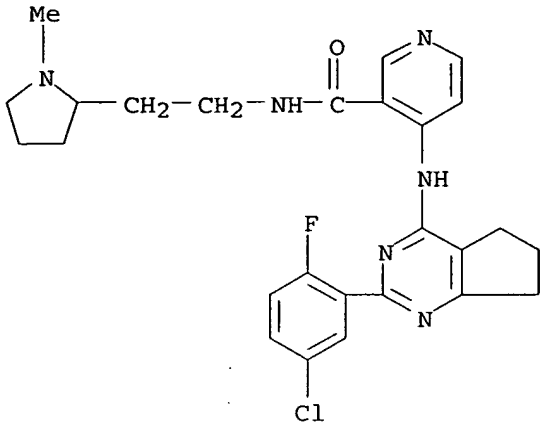
RN 773139-53-0 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



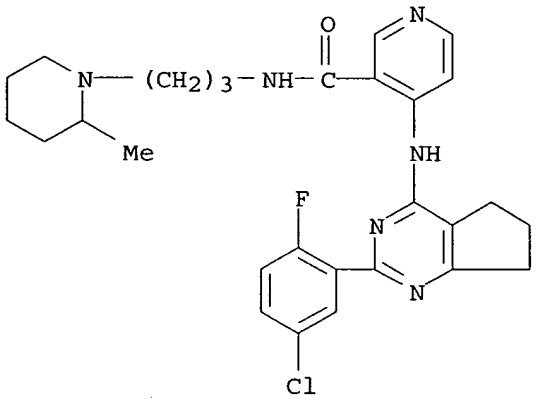
RN 773139-55-2 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



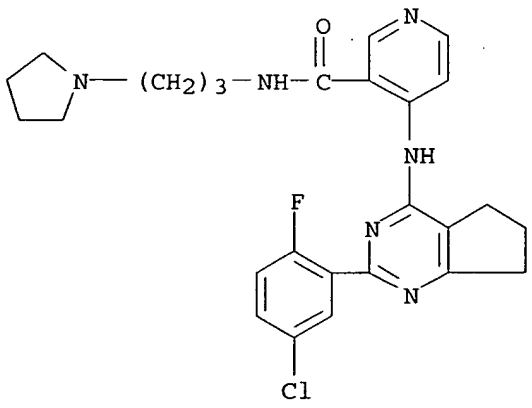
RN 773139-57-4 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



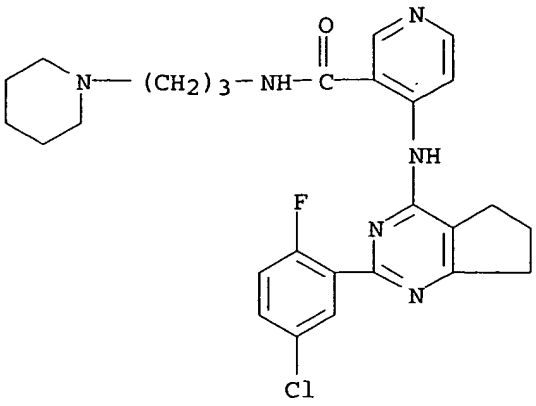
RN 773139-59-6 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



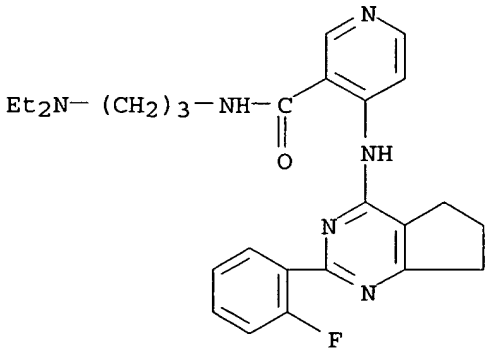
RN 773139-61-0 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 773139-65-4 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-N-[3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 773139-67-6 HCAPLUS
CN 3-Pyridinecarboxamide, N-[3-(diethylamino)propyl]-4-[[2-(2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



RN 773139-73-4 HCAPLUS
CN 5H-Cyclopentapyrimidin-4-amine, 2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-N-(3-methyl-4-pyridinyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773139-31-4
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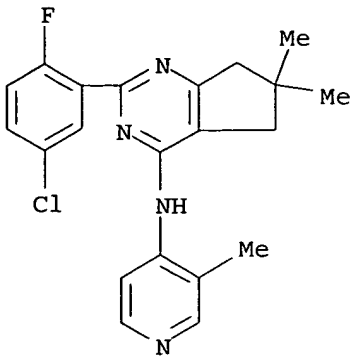

CM 2

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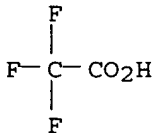

RN 773139-75-6 HCAPLUS
CN 3-Pyridinecarboxamide, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

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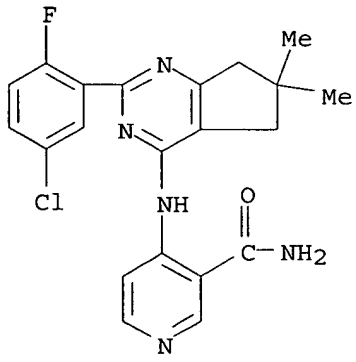

CM 2

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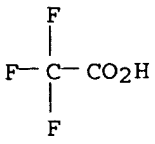
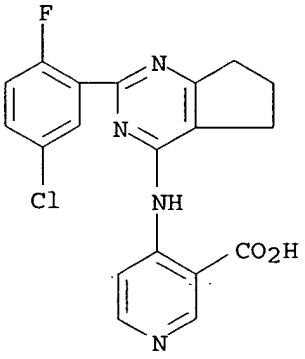


IT *773140-00-4P 773140-26-4P 773140-27-5P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bicyclic pyrimidines as inhibitors of transforming growth factor-β)

RN 773140-00-4 HCAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



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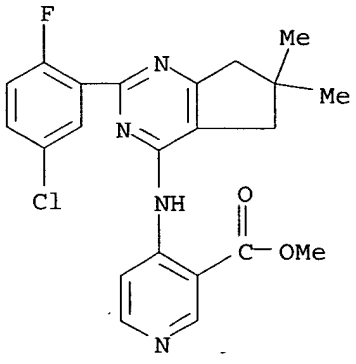
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)



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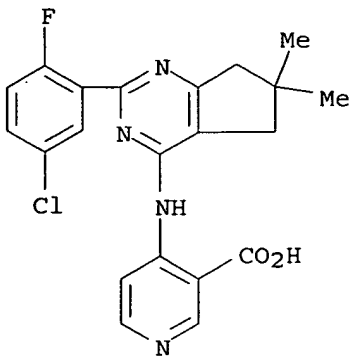
CN 3-Pyridinecarboxylic acid, 4-[[2-(5-chloro-2-fluorophenyl)-6,7-dihydro-6,6-dimethyl-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



L33 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220584 HCAPLUS
DOCUMENT NUMBER: 136:247584
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Knegtel, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 356 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914
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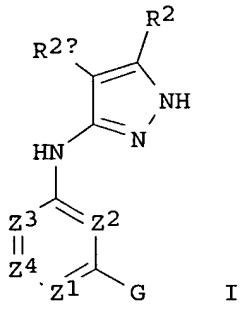

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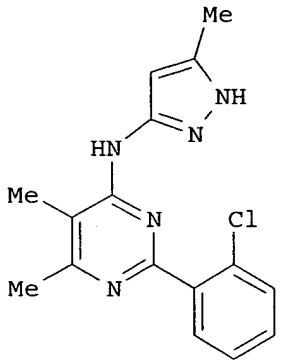

II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl

or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as
inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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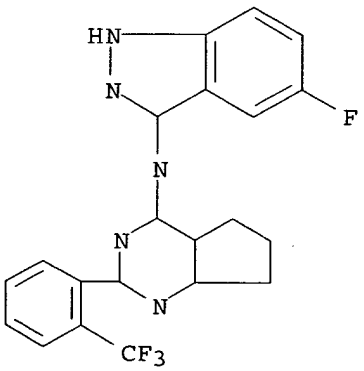

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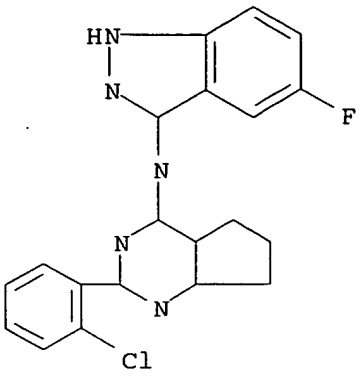

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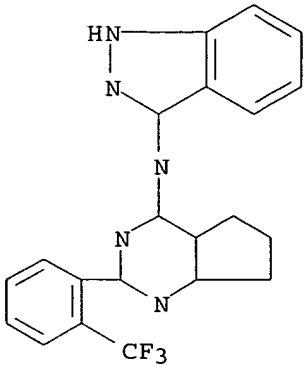

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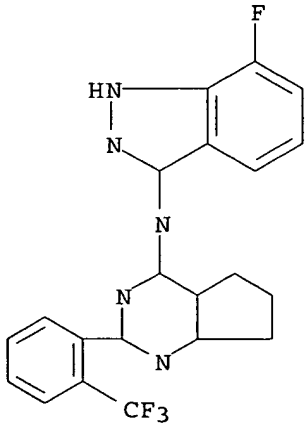

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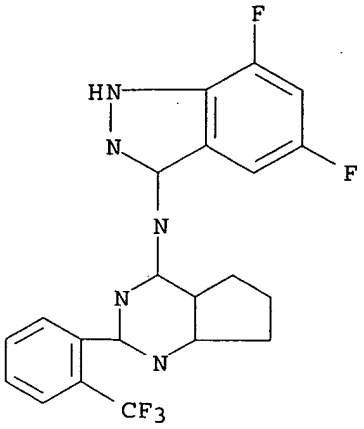

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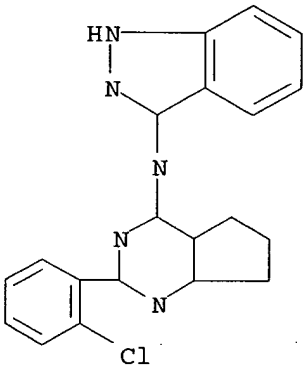

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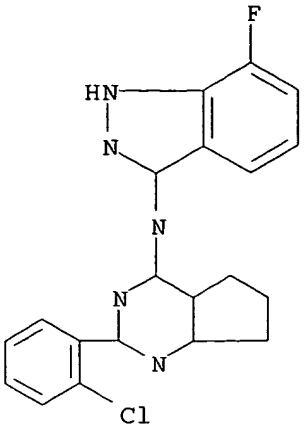

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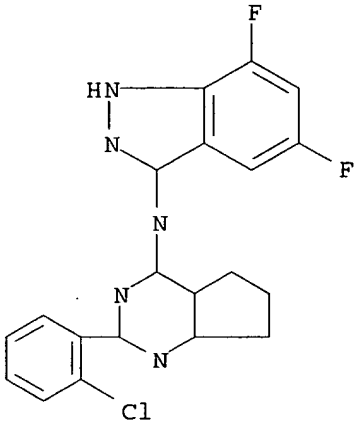

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L33 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220583 HCAPLUS
DOCUMENT NUMBER: 136:247583
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

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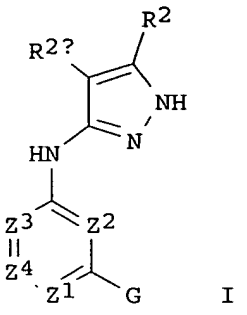

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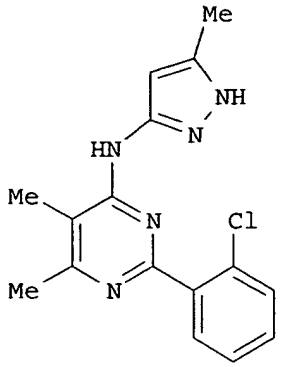


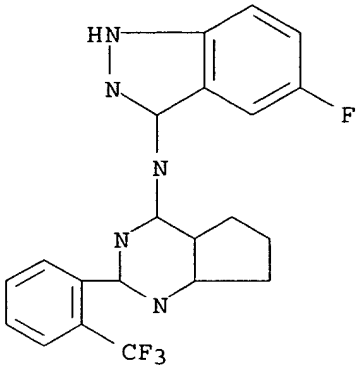
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT ***404827-36-7P*** ***404827-42-5P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5-fluoro-1H-indazol-3-yl)amine ***404827-43-6P***, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-44-7P***, (7-Fluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-45-8P***, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-46-9P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](1H-indazol-3-yl)amine ***404827-47-0P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](7-fluoro-1H-indazol-3-yl)amine ***404827-48-1P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5,7-difluoro-1H-indazol-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 HCAPLUS
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



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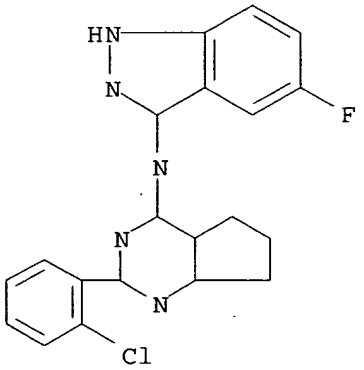

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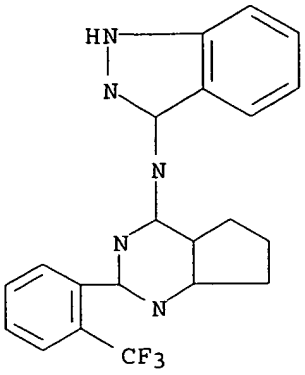

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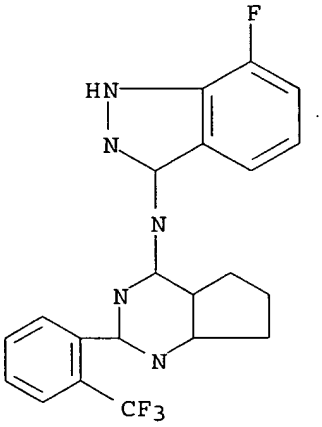

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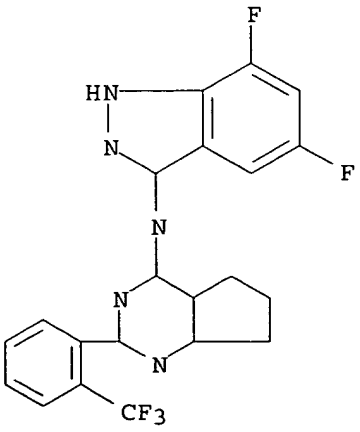

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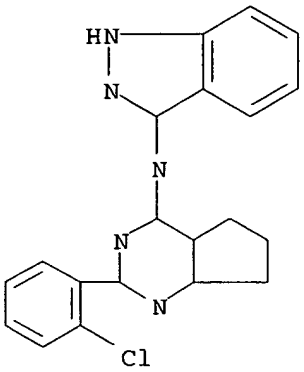

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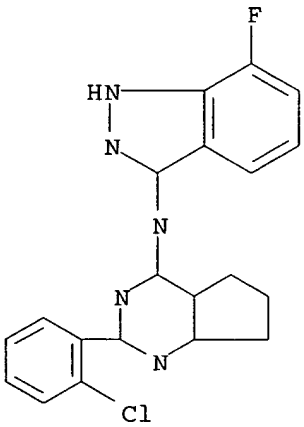

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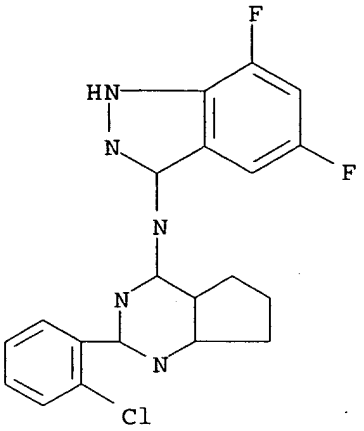

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REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220582 HCAPLUS
DOCUMENT NUMBER: 136:247582
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 136:247582

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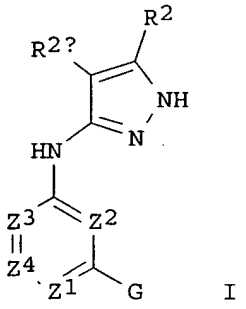

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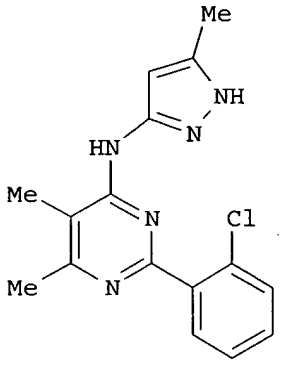

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C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

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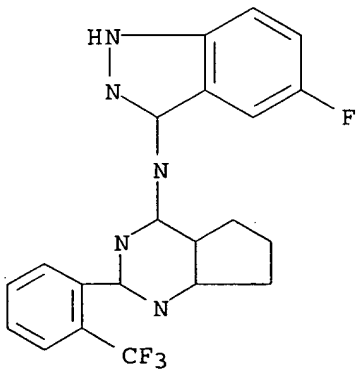
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 HCAPLUS

CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



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RN 404827-42-5 HCAPLUS
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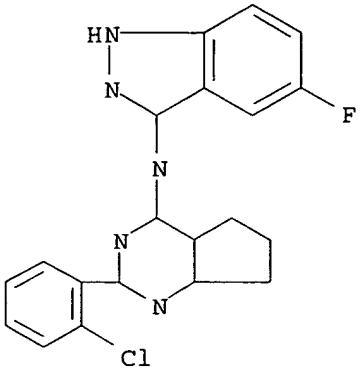

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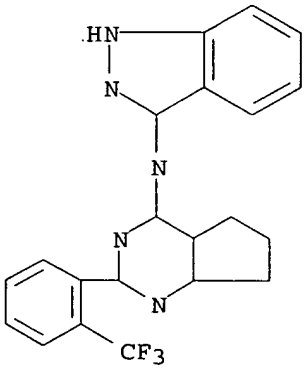

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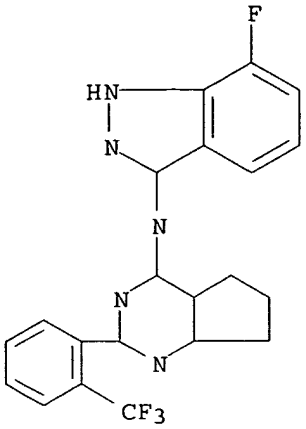

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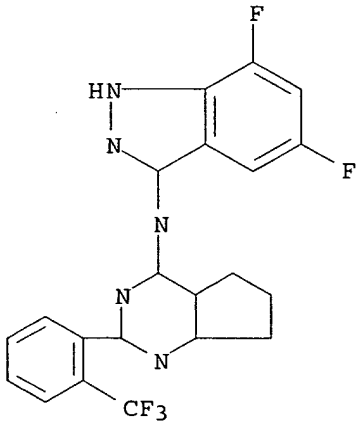

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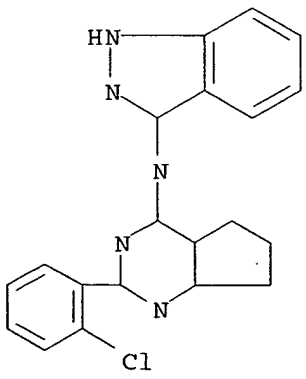

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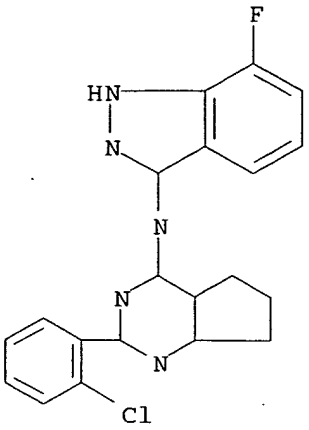

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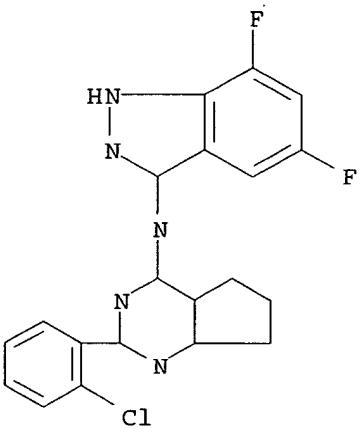

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220581 HCAPLUS
DOCUMENT NUMBER: 136:247581
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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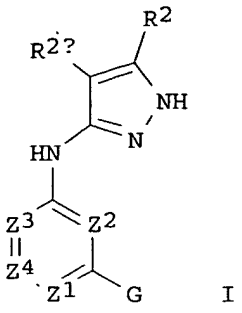
OTHER SOURCE(S): MARPAT 136:247581
GI



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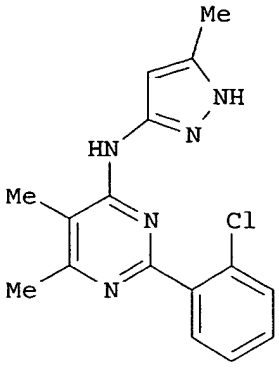


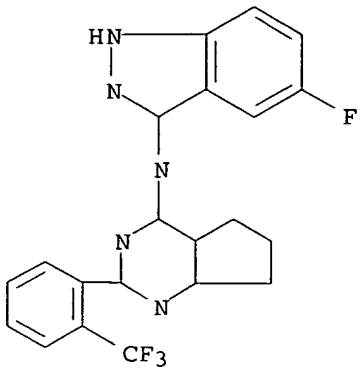
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT ***404827-36-7P 404827-42-5P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5-fluoro-1H-indazol-3-yl)amine ***404827-43-6P***, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-44-7P***, (7-Fluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-45-8P***, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-46-9P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](1H-indazol-3-yl)amine ***404827-47-0P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](7-fluoro-1H-indazol-3-yl)amine ***404827-48-1P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5,7-difluoro-1H-indazol-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 HCAPLUS
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



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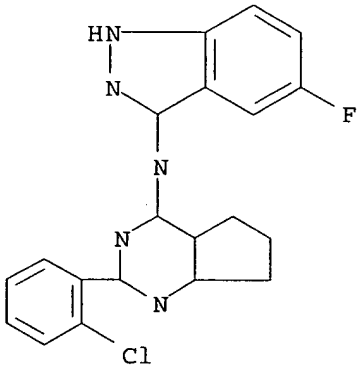

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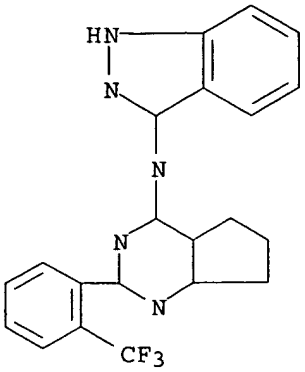

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RN 404827-44-7 HCAPLUS
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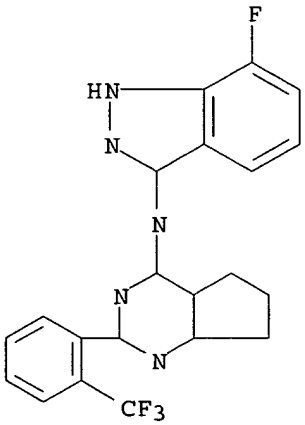

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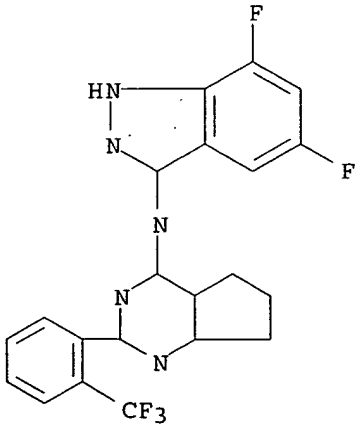

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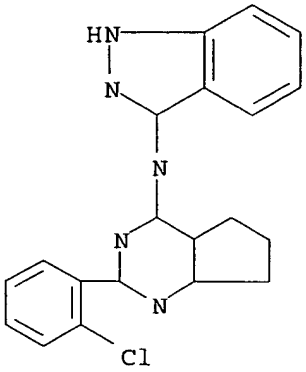

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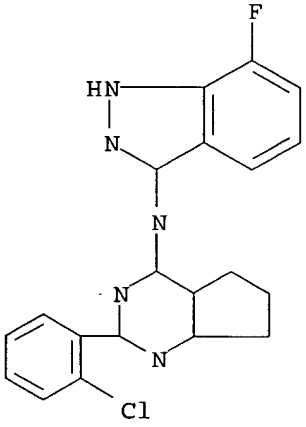

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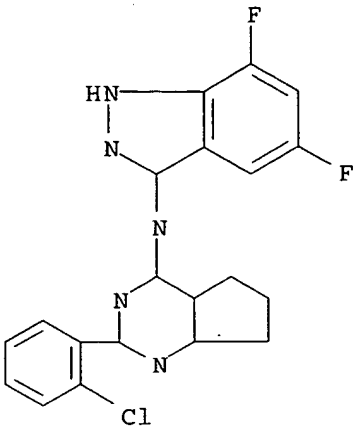

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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220580 HCAPLUS
DOCUMENT NUMBER: 136:247606
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

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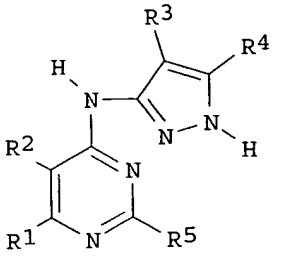

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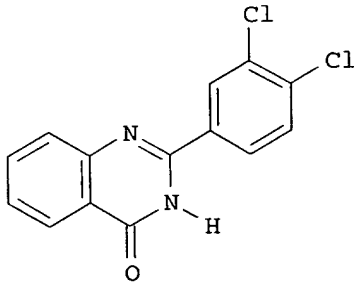

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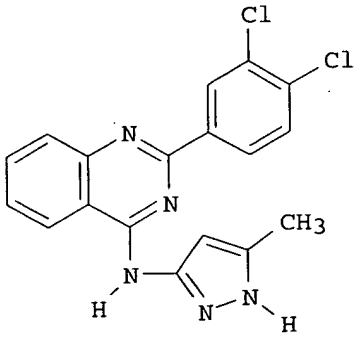

III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form

Saloni Sharma

08/15/2006

(un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT ***404827-36-7P 404827-42-5P 404827-43-6P***
404827-44-7P 404827-45-8P 404827-46-9P
404827-47-0P 404827-48-1P 404844-84-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404827-36-7 HCAPLUS
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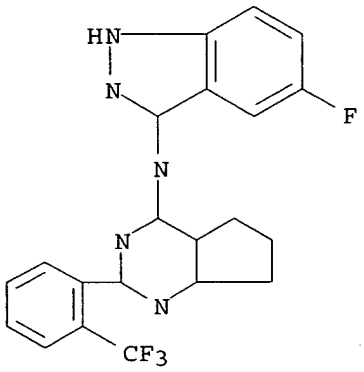

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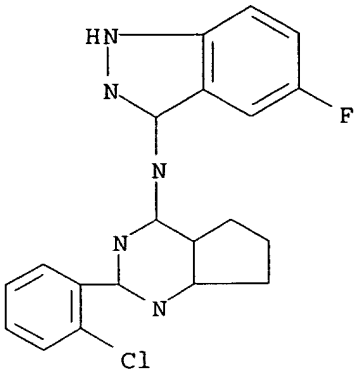

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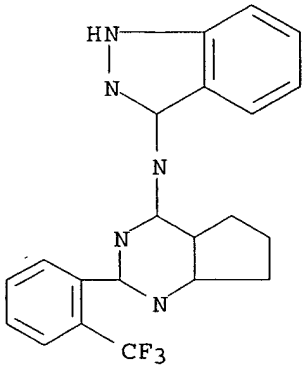

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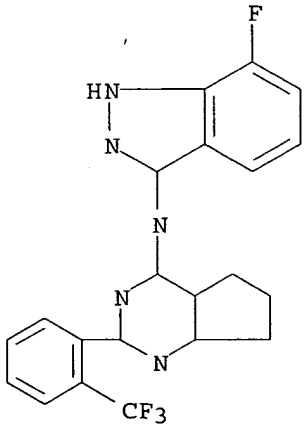

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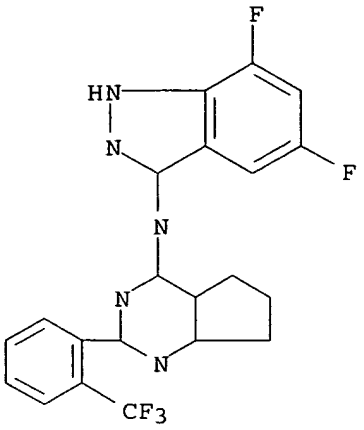

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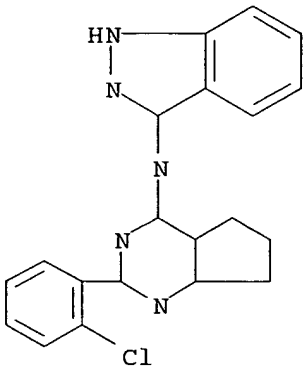

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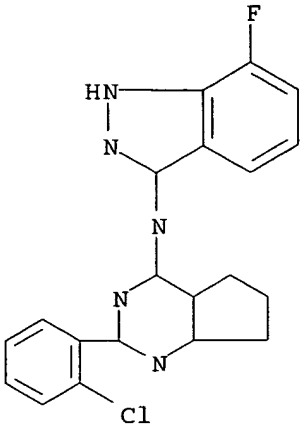

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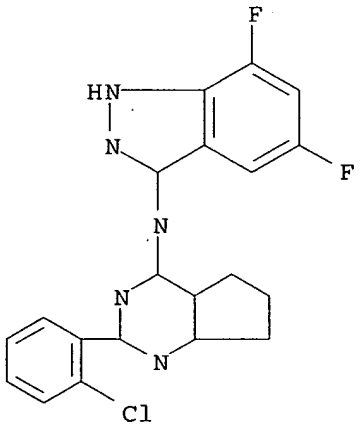

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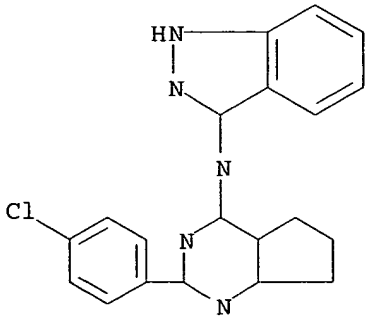

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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220579 HCAPLUS
DOCUMENT NUMBER: 136:247580
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 406 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

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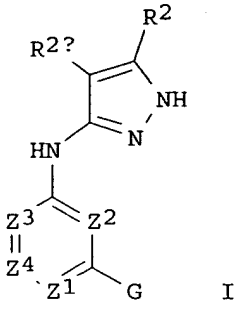

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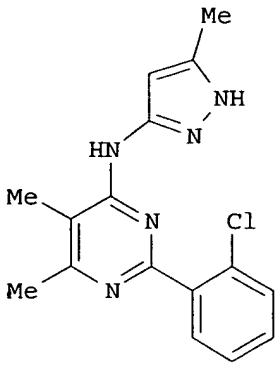


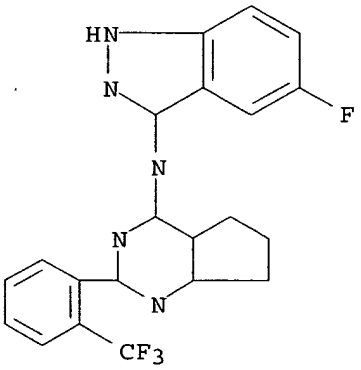
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT ***404827-36-7P 404827-42-5P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5-fluoro-1H-indazol-3-yl)amine ***404827-43-6P***, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-

dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-44-7P***,
(7-Fluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-45-8P***,
(5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-46-9P***,
[2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](1H-indazol-3-yl)amine ***404827-47-0P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](7-fluoro-1H-indazol-3-yl)amine ***404827-48-1P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5,7-difluoro-1H-indazol-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 HCAPLUS
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



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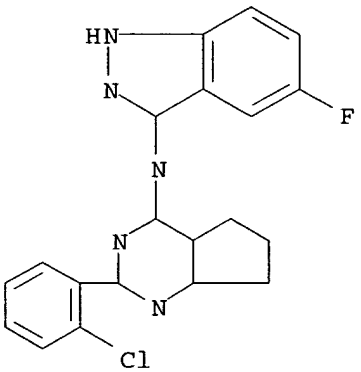

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RN 404827-43-6 HCAPLUS

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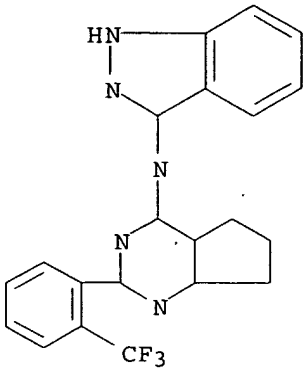

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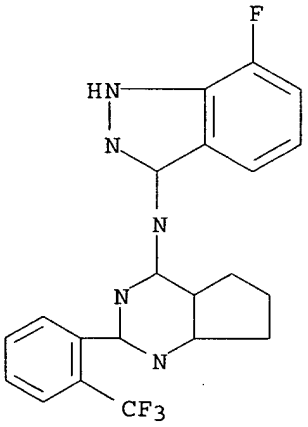

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RN 404827-45-8 HCAPLUS

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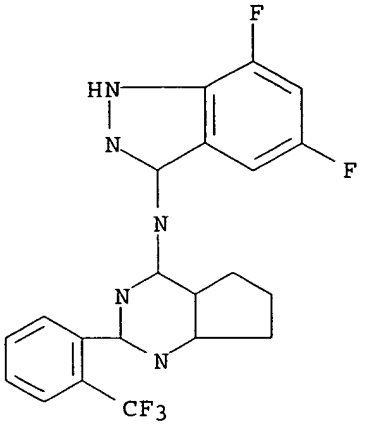

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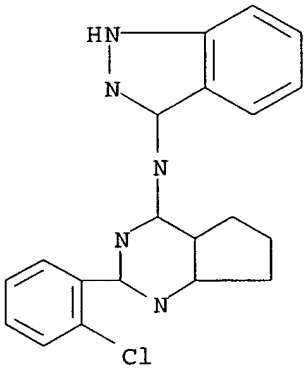

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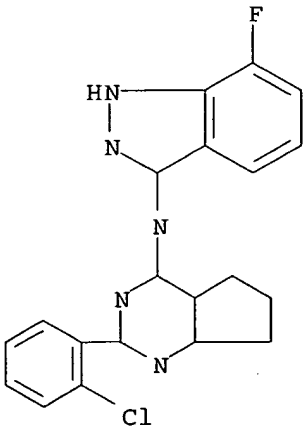

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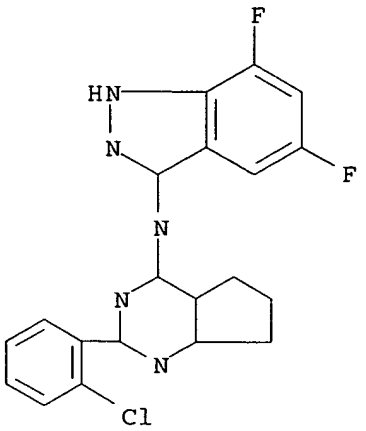

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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220578 HCAPLUS
DOCUMENT NUMBER: 136:263164
TITLE: Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Knegtel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

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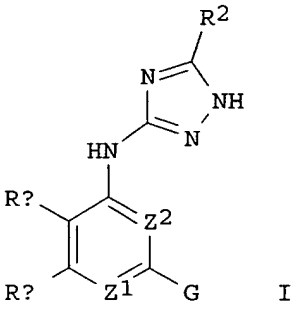
OTHER SOURCE(S): MARPAT 136:263164

GI



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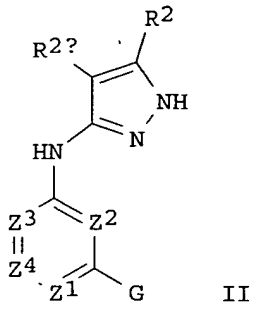
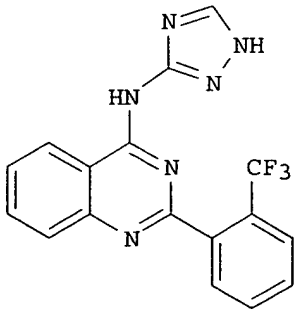


II



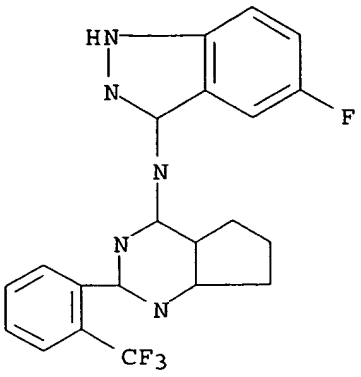
III

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 1.0-20 μM for Aurora-2.

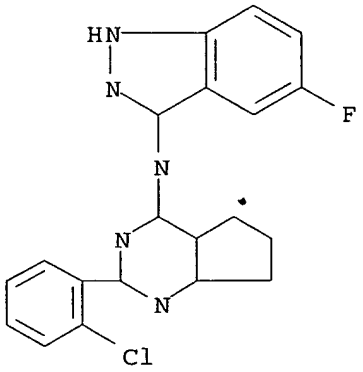
IT ***404827-36-7P 404827-42-5P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5-fluoro-1H-indazol-3-yl)amine ***404827-43-6P***, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-44-7P***, (7-Fluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-45-8P***, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-46-9P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](1H-indazol-3-yl)amine ***404827-47-0P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](7-fluoro-1H-indazol-3-yl)amine ***404827-48-1P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5,7-difluoro-1H-indazol-3-yl)amine ***404889-65-2P 404891-20-9P***
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 HCAPLUS

CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404827-42-5 HCAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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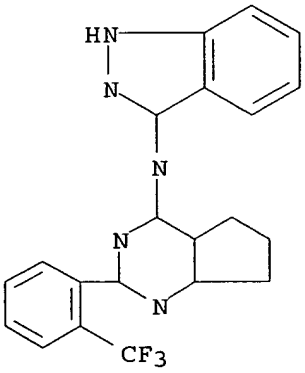

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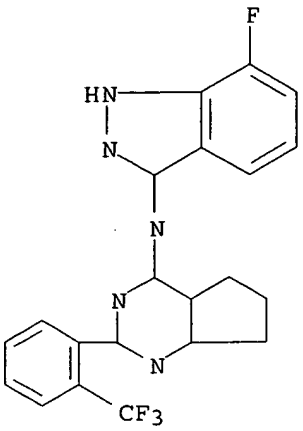
RN 404827-44-7 HCAPLUS

CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



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RN 404827-45-8 HCAPLUS

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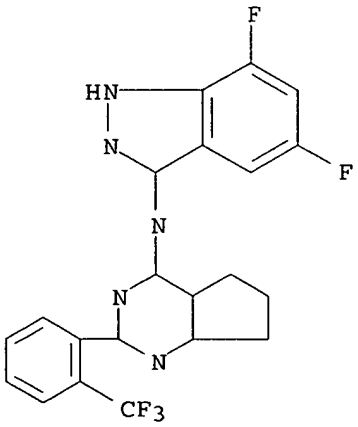

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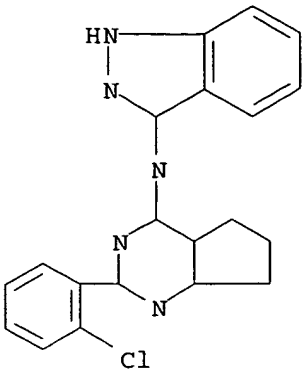

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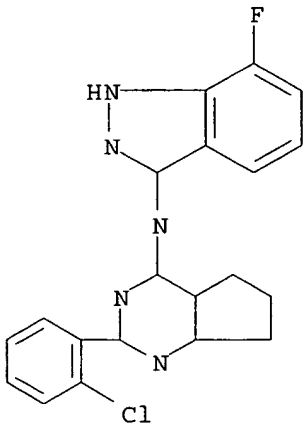

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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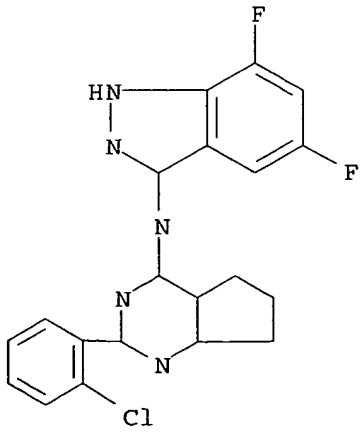

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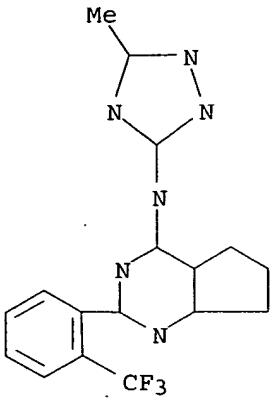

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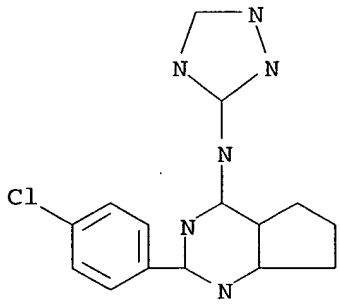

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L33 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:220577 HCAPLUS
DOCUMENT NUMBER: 136:247579
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 376 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914
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OTHER SOURCE(S): MARPAT 136:247579
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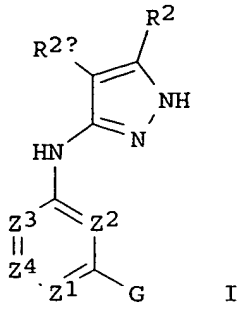

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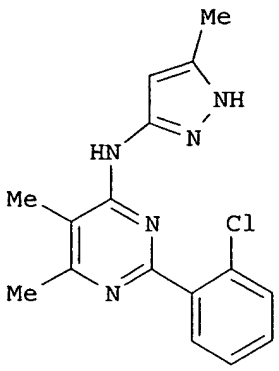


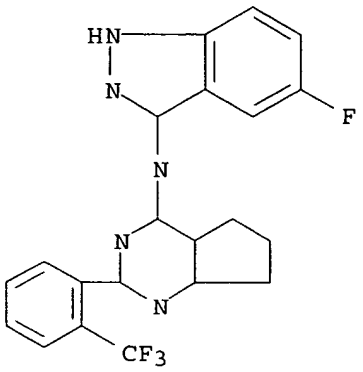
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT ***404827-36-7P*** ***404827-42-5P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5-fluoro-1H-indazol-3-yl)amine ***404827-43-6P***, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-44-7P***, (7-Fluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-45-8P***, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine ***404827-46-9P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](1H-indazol-3-yl)amine ***404827-47-0P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](7-fluoro-1H-indazol-3-yl)amine ***404827-48-1P***, [2-(2-Chlorophenyl)-6,7-dihydro-5H-

cyclopentapyrimidin-4-yl](5,7-difluoro-1H-indazol-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 HCAPLUS
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



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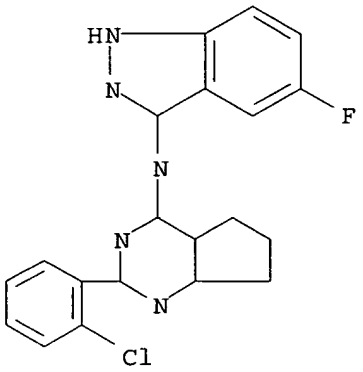

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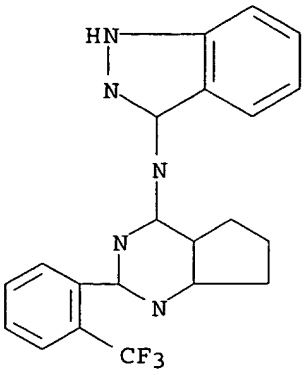

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404827-44-7 HCAPLUS
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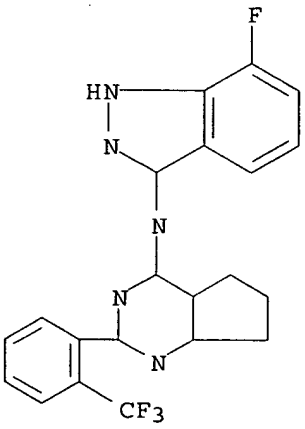

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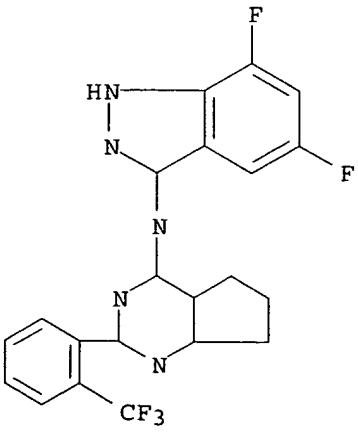
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



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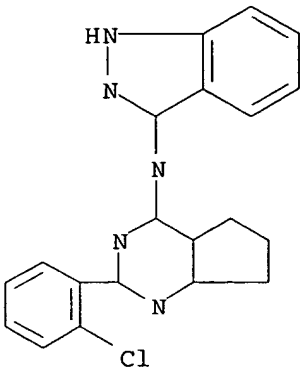

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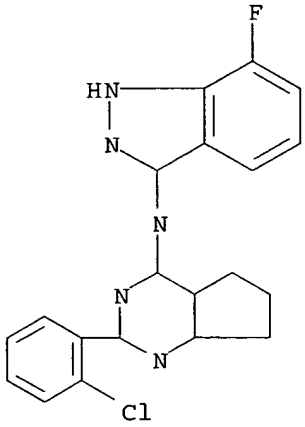

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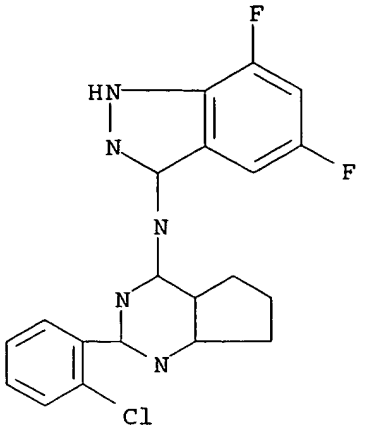


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

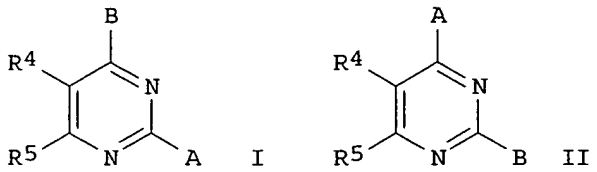
L33 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:401560 HCAPLUS
DOCUMENT NUMBER: 125:58535
TITLE: Preparation of pyrimidine derivatives as gastric secretion inhibitors
INVENTOR(S): Lee, Jong Wook; Chae, Jeong Seok; Kim, Chang Seop; Kim, Jae Kyu; Lim, Dae Sung; Shon, Moon Kyu; Choi, Yeon Shik; Lee, Sang Ho
PATENT ASSIGNEE(S): Yuhan Corporation, S. Korea
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

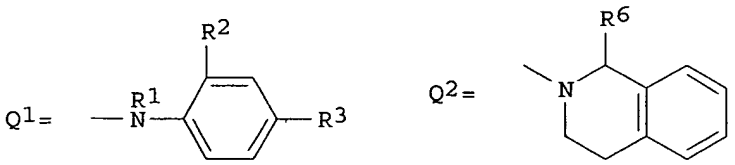
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605177	A1	19960222	WO 1995-KR105	19950810
W: AU, CA, CN, JP, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 157075	B1	19981116	KR 1994-19997	19940813
KR 157076	B1	19981116	KR 1994-19998	19940813
CA 2197298	AA	19960222	CA 1995-2197298	19950810
CA 2197298	C	19991019		
AU 9531225	A1	19960307	AU 1995-31225	19950810
AU 688087	B2	19980305		
EP 775120	A1	19970528	EP 1995-927092	19950810
EP 775120	B1	20030604		
R: CH, DE, ES, FR, GB, IT, LI, SE				
CN 1155281	A	19970723	CN 1995-194599	19950810
CN 1102144	B	20030226		
JP 09509188	T2	19970916	JP 1995-507208	19950810
JP 2896532	B2	19990531		
RU 2129549	C1	19990427	RU 1997-104208	19950810
ES 2201112	T3	20040316	ES 1995-927092	19950810
US 5750531	A	19980512	US 1997-776220	19970123
HK 1001618	A1	20030822	HK 1998-100535	19980121

PRIORITY APPLN. INFO.: KR 1994-19997 A 19940813
KR 1994-19998 A 19940813
WO 1995-KR105 W 19950810

OTHER SOURCE(S): MARPAT 125:58535

GI



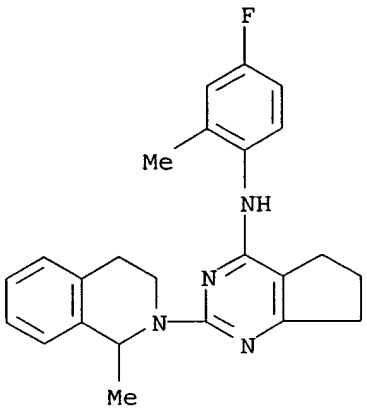


AB The title compds. I and II [R4 and R5, which may be the same or different, are independently hydrogen or a C1-C3 alkyl group, or jointly form a cyclopentyl or cyclohexyl ring; A is Q1 wherein R1 and R2 are, independently of each other, hydrogen or a C1-C3 alkyl group, and R3 is hydrogen, a C1-C3 alkyl group or a halogen; and B is Q2, etc.; R6 is hydrogen or a C1-C3 alkyl group] are prepared 2-(2-Methyl-4-fluorophenylamino)-4-(1-methyl-1,2,3,4-tetrahydroisoquinolin-2-yl)pyrimidine hydrochloride (preparation given) in vitro showed IC50 of 5.4 μM against H+/K+ ATPase, vs. 5.8 μM for omeprazole. The inhibition of enzyme activity by compds. of this invention is reversible.

IT ***178308-05-9P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as gastric secretion inhibitors)

RN 178308-05-9 HCAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-N-(4-fluoro-2-methylphenyl)-6,7-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file supports REGlstRY for direct browsing and searching of
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L3              STR
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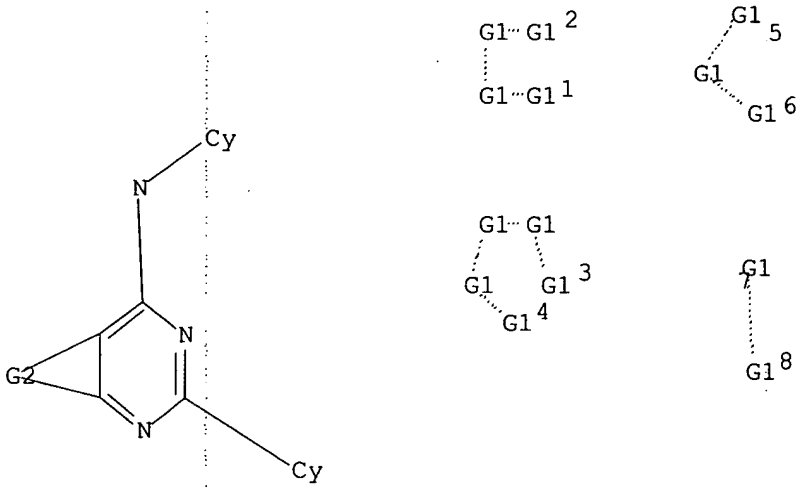


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G1 C,O,S,N
G2 [@1-@2],[@3-@4],[@5-@6],[@7-@8]


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L6           181 SEA FILE=CAPLUS ABB=ON  PLU=ON  L5
L30           14 SEA FILE=CAOLD ABB=ON  PLU=ON  L5
L31           14 SEA FILE=CAOLD ABB=ON  PLU=ON  (L30 OR L6)
L32           14 SEA FILE=CAOLD ABB=ON  PLU=ON  L31 NOT (PY>2003 OR AY>2003 OR
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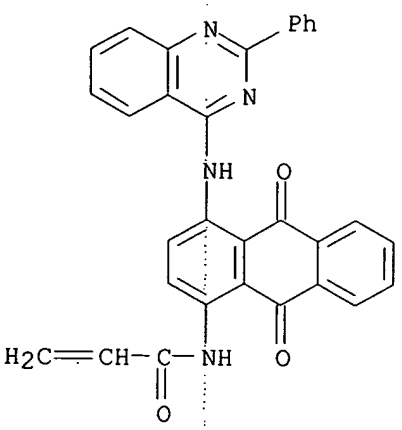
=> d bib hitstr l32 tot

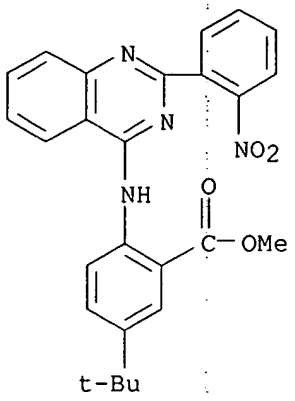
L32 ANSWER 1 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA64:6797h CAOLD
TI anthraquinone pigments
PA Badische Anilin- & Soda-Fabrik A.-G.
DT Patent

PATENT NO.	KIND	DATE
NL 299516		

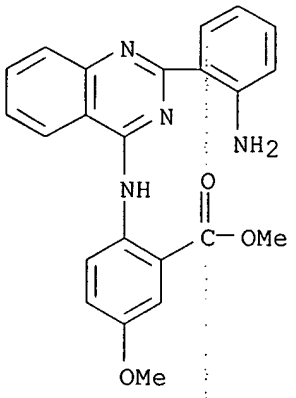
IT ***5003-45-2***
RN 5003-45-2 CAOLD
CN Acrylamide, N-[4-[(2-phenyl-4-quinazolinyl)amino]-1-anthraquinonyl]- (7CI, 8CI) (CA INDEX NAME)



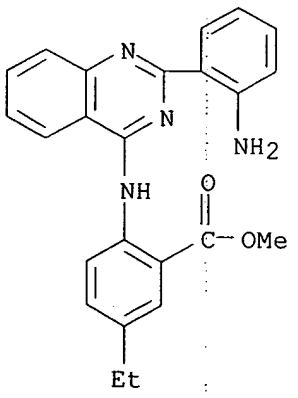
L32 ANSWER 2 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA62:16737d CAOLD
TI biochem. and morphologic properties of a lactating mammary tumor line
AU Hilf, Russell; Michel, I.; Bell, C.; Freeman, J. J.; Borman, A.
IT ***2475-69-6 2475-72-1 2475-73-2***
2475-75-4 2475-76-5 4310-07-0
98024-45-4 102287-24-1 104998-19-8
RN 2475-69-6 CAOLD
CN Benzoic acid, 5-(1,1-dimethylethyl)-2-[[2-(2-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 2475-72-1 CAOLD
CN Benzoic acid, 2-[[2-(2-aminophenyl)-4-quinazolinyl]amino]-5-methoxy-, methyl ester (9CI) (CA INDEX NAME)

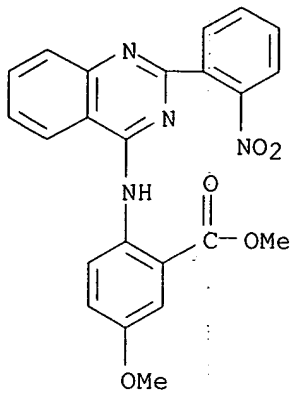


RN 2475-73-2 CAOLD
CN Benzoic acid, 2-[[2-(2-aminophenyl)-4-quinazolinyl]amino]-5-ethyl-, methyl ester (9CI) (CA INDEX NAME)

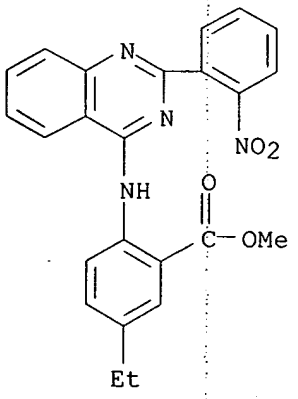


RN 2475-75-4 CAOLD

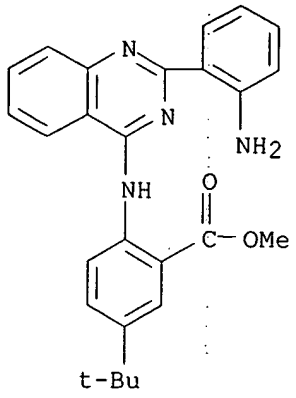
CN Benzoic acid, 5-methoxy-2-[[2-(2-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



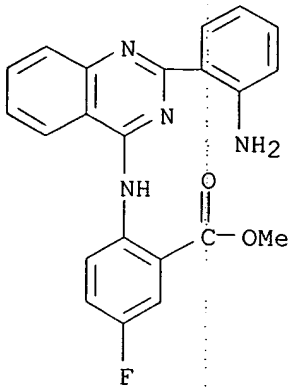
RN 2475-76-5 CAOLD
CN Benzoic acid, 5-ethyl-2-[[2-(2-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 4310-07-0 CAOLD
CN Anthranilic acid, N-[2-(o-aminophenyl)-4-quinazolinyl]-5-tert-butyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



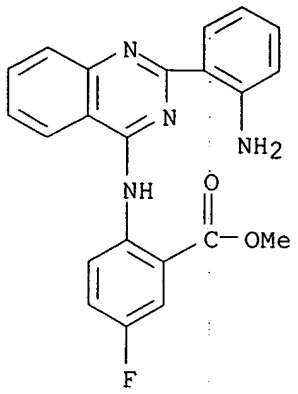
RN 98024-45-4 CAOLD
CN Anthranilic acid, N-[2-(o-aminophenyl)-4-quinazolinyl]-5-fluoro-, methyl ester (7CI) (CA INDEX NAME)



RN 102287-24-1 CAOLD
CN Anthranilic acid, N-[2-(o-aminophenyl)-4-quinazolinyl]-5-fluoro-, methyl ester, Ac deriv. (7CI) (CA INDEX NAME)

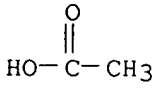
CM 1

CRN 98024-45-4
CMF C22 H17 F N4 O2

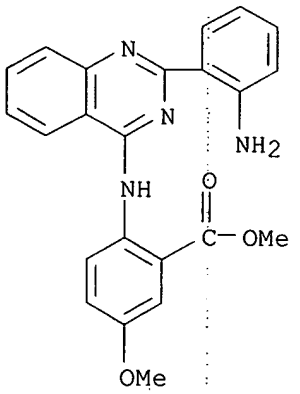


CM 2

CRN 64-19-7
CMF C2 H4 O2



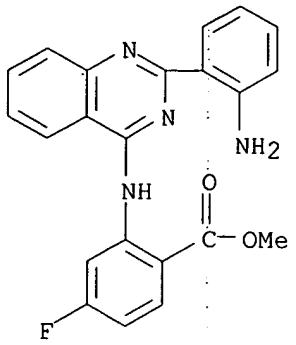
RN 104998-19-8 CAOLD
CN Anthranilic acid, N-[2-(o-aminophenyl)-4-quinazolinyl]-5-methoxy-, methyl ester, acetyl deriv. (7CI) (CA INDEX NAME)



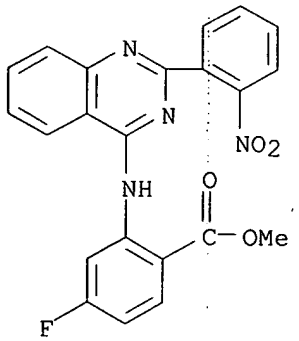
D1—Ac

L32 ANSWER 3 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA62:16737b CAOLD
TI influence of peripheral ring substitution on the carcinogenicity of tricycloquinazoline
AU Baldwin, Robert W.; Cunningham, G. J.; Dean, H. G.; Partridge, M. W.; Surtees, S. J.; Vipond, H. J.

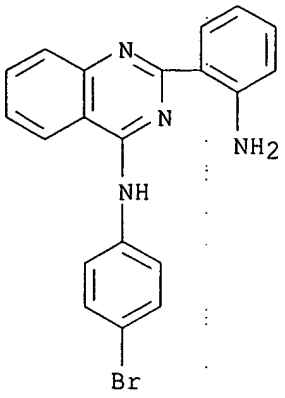
IT ***2475-70-9 2475-74-3***
RN 2475-70-9 CAOLD
CN Benzoic acid, 2-[[2-(2-aminophenyl)-4-quinazolinyl]amino]-4-fluoro-, methyl ester (9CI) (CA INDEX NAME)



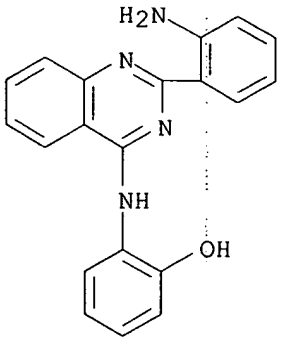
RN 2475-74-3 CAOLD
CN Benzoic acid, 4-fluoro-2-[[2-(2-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



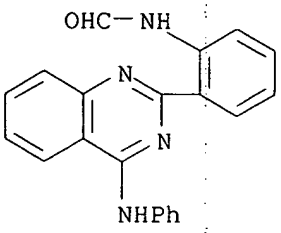
L32 ANSWER 4 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA62:561f CAOLD
TI cyclic amidines - (XVIII) synthesis of tricycloquinazolines by cyclodehydrogenation, (XIX) derivs. of triazabenzonaphthanthracene
AU Partridge, Maurice W.; Slorach, S. A.; Vipond, H. J.
IT ***855-89-0 856-01-9 857-68-1***
859-13-2 859-14-3 860-40-2
862-07-7 863-07-0 863-08-1
863-93-4 976-20-5 1062-47-1
RN 855-89-0 CAOLD
CN Quinazoline, 2-(o-aminophenyl)-4-(p-bromoanilino)- (7CI, 8CI) (CA INDEX NAME)



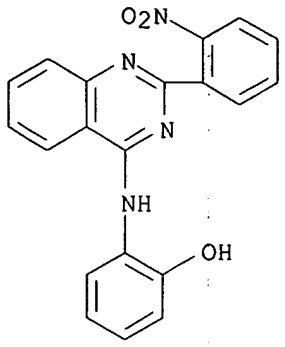
RN 856-01-9 CAOLD
CN Phenol, o-[[2-(o-aminophenyl)-4-quinazolinyl]amino]- (7CI, 8CI) (CA INDEX NAME)



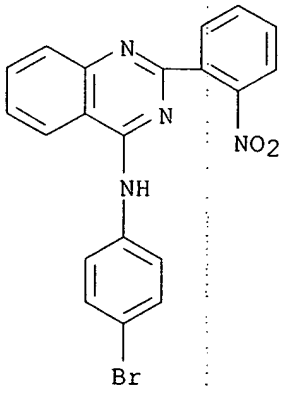
RN 857-68-1 CAOLD
CN Formanilide, 2'-(4-anilino-2-quinazolinyl)- (7CI, 8CI) (CA INDEX NAME)



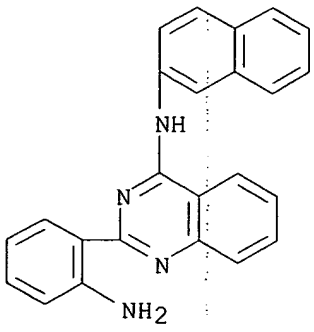
RN 859-13-2 CAOLD
CN Phenol, o-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]- (7CI, 8CI) (CA INDEX NAME)



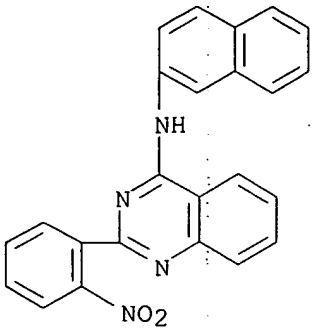
RN 859-14-3 CAOLD
CN Quinazoline, 4-(p-bromoanilino)-2-(o-nitrophenyl)- (7CI, 8CI) (CA INDEX NAME)



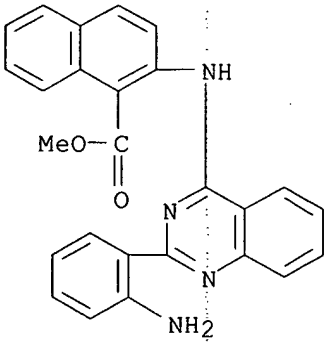
RN 860-40-2 CAOLD
CN Quinazoline, 2-(o-aminophenyl)-4-(2-naphthylamino)- (7CI, 8CI) (CA INDEX NAME)



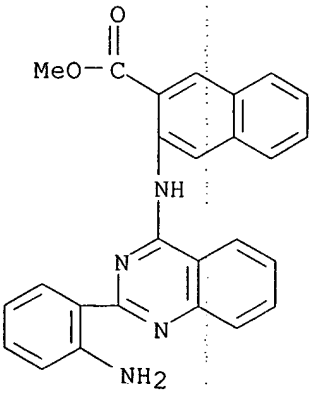
RN 862-07-7 CAOLD
CN Quinazoline, 4-(2-naphthylamino)-2-(o-nitrophenyl)- (7CI, 8CI) (CA INDEX NAME)



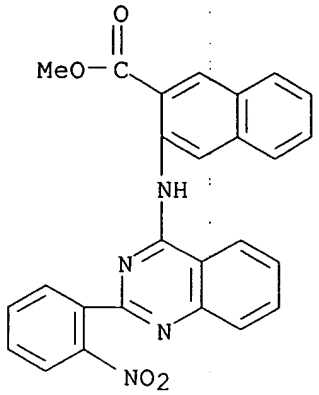
RN 863-07-0 CAOLD
CN 1-Naphthoic acid, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



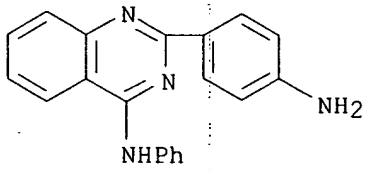
RN 863-08-1 CAOLD
CN 2-Naphthoic acid, 3-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



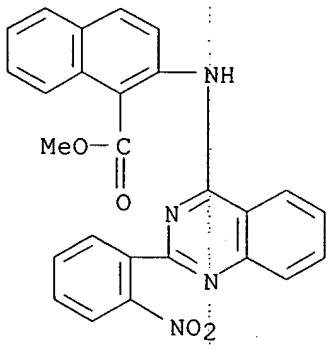
RN 863-93-4 CAOLD
CN 2-Naphthoic acid, 3-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



RN 976-20-5 CAOLD
CN Quinazoline, 2-(p-aminophenyl)-4-anilino- (7CI, 8CI) (CA INDEX NAME)



RN 1062-47-1 CAOLD
CN 1-Naphthoic acid, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)

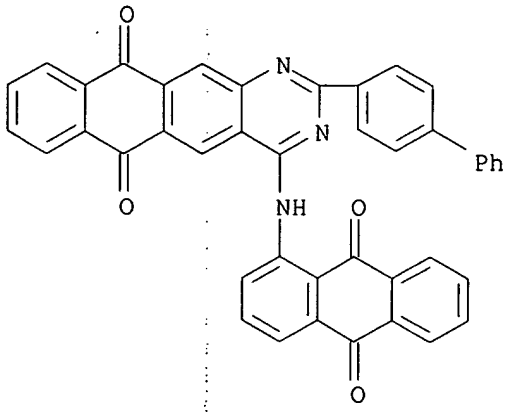


L32 ANSWER 5 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA61:16204a CAOLD
TI dyes (vat)
PA CIBA Ltd.
DT Patent

PATENT NO.	KIND	DATE
PI BE 635078		
GB 1027565		

IT ***106977-74-6 106977-83-7 106977-84-8 107988-55-6***

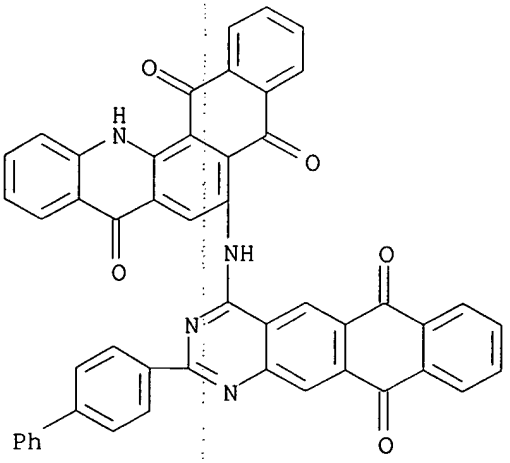
RN 106977-74-6 CAOLD
CN Naphtho[2,3-g]quinazoline-6,11-dione, 4-(1-anthraquinonylamino)-2-(4-biphenylyl)-, sulfo deriv., sodium salt (7CI) (CA INDEX NAME)



● Na

D1—SO_3H

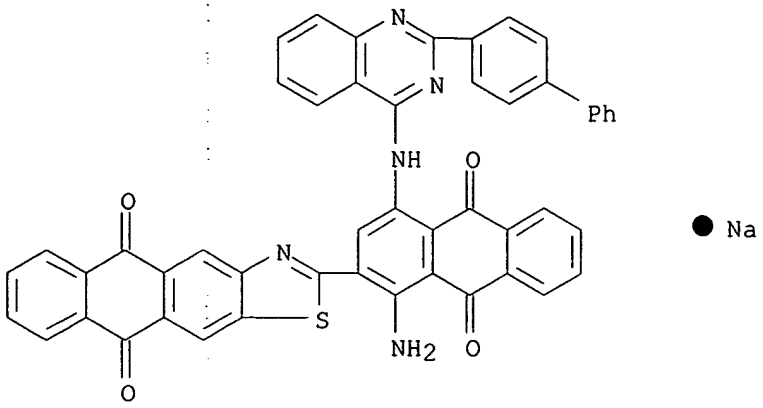
RN 106977-83-7 CAOLD
CN Naphth[2,3-c]acridan-5,8,14-trione, 6-[[2-(4-biphenylyl)-6,11-dihydro-6,11-dioxonaphtho[2,3-g]quinazolin-4-yl]amino]-, sulfo deriv., sodium salt (7CI) (CA INDEX NAME)



● Na

D1—SO_3H

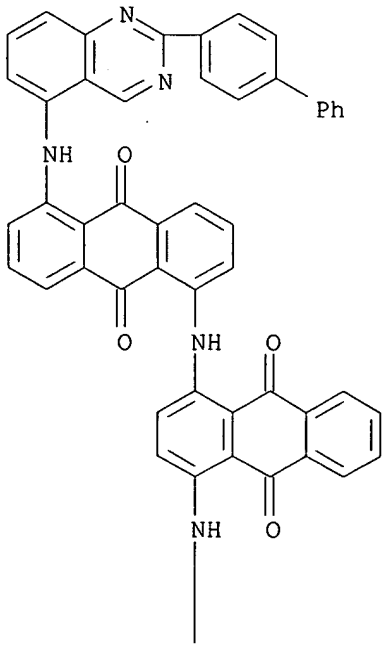
RN 106977-84-8 CAOLD
CN Anthra[2,3-d]thiazole-5,10-dione, 2-[1-amino-4-[[2-(4-biphenylyl)-4-quinazolinyl]amino]-2-anthraquinonyl]-, sulfo deriv., sodium salt (7CI) (CA INDEX NAME)



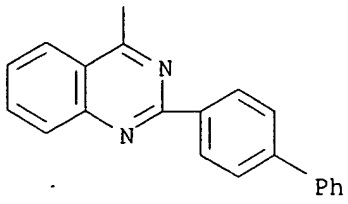
D1—SO_3H

RN 107988-55-6 CAOLD
CN Anthraquinone, 1,1'-iminobis[4-[[2-(4-biphenylyl)-4-quinazolinyl]amino]-, disulfo deriv., sodium salt (7CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



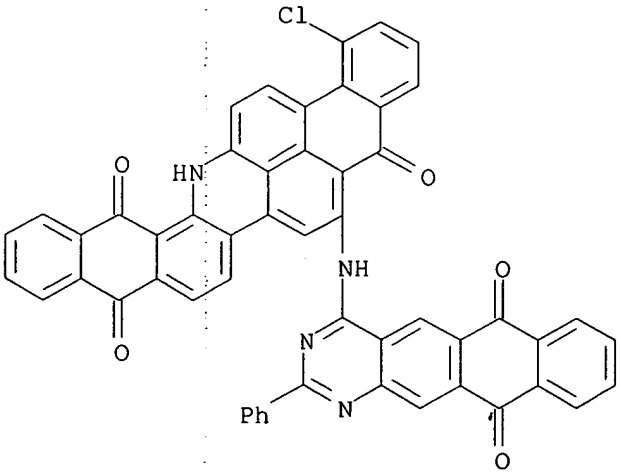
2 [D1— SO_3H]

● x Na

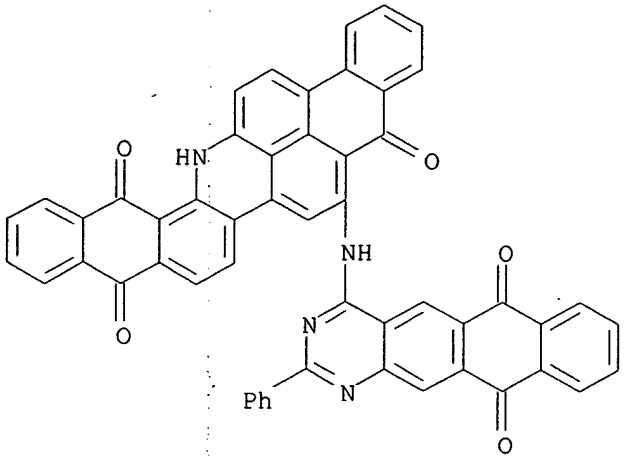
L32 ANSWER 6 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA61:9615f CAOLD
TI benzanthraquinoneacridine vat dyes
AU Wunderlich, Klaus; Bien, H. S.; Baumann, F.
DT Patent
TI dyes (benzanthraquinoneacridine vat)
PA Farbenfabriken Bayer A.-G.
DT Patent

PATENT NO.	KIND	DATE
PI US 3134781		1964
DE 1192349		
GB 994216		

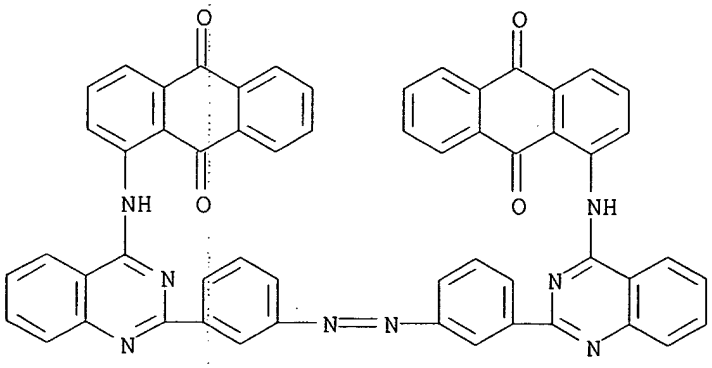
IT ***106546-18-3 106546-19-4***
RN 106546-18-3 CAOLD
CN Anthra[2,1,9-mna]naphth[2,3-h]acridine-5,10,15(16H)-trione, 1-chloro-6-[(6,11-dihydro-6,11-dioxo-2-phenylnaphtho[2,3-g]quinazolin-4-yl)amino]- (7CI) (CA INDEX NAME)



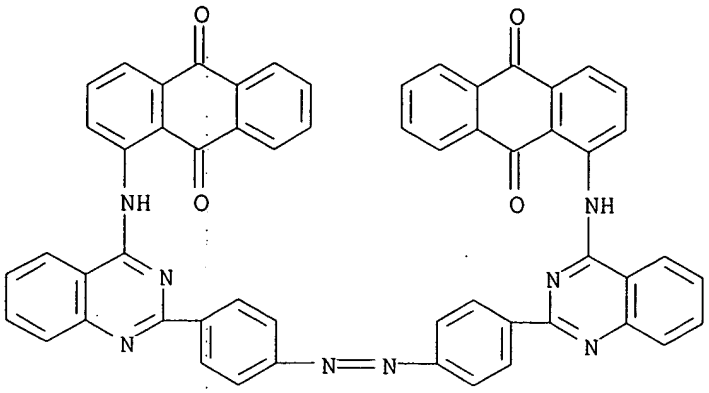
RN 106546-19-4 CAOLD
CN Anthra[2,1,9-mna]naphth[2,3-h]acridine-5,10,15(16H)-trione, 6-[(6,11-dihydro-6,11-dioxo-2-phenylnaphtho[2,3-g]quinazolin-4-yl)amino]- (7CI) (CA INDEX NAME)



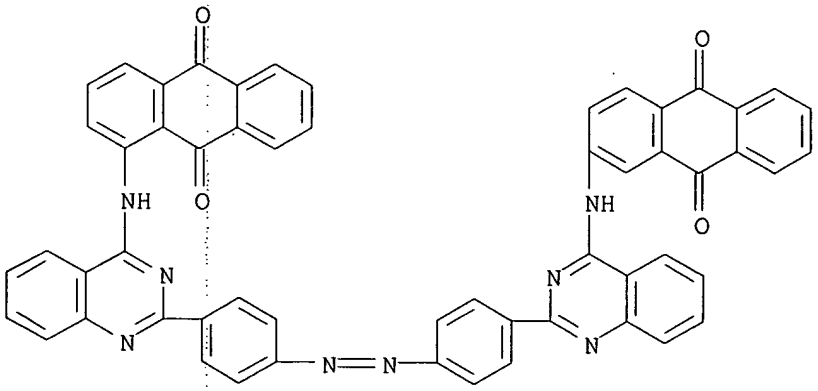
L32 ANSWER 7 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA61:1980h CAOLD
TI bis[4-(anthraquinonylamino)-2-quinazolyl]-azobenzenes and -azobiphenyls
PA Badische Anilin- & Soda-Fabrik A.-G.
DT Patent
TI bis[4-(anthraquinonylamino)-2-quinazolyl]-azobenzenes and-azobiphenyls
AU Weidinger, Hans; Haese, H. G.
DT Patent
IT ***106713-05-7 106713-06-8 106784-84-3 107101-22-4 107387-41-7 107420-02-0 107928-72-3***
RN 106713-05-7 CAOLD
CN Anthraquinone, 1,1'-[azobis(m-phenylene-2,4-quinazolinediylimino)]di- (7CI) (CA INDEX NAME)



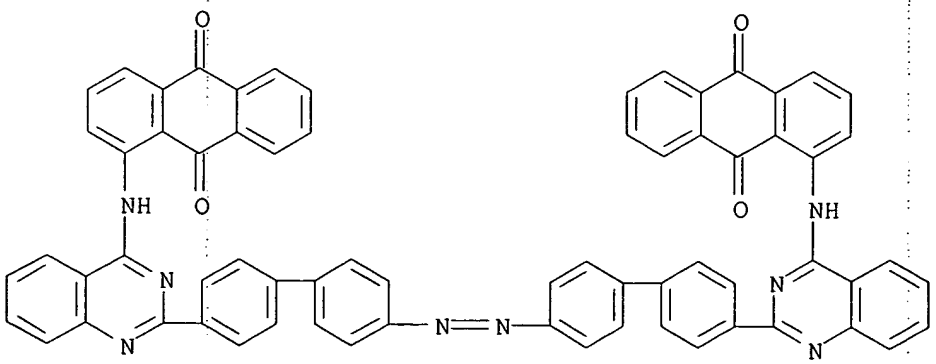
RN 106713-06-8 CAOLD
CN Anthraquinone, 1,1'-[azobis(p-phenylene-2,4-quinazolinediylimino)]di- (7CI) (CA INDEX NAME)



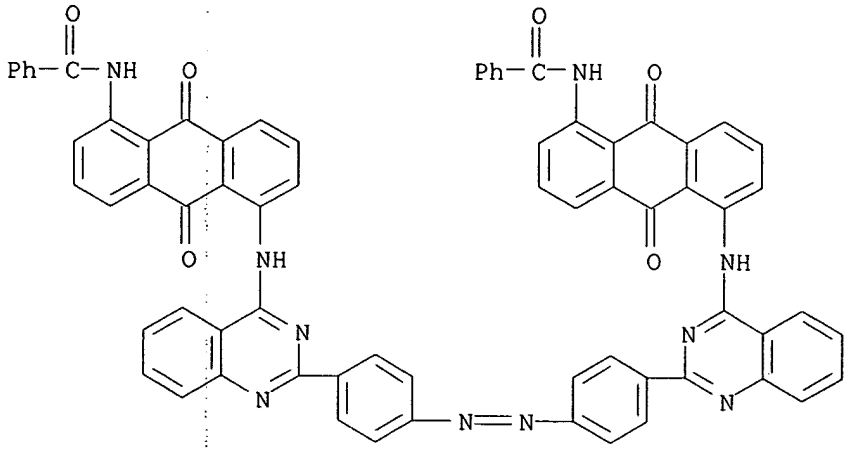
RN 106784-84-3 CAOLD
CN Anthraquinone, 2,2'-[azobis(p-phenylene-2,4-quinazolinediylimino)]di-
(7CI) (CA INDEX NAME)



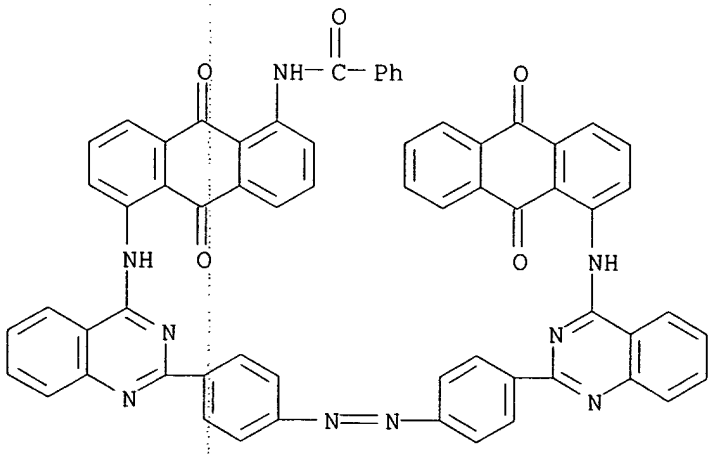
RN 107101-22-4 CAOLD
CN Anthraquinone, 1,1'-[azobis(4',4-biphenylylene-2,4-
quinazolinediylimino)]di- (7CI) (CA INDEX NAME)



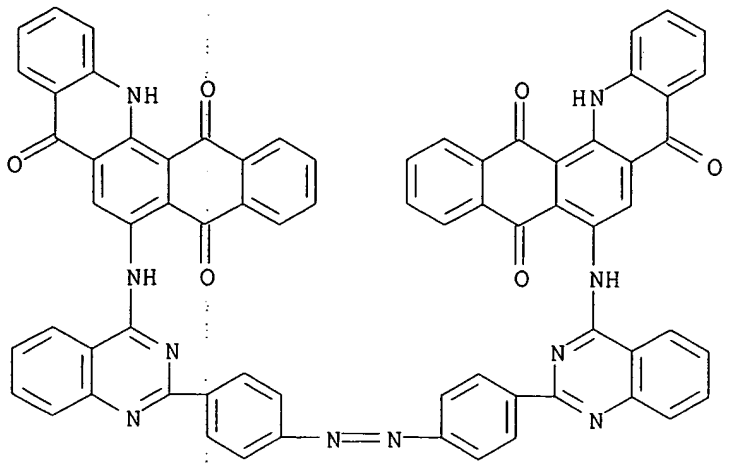
RN 107387-41-7 CAOLD
CN Anthraquinone, 1,1'-[azobis(p-phenylene-2,4-quinazolinediylimino)]bis[5-benzamido- (7CI) (CA INDEX NAME)



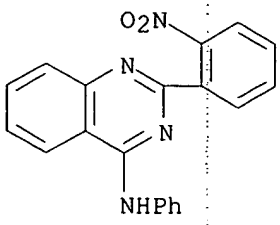
RN 107420-02-0 CAOLD
CN Anthraquinone, 5-benzamido-1,1'-[azobis(p-phenylene-2,4-quinazolinediylimino)]di- (7CI) (CA INDEX NAME)



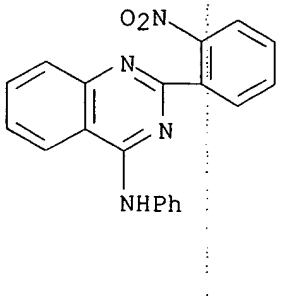
RN 107928-72-3 CAOLD
CN Naphth[2,3-c]acridan-5,8,14-trione, 6,6'-[azobis(p-phenylene-2,4-quinazolinediylimino)]bis- (7CI) (CA INDEX NAME)



L32 ANSWER 8 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA59:5170e CAOLD
TI cyclic amidines - (XVI) tetraazanaphtho[1,2,3-fg]naphthacenes
AU Parfitt, Robert T.; Partridge, M. W.; Vipond, H. J.
IT ***94688-16-1 106300-56-5***
RN 94688-16-1 CAOLD
CN Quinazoline, 4-anilino-2-(o-nitrophenyl)- (7CI) (CA INDEX NAME)



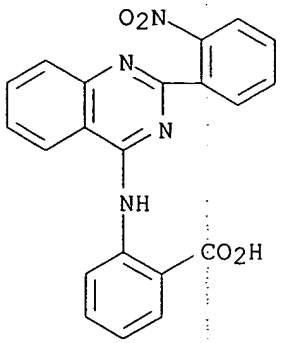
RN 106300-56-5 CAOLD
CN Quinazoline, 4-anilino-2-(o-nitrophenyl)-, hydrochloride (7CI) (CA INDEX NAME)



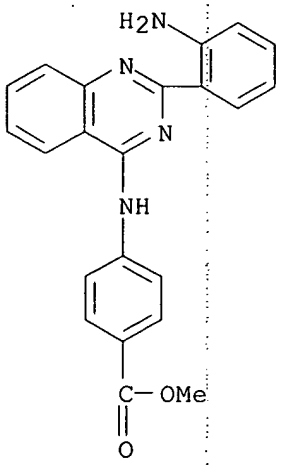
● HCl

L32 ANSWER 9 OF 14 CAOLD COPYRIGHT 2006 ACS on STN

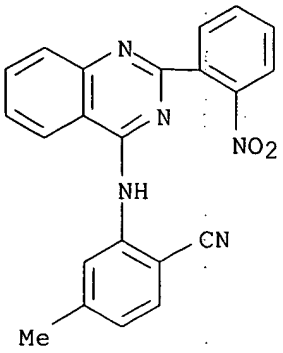
AN CA57:12490c CAOLD
TI cyclic amidines - (XV) derivs. of tricycloquinazoline
AU Partridge, Maurice W.; Vipond, H. J.; Waite, J. A.
IT ***94873-30-0 95024-95-6 95139-11-0***
95139-13-2 95162-70-2 95162-72-4
95225-67-5 95435-27-1 96060-81-0
96262-63-4 100088-90-2 100266-70-4
100266-71-5 100322-03-0 100410-65-9
104534-33-0 107159-62-6
RN 94873-30-0 CAOLD
CN Anthranilic acid, N-[2-(o-nitrophenyl)-4-quinazolinyl]- (7CI) (CA INDEX NAME)



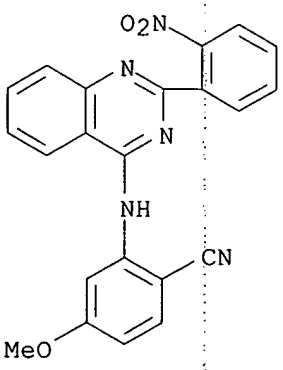
RN 95024-95-6 CAOLD
CN Benzoic acid, p-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



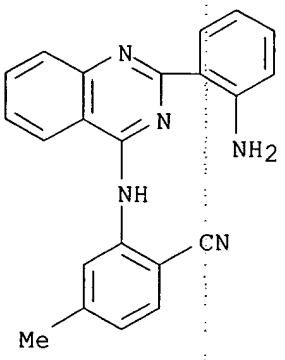
RN 95139-11-0 CAOLD
CN p-Tolunitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]- (7CI) (CA INDEX NAME)



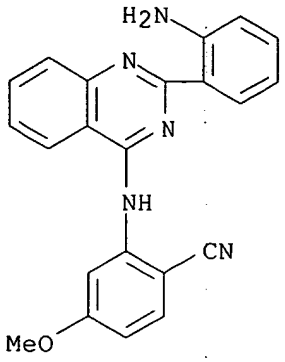
RN 95139-13-2 CAOLD
CN p-Anisonitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]- (7CI) (CA INDEX NAME)



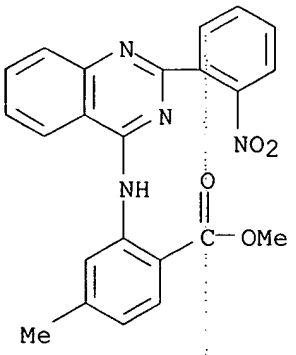
RN 95162-70-2 CAOLD
CN p-Tolunitrile, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]- (7CI) (CA INDEX NAME)



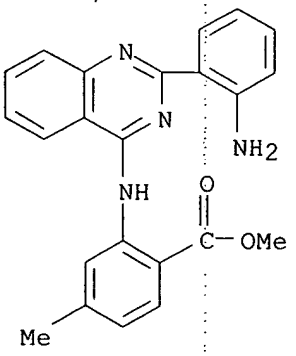
RN 95162-72-4 CAOLD
CN p-Anisonitrile, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]- (7CI) (CA INDEX NAME)



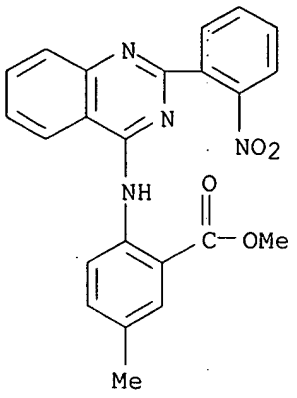
RN 95225-67-5 CAOLD
CN p-Toluic acid, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



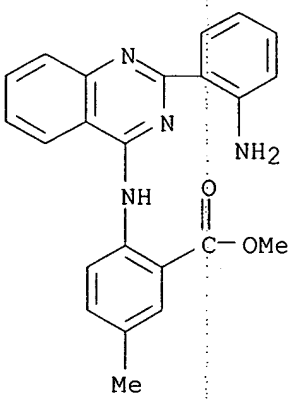
RN 95435-27-1 CAOLD
CN p-Toluic acid, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



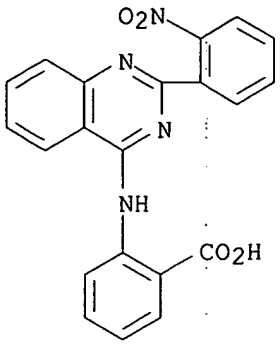
RN 96060-81-0 CAOLD
CN m-Toluic acid, 6-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



RN 96262-63-4 CAOLD
CN m-Toluic acid, 6-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)

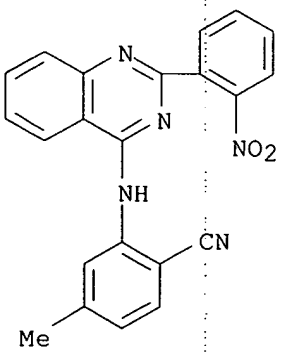


RN 100088-90-2 CAOLD
CN Anthranilic acid, N-[2-(o-nitrophenyl)-4-quinazolinyl]-, hydrochloride (7CI) (CA INDEX NAME)



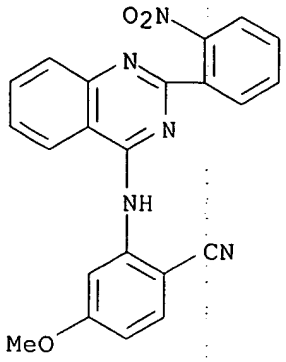
● x HCl

RN 100266-70-4 CAOLD
CN p-Tolunitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, hydrochloride (7CI) (CA INDEX NAME)



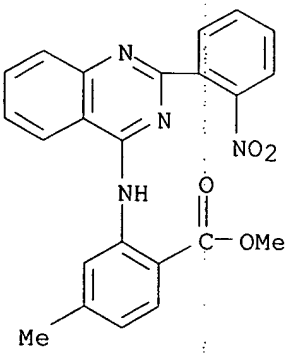
● x HCl

RN 100266-71-5 CAOLD
CN p-Anisonitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, hydrochloride (7CI) (CA INDEX NAME)



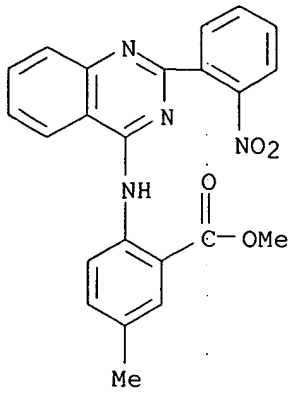
● x HCl

RN 100322-03-0 CAOLD
CN p-Toluic acid, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)



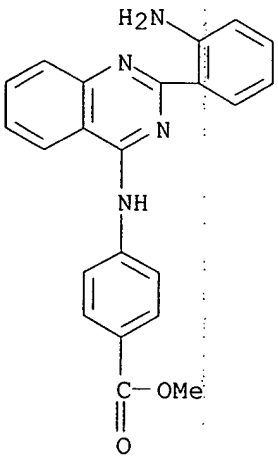
● HCl

RN 100410-65-9 CAOLD
CN m-Toluic acid, 6-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)



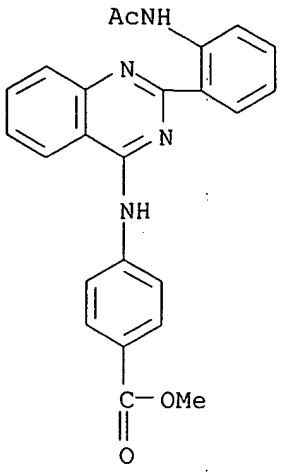
● x HCl

RN 104534-33-0 CAOLD
CN Benzoic acid, p-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)

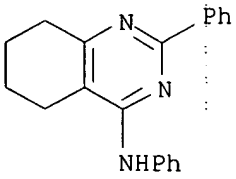


● HCl

RN 107159-62-6 CAOLD
CN Benzoic acid, p-[[2-(o-acetamidophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



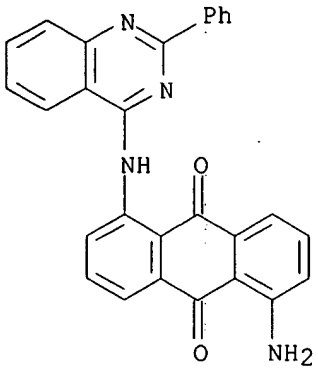
L32 ANSWER 10 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA57:4655f CAOLD
TI oxathiane synthesis by mercuric salt ring closure
AU Summerbell, Robert K.; Poklacki, E. S.
IT ***88828-40-4***
RN 88828-40-4 CAOLD
CN Quinazoline, 4-anilino-5,6,7,8-tetrahydro-2-phenyl- (7CI) (CA INDEX NAME)



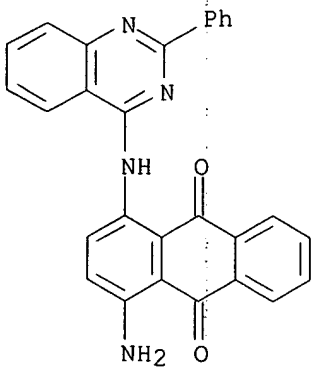
L32 ANSWER 11 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA55:27383a CAOLD
TI 1,4-,1,5-, and 1,8-diaminoanthraquinones (N-substituted)
PA Badische Anilin- & Soda-Fabrik Akt.-Ges.
DT Patent
TI N-substituted 1,4- 1,5- and 1,8-diaminoanthraquinones
AU Ebel, Friedrich; Weldinger, H.
DT Patent

PATENT NO.	KIND	DATE
DE 1099543		

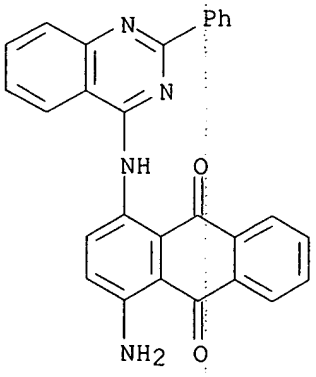
IT ***116027-31-7 116028-61-6 121600-19-9 121991-09-1***
RN 116027-31-7 CAOLD
CN Anthraquinone, 1-amino-5-[(2-phenyl-4-quinazolinyl)amino]- (6CI) (CA INDEX NAME)



RN 116028-61-6 CAOLD
CN Anthraquinone, 1-amino-4-[(2-phenyl-4-quinazolinyl)amino]- (6CI) (CA INDEX NAME)

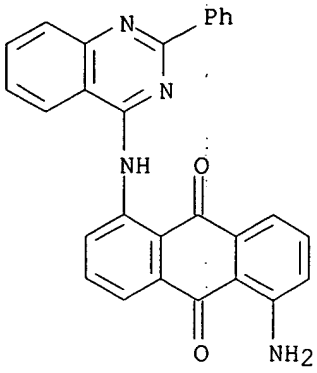


RN 121600-19-9 CAOLD
CN Anthraquinone, 1-amino-4-[(2-phenyl-4-quinazolinyl)amino]-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

RN 121991-09-1 CAOLD
CN Anthraquinone, 1-amino-5-[(2-phenyl-4-quinazolinyl)amino]-, hydrochloride (6CI) (CA INDEX NAME)

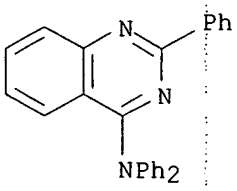


● HCl

L32 ANSWER 12 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA55:21152e CAOLD
TI piperazinium salts
AU Rudner, Bernard
PA Grace, W. R., & Co.
DT Patent

PATENT NO.	KIND	DATE
US 2967865		1961

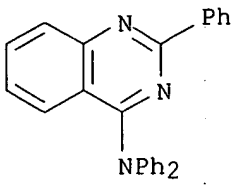
IT ***103051-13-4 125904-49-6***
RN 103051-13-4 CAOLD
CN 4-Quinazolinamine, N,N,2-triphenyl- (9CI) (CA INDEX NAME)



RN 125904-49-6 CAOLD
CN Quinazoline, 4-diphenylamino-2-phenyl-, chlorostannate(IV) (6CI) (CA INDEX NAME)

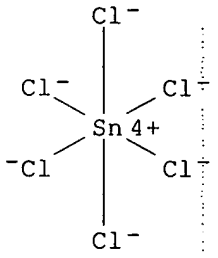
CM 1

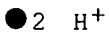
CRN 103051-13-4
CMF C26 H19 N3



CM 2

CRN 19512-65-3
CMF Cl6 Sn . 2 H
CCI CCS





L32 ANSWER 13 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA55:1009h CAOLD
TI dyes (vat) for dyeing fibers, fabrics, and other structures consisting of high-mol.-weight substances containing carboxamide groups
PA Badische Anilin- & Soda-Fabrik Akt.-Ges.
DT Patent
TI vat dyes for dyeing fibers, fabrics, and other structures consisting of high-mol.-weight substances containing carboxamide groups
AU Ebel, Friedrich; Schuhmacher, A.; Kling, K. E.
DT Patent

PATENT NO.	KIND	DATE
PI DE 1046565		

IT *2356-27-6 2560-95-4 3825-15-8 3872-28-4 3888-59-3 7604-25-3 7604-26-4 103037-11-2 103165-54-4 103985-83-7 103985-84-8 104178-10-1 104178-11-2 104179-61-5 104179-62-6 104297-81-6 104297-82-7 104297-83-8 104395-80-4 104508-87-4 104508-88-5 104508-89-6 104509-84-4 105946-28-9 105947-33-9 115605-21-5 115605-22-6 115606-03-6 116027-87-3 116028-56-9 117072-08-9 117072-14-7 117874-82-5 117875-03-3 122218-73-9*

RN 2356-27-6 CAOLD
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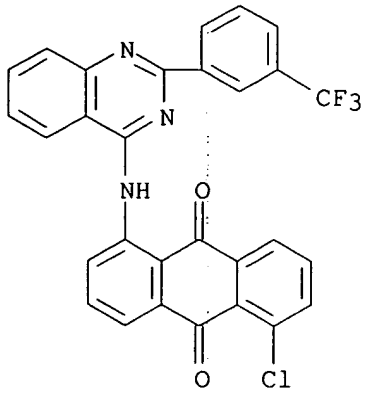

RN 2560-95-4 CAOLD
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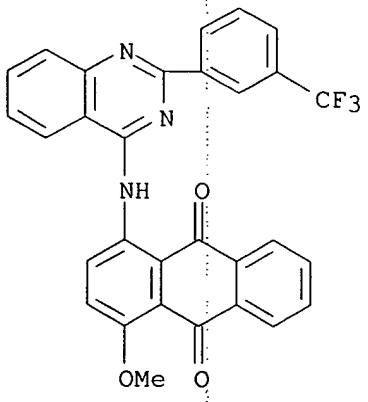
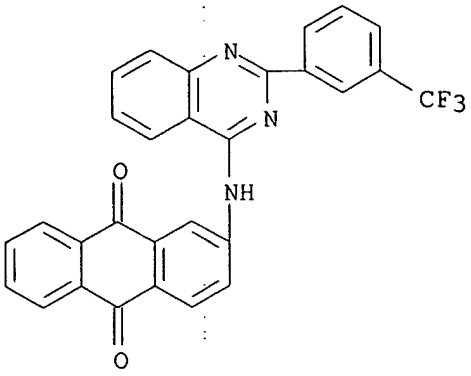


RN 3825-15-8 CAOLD
CN Anthraquinone, 2-[[2-(α,α,α-trifluoro-m-tolyl)-4-quinazolinyl]amino]- (6CI, 8CI) (CA INDEX NAME)



RN 3872-28-4 CAOLD
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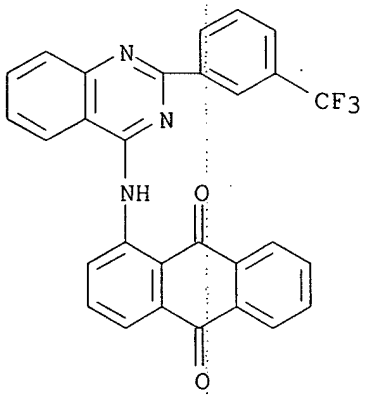
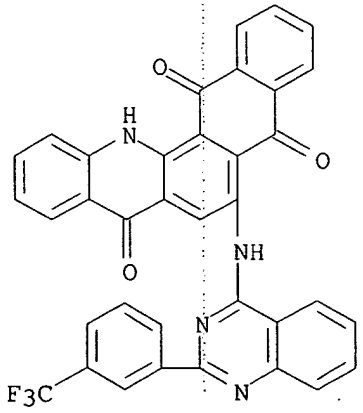
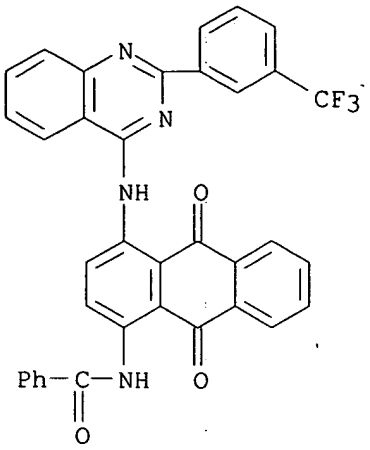


RN 3888-59-3 CAOLD
CN Naphth[2,3-c]acridan-5,8,14-trione, 6-[[2-(α,α,α-trifluoro-m-tolyl)-4-quinazolinyl]amino]- (6CI, 8CI) (CA INDEX NAME)

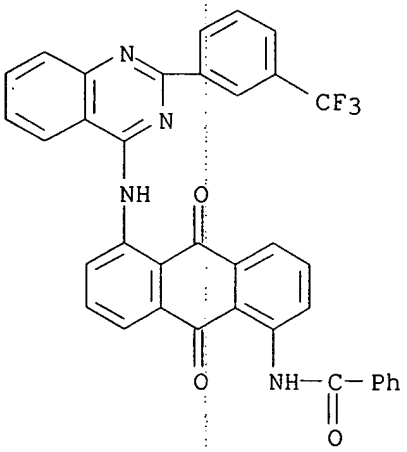


RN 7604-25-3 CAOLD

CN Anthraquinone, 1-benzamido-4-[[2-(α,α,α-trifluoro-m-tolyl)-4-quinazolinyl]amino]- (6CI, 8CI) (CA INDEX NAME)



RN 7604-26-4 CAOLD
CN Benzamide, N-[9,10-dihydro-9,10-dioxo-5-[[2-[3-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]-1-anthracenyl]- (9CI) (CA INDEX NAME)



RN 103037-11-2 CAOLD
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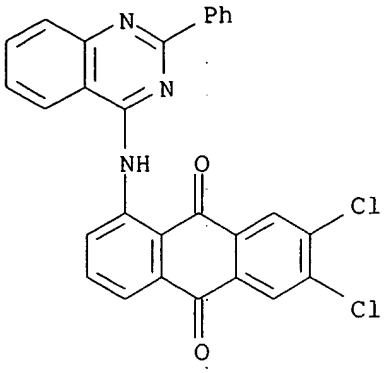

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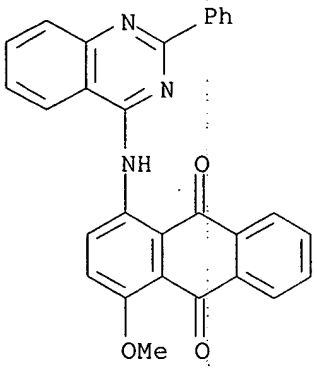
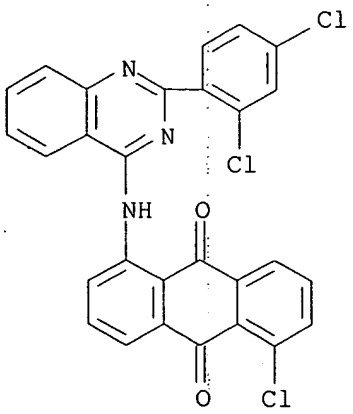
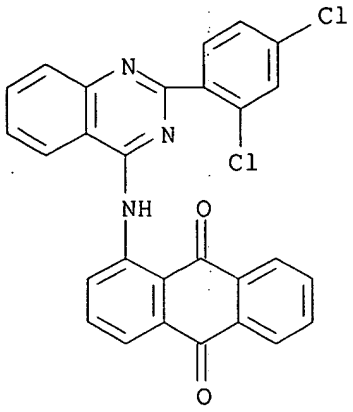


RN 103985-83-7 CAOLD
CN Anthraquinone, 1-chloro-5-[[2-(2,4-dichlorophenyl)-4-quinazolinyl]amino]- (6CI) (CA INDEX NAME)



RN 103985-84-8 CAOLD
CN Anthraquinone, 1-[[2-(2,4-dichlorophenyl)-4-quinazolinyl]amino]- (6CI)

(CA INDEX NAME)



RN 104178-10-1 CAOLD
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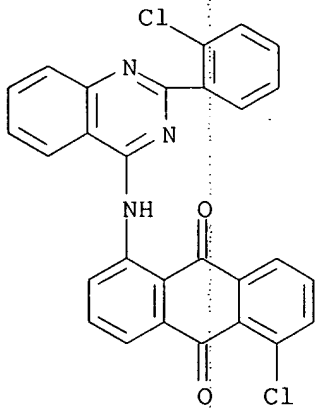
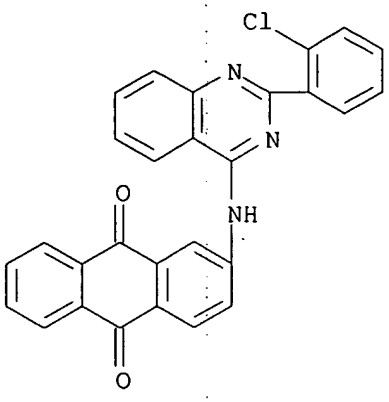


RN 104178-11-2 CAOLD
CN Anthraquinone, 2-[[2-(o-chlorophenyl)-4-quinazolinyl]amino]- (6CI) (CA INDEX NAME)



RN 104179-61-5 CAOLD
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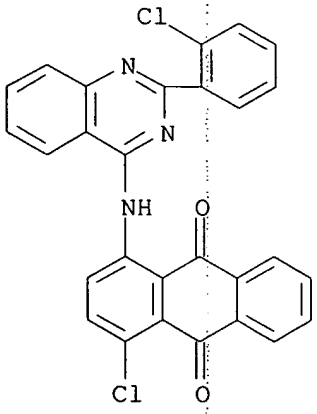
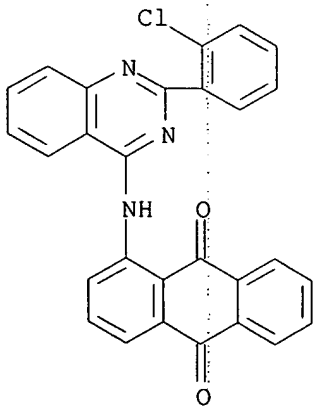
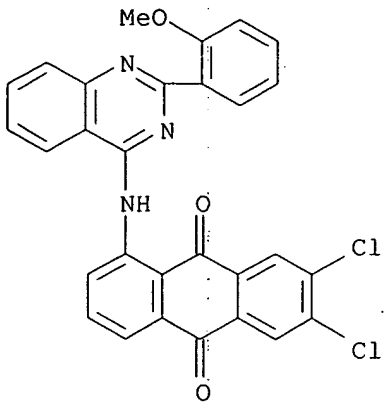


RN 104179-62-6 CAOLD
CN Anthraquinone, 1-[[2-(o-chlorophenyl)-4-quinazolinyl]amino]- (6CI) (CA INDEX NAME)



RN 104297-81-6 CAOLD
CN Anthraquinone, 6,7-dichloro-1-[[2-(o-methoxyphenyl)-4-quinazolinyl]amino]-
(6CI) (CA INDEX NAME)



RN 104297-82-7 CAOLD
CN Anthraquinone, 1-[[2-(2,4-dichlorophenyl)-4-quinazolinyl]amino]-4-methoxy-
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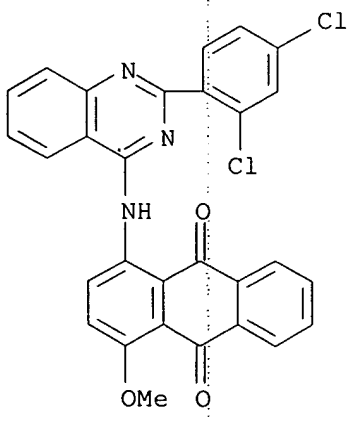
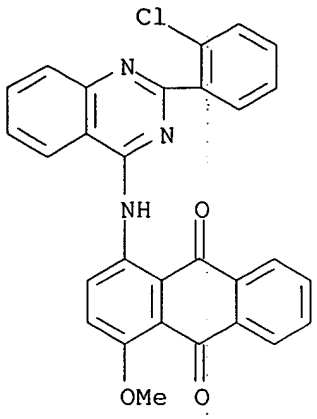
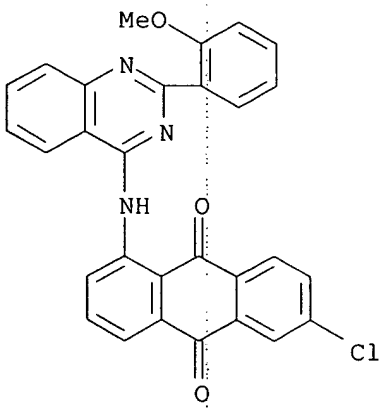


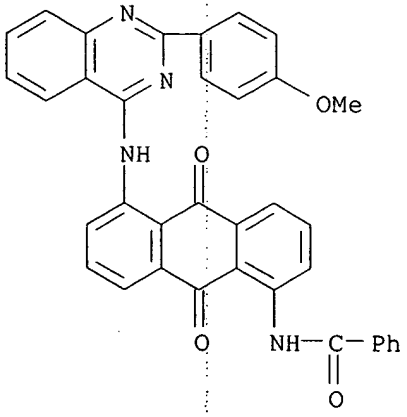
RN 104297-83-8 CAOLD
CN Anthraquinone, 1-[[2-(o-chlorophenyl)-4-quinazolinyl]amino]-4-methoxy-
(6CI) (CA INDEX NAME)



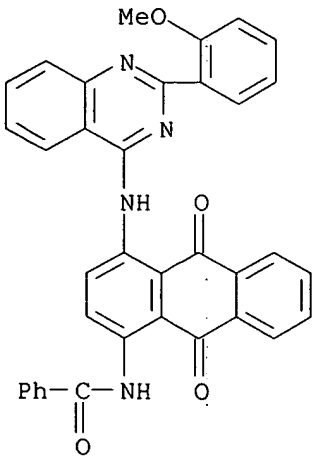
RN 104395-80-4 CAOLD
CN Anthraquinone, 6-chloro-1-[[2-(o-methoxyphenyl)-4-quinazolinyl]amino]-
(6CI) (CA INDEX NAME)



RN 104508-87-4 CAOLD
CN Anthraquinone, 1-benzamido-5-[[2-(p-methoxyphenyl)-4-quinazolinyl]amino]-
(6CI) (CA INDEX NAME)



RN 104508-88-5 CAOLD
CN Anthraquinone, 1-benzamido-4-[[2-(o-methoxyphenyl)-4-quinazolinyl]amino]-
(6CI) (CA INDEX NAME)



RN 104508-89-6 CAOLD
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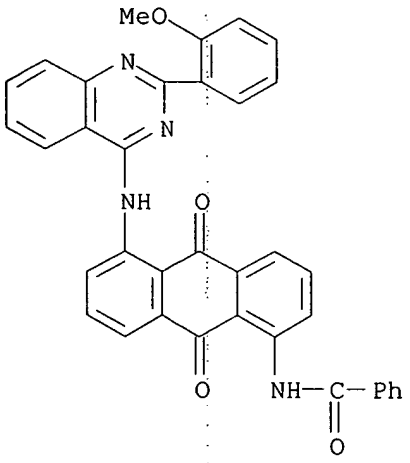
(6CI) (CA INDEX NAME)



RN 104509-84-4 CAOLD
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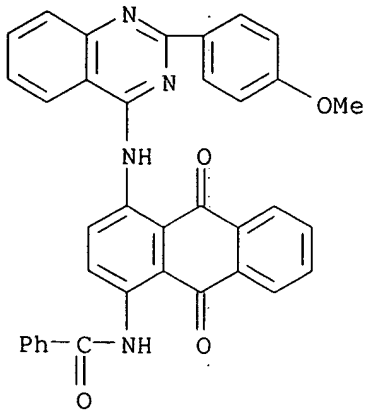
(6CI) (CA INDEX NAME)



RN 105946-28-9 CAOLD
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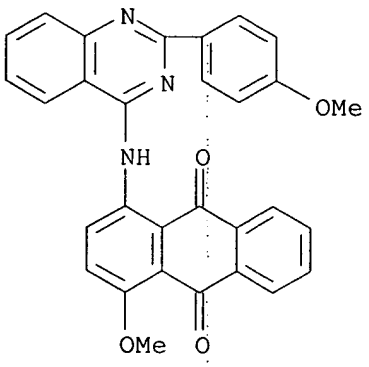
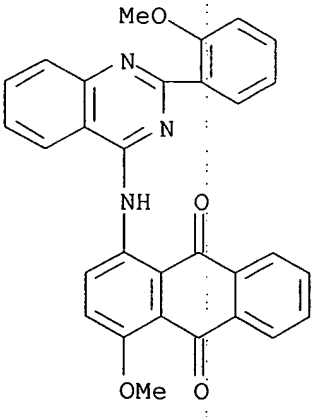
(6CI) (CA INDEX NAME)

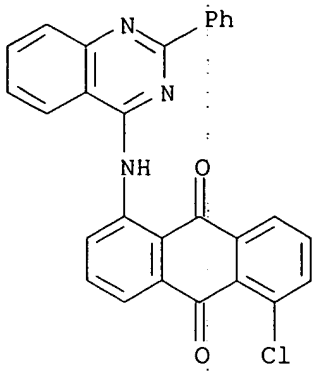


RN 105947-33-9 CAOLD
CN Anthraquinone, 1-methoxy-4-[[2-(o-methoxyphenyl)-4-quinazolinyl]amino]-
(6CI) (CA INDEX NAME)



RN 115605-21-5 CAOLD

CN Anthraquinone, 1-chloro-5-[(2-phenyl-4-quinazolinyl)amino]- (6CI) (CA INDEX NAME)



RN 115605-22-6 CAOLD
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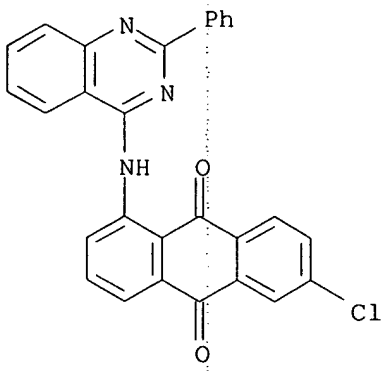

RN 115606-03-6 CAOLD
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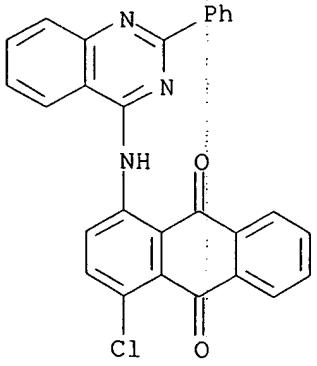

RN 116027-87-3 CAOLD
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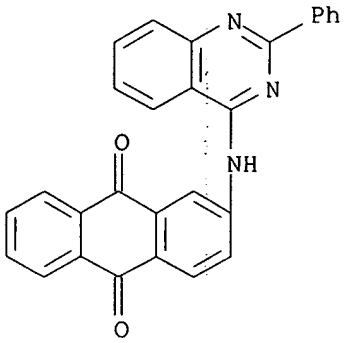

RN 116028-56-9 CAOLD
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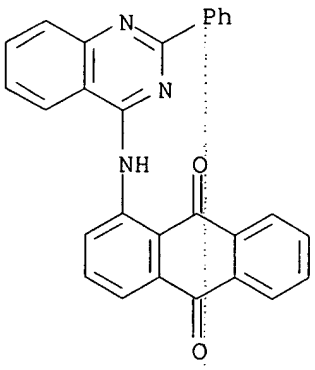

RN 117072-08-9 CAOLD
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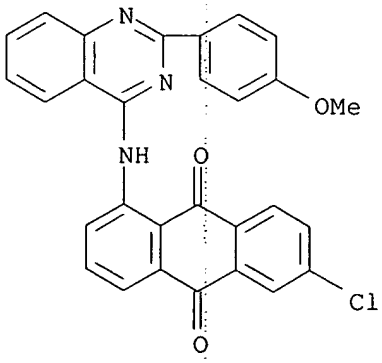
(6CI) (CA INDEX NAME)



RN 117072-14-7 CAOLD
CN Anthraquinone, 1-chloro-5-[[2-(o-methoxyphenyl)-4-quinazolinyl]amino]-

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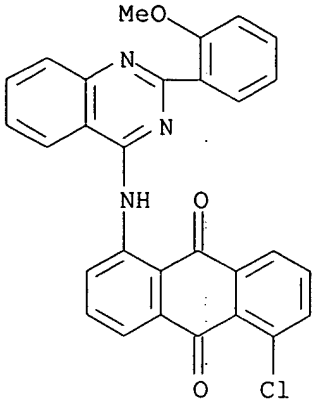

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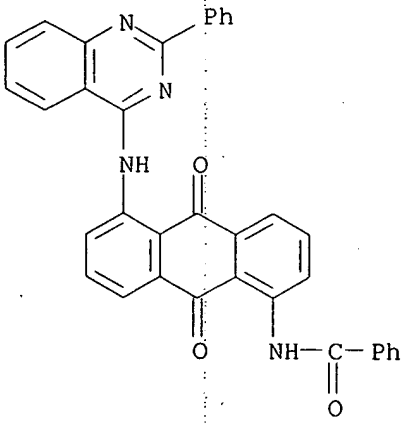

RN 117875-03-3 CAOLD
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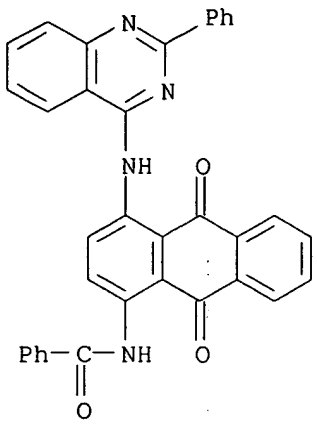
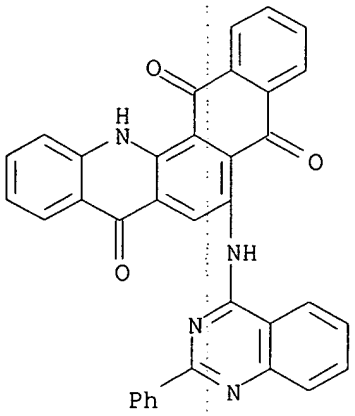
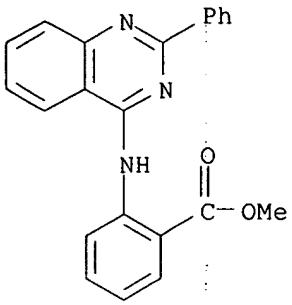


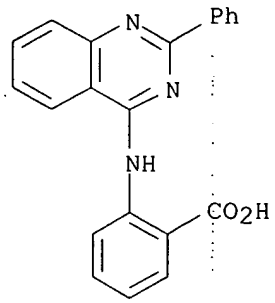
RN 122218-73-9 CAOLD
CN Naphth[2,3-c]acridan-5,8,14-trione, 6-[(2-phenyl-4-quinazolinyl)amino]- (6CI) (CA INDEX NAME)



L32 ANSWER 14 OF 14 CAOLD COPYRIGHT 2006 ACS on STN
AN CA51:6647h CAOLD
TI synthesis in the quinazolone series - (II) quino- and quinazoquinazolones, (III) formation of quinazo[4,3-b]-quinazol-8-one and 2-o-aminophenylquinazol-4-one by the hydrolysis of 3,4'-quinazolinyl-quinazol-4-one
AU Stephen, T.; Stephen, H.
IT ***102452-36-8 102467-08-3***
RN 102452-36-8 CAOLD
CN Anthranilic acid, N-(2-phenyl-4-quinazolinyl)-, methyl ester (6CI) (CA INDEX NAME)



RN 102467-08-3 CAOLD
CN Anthranilic acid, N-(2-phenyl-4-quinazolinyl)- (6CI) (CA INDEX NAME)



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=> d his nofile

     (FILE 'HOME' ENTERED AT 08:36:17 ON 15 AUG 2006)

     FILE 'REGISTRY' ENTERED AT 08:36:23 ON 15 AUG 2006
L1                STRUCTURE UPLOADED
L2              0 SEA SSS SAM L1

     FILE 'REGISTRY' ENTERED AT 09:26:40 ON 15 AUG 2006
L3                STRUCTURE UPLOADED
                  D QUE L3
L4             14 SEA SSS SAM L3
                  D QUE L3
L5           2753 SEA SSS FUL L3
                  SAVE L5 LEESER428/A TEMP

     FILE 'CAPLUS' ENTERED AT 09:28:35 ON 15 AUG 2006
L6            181 SEA ABB=ON  PLU=ON  L5

     FILE 'REGISTRY' ENTERED AT 09:28:45 ON 15 AUG 2006

     FILE 'CAPLUS' ENTERED AT 09:28:48 ON 15 AUG 2006
                  E US2004-811428/APPS
L7              1 SEA ABB=ON  PLU=ON  US2004-811428/AP
                  SEL RN L7

     FILE 'REGISTRY' ENTERED AT 09:29:02 ON 15 AUG 2006
L8            194 SEA ABB=ON  PLU=ON  (100-65-2/BI OR 1003-29-8/BI OR 10472-24-9/
                  BI OR 105-53-3/BI OR 1068-90-2/BI OR 107-91-5/BI OR 1073-13-8/B
                  I OR 108554-34-3/BI OR 109-77-3/BI OR 109-81-9/BI OR 111-33-1/B
                  I OR 122-01-0/BI OR 123-00-2/BI OR 123-75-1/BI OR 14080-51-4/BI
                   OR 14246-77-6/BI OR 1479-24-9/BI OR 159326-66-6/BI OR
                  159326-69-9/BI OR 16135-36-7/BI OR 1663-61-2/BI OR 1670-14-0/BI
                   OR 16952-66-2/BI OR 1711-09-7/BI OR 1711-10-0/BI OR 17219-22-6
                  /BI OR 175406-94-7/BI OR 1990-90-5/BI OR 24095-60-1/BI OR
                  24889-15-4/BI OR 24889-16-5/BI OR 2516-47-4/BI OR 25560-00-3/BI
                   OR 27578-60-5/BI OR 2799-16-8/BI OR 2799-17-9/BI OR 3357-55-9/
                  BI OR 35261-01-9/BI OR 360-97-4/BI OR 387824-61-5/BI OR
                  393-52-2/BI OR 394-29-6/BI OR 40018-26-6/BI OR 40711-41-9/BI
                  OR 41276-30-6/BI OR 41302-34-5/BI OR 4255-62-3/BI OR 4513-94-4/
                  BI OR 5036-48-6/BI OR 504-24-5/BI OR 51387-90-7/BI OR 52133-67-
                  2/BI OR 5417-82-3/BI OR 54820-92-7/BI OR 57595-23-0/BI OR
                  58073-90-8/BI OR 60585-44-6/BI OR 60776-91-2/BI OR 61-82-5/BI
                  OR 61278-21-5/BI OR 618-39-3/BI OR 618-46-2/BI OR 674793-32-9/B
                  I OR 7154-73-6/BI OR 765-30-0/BI OR 7663-77-6/BI OR 773138-38-8
                  /BI OR 773138-40-2/BI OR 773138-42-4/BI OR 773138-44-6/BI OR
                  773138-46-8/BI OR 773138-48-0/BI OR 773138-50-4/BI OR 773138-52
                  -6/BI OR 773138-54-8/BI OR 773138-56-0/BI OR 773138-58-2/BI OR
                  773138-60-6/BI OR 773138-62-8/BI OR 773138-64-0/BI OR 773138-66
                  -2/BI OR 773138-68-4/BI OR 773138-70-8/BI OR 773138-72-0/BI OR
                  773138-74-2/BI OR 773138-76-4/BI OR 773138-78-6/BI OR 773138-80
                  -0/BI OR 773138-82-2/BI OR 773138-84-4/BI OR 773138-86-6/BI OR
                  773138-88-8/BI OR 773138-90-2/BI OR 773138-92-4/BI OR 773138-94
                  -6/BI OR 773138-96-8/BI OR 773138-98-0/BI OR 773139-00-7/BI OR
                  773139-03-0/BI OR 773139-05-2/BI OR 773139-07-4/BI OR 773139-09
                  -6/BI OR 773139-11-0/BI OR 773139-13-2/BI OR 773139-15-4/BI OR
                  773139-17
L9             79 SEA ABB=ON  PLU=ON  L8 AND L5
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     FILE 'STNGUIDE' ENTERED AT 09:29:38 ON 15 AUG 2006

     FILE 'REGISTRY' ENTERED AT 09:29:53 ON 15 AUG 2006
L10             STRUCTURE UPLOADED
                D QUE L10
L11           4 SEA SUB=L5 SSS SAM L10
L12          55 SEA SUB=L5 SSS FUL L10

     FILE 'CAPLUS' ENTERED AT 09:31:23 ON 15 AUG 2006
L13          11 SEA ABB=ON  PLU=ON  L12
L14           0 SEA ABB=ON  PLU=ON  L13 NOT (PY>2003 OR AY>2003 OR PRY>2003)
L15         103 SEA ABB=ON  PLU=ON  L6 NOT (PY>2003 OR AY>2003 OR PRY>2003)

     FILE 'BEILSTEIN' ENTERED AT 09:32:13 ON 15 AUG 2006
L16           0 SEA SSS FUL L10

     FILE 'MARPAT' ENTERED AT 09:33:01 ON 15 AUG 2006
L17           2 SEA SSS SAM L10
L18          15 SEA SSS FUL L10
L19           9 SEA ABB=ON  PLU=ON  L18 NOT L13

     FILE 'HCAPLUS' ENTERED AT 09:33:41 ON 15 AUG 2006
                E DUGAR S/AU
L20         104 SEA ABB=ON  PLU=ON  ("DUGAR S"/AU OR "DUGAR S K"/AU OR "DUGAR
                S M"/AU OR "DUGAR S V"/AU OR "DUGAR SUNDEEP"/AU)
                E CHAKRAVARTY S/AU
L21         193 SEA ABB=ON  PLU=ON  ("CHAKRAVARTY S"/AU OR "CHAKRAVARTY S
                C"/AU OR "CHAKRAVARTY S D"/AU OR "CHAKRAVARTY S K"/AU OR
                "CHAKRAVARTY S L"/AU OR "CHAKRAVARTY S N"/AU OR "CHAKRAVARTY S
                R"/AU OR "CHAKRAVARTY SARJAVIT"/AU OR "CHAKRAVARTY SARVAJIT"/AU
                )
                E CONTE A/AU
L22         128 SEA ABB=ON  PLU=ON  ("CONTE A"/AU OR "CONTE A A"/AU OR "CONTE
                A A JR"/AU OR "CONTE A C JR"/AU OR "CONTE A J"/AU OR "CONTE A
                M"/AU OR "CONTE A T HERNANDEZ"/AU OR "CONTE AURELIA"/AU)
                E AXON J/AU
L23          10 SEA ABB=ON  PLU=ON  ("AXON J"/AU OR "AXON J B"/AU OR "AXON J M
                C"/AU OR "AXON JONATHAN"/AU OR "AXON JONATHAN R"/AU)
                E MCENROE G/AU
L24          27 SEA ABB=ON  PLU=ON  ("MCENROE G"/AU OR "MCENROE GLEN"/AU OR
                "MCENROE GLENN"/AU OR "MCENROE GLENN A"/AU)
                E MURPHY A/AU
L25         285 SEA ABB=ON  PLU=ON  ("MURPHY A"/AU OR "MURPHY A A"/AU OR
                "MURPHY A B"/AU OR "MURPHY A C"/AU OR "MURPHY A D"/AU OR
                "MURPHY A DON"/AU OR "MURPHY A DOUGLAS"/AU OR "MURPHY A E"/AU
                OR "MURPHY A F"/AU OR "MURPHY A G"/AU OR "MURPHY A G V"/AU OR
                "MURPHY A H"/AU OR "MURPHY A J"/AU OR "MURPHY A JR"/AU OR
                "MURPHY A K"/AU OR "MURPHY A L"/AU OR "MURPHY A M"/AU OR
                "MURPHY A N"/AU OR "MURPHY A P"/AU OR "MURPHY A R"/AU OR
                "MURPHY A R VASUDEVA"/AU OR "MURPHY A REG"/AU OR "MURPHY A
                S"/AU OR "MURPHY A S P"/AU OR "MURPHY A SCOTT"/AU OR "MURPHY A
                ST J"/AU OR "MURPHY A STJ"/AU OR "MURPHY A T"/AU OR "MURPHY A
                W"/AU OR "MURPHY A Z"/AU OR "MURPHY AL"/AU OR "MURPHY ALISON"/A
                U OR "MURPHY ALISON A"/AU)
L26          32 SEA ABB=ON  PLU=ON  (L20 AND (L21 OR L22 OR L23 OR L24 OR
                L25)) OR (L21 AND (L22 OR L23 OR L24 OR L25)) OR (L22 AND (L23
                OR L24 OR L25)) OR (L23 AND (L24 OR L25)) OR (L24 AND L25)

     FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:37:05 ON 15 AUG 2006
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L27            0 SEA ABB=ON  PLU=ON  L12
L28            0 SEA ABB=ON  PLU=ON  L5

     FILE 'CAOLD' ENTERED AT 09:37:58 ON 15 AUG 2006
L29            0 SEA ABB=ON  PLU=ON  L12
L30           14 SEA ABB=ON  PLU=ON  L5
L31           14 SEA ABB=ON  PLU=ON  (L30 OR L6)
L32           14 SEA ABB=ON  PLU=ON  L31 NOT (PY>2003 OR AY>2003 OR PRY>2003)
                 D BIB
                 D BIB 5

     FILE 'HCAPLUS' ENTERED AT 09:39:42 ON 15 AUG 2006
L33           11 SEA ABB=ON  PLU=ON  (L7 OR L13)

     FILE 'REGISTRY' ENTERED AT 09:40:07 ON 15 AUG 2006

     FILE 'STNGUIDE' ENTERED AT 09:40:23 ON 15 AUG 2006

     FILE 'REGISTRY' ENTERED AT 09:43:09 ON 15 AUG 2006
L34              STRUCTURE UPLOADED
                 D QUE L34
L35           50 SEA SUB=L5 SSS SAM L34

     FILE 'BIOSIS' ENTERED AT 09:45:25 ON 15 AUG 2006
L36            0 SEA ABB=ON  PLU=ON  L12

     FILE 'EMBASE' ENTERED AT 09:45:32 ON 15 AUG 2006
L37            0 SEA ABB=ON  PLU=ON  L12

     FILE 'CAPLUS' ENTERED AT 09:46:06 ON 15 AUG 2006
                 SAVE L6 LEESERCA/A TEMP

     FILE 'REGISTRY' ENTERED AT 09:47:07 ON 15 AUG 2006
                 SAVE L12 LEESERSUB/A TEMP

     FILE 'REGISTRY' ENTERED AT 09:47:48 ON 15 AUG 2006

     FILE 'HCAPLUS' ENTERED AT 09:47:55 ON 15 AUG 2006
                 D QUE L26
                 D IBIB ABS L26 TOT
                 D QUE L33
                 D IBIB ABS HITSTR L33 TOT

     FILE 'CAOLD' ENTERED AT 09:48:37 ON 15 AUG 2006
                 D QUE L32
                 D QUE L32
                 D BIB HITSTR L32 TOT

     FILE 'MARPAT' ENTERED AT 09:51:42 ON 15 AUG 2006
                 D QUE L19
                 D IBIB ABS QHIT L19 TOT

     FILE 'STNGUIDE' ENTERED AT 10:42:42 ON 15 AUG 2006

     FILE 'REGISTRY' ENTERED AT 10:43:48 ON 15 AUG 2006
L38              STRUCTURE UPLOADED
                 D QUE L38
L39           50 SEA SUB=L5 SSS SAM L38
L40         2005 SEA SUB=L5 SSS FUL L38
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L41          748 SEA ABB=ON  PLU=ON  L5 NOT L40

     FILE 'CAPLUS' ENTERED AT 10:44:47 ON 15 AUG 2006
L42           84 SEA ABB=ON  PLU=ON  L41
L43           37 SEA ABB=ON  PLU=ON  L42 NOT (PY>2003 OR AY>2003 OR PRY>2003)

     FILE 'REGISTRY' ENTERED AT 10:45:27 ON 15 AUG 2006
                D QUE L38

     FILE 'STNGUIDE' ENTERED AT 10:49:01 ON 15 AUG 2006

     FILE 'CAPLUS' ENTERED AT 10:49:49 ON 15 AUG 2006

     FILE 'REGISTRY' ENTERED AT 10:49:54 ON 15 AUG 2006
L44             STRUCTURE UPLOADED
                D QUE L44
L45           50 SEA SUB=L5 SSS SAM L44
L46         2116 SEA SUB=L5 SSS FUL L44
L47          637 SEA ABB=ON  PLU=ON  L5 NOT L46

     FILE 'CAPLUS' ENTERED AT 10:50:58 ON 15 AUG 2006
L48           74 SEA ABB=ON  PLU=ON  L47
L49           35 SEA ABB=ON  PLU=ON  L48 NOT (PY>2003 OR AY>2003 OR PRY>2003)

     FILE 'REGISTRY' ENTERED AT 10:51:11 ON 15 AUG 2006

     FILE 'CAPLUS' ENTERED AT 10:51:34 ON 15 AUG 2006
L50           37 SEA ABB=ON  PLU=ON  (L43 OR L49)

     FILE 'REGISTRY' ENTERED AT 10:51:53 ON 15 AUG 2006
L51          111 SEA ABB=ON  PLU=ON  L41 NOT L47

     FILE 'REGISTRY' ENTERED AT 11:03:41 ON 15 AUG 2006
                E PONASTERONE/CN
L*** DEL       1 S E4
                D SCAN

     FILE 'REGISTRY' ENTERED AT 11:10:15 ON 15 AUG 2006
                E GS 2/CN
L*** DEL       3 S E3
                D SCAN

     FILE 'REGISTRY' ENTERED AT 11:11:56 ON 15 AUG 2006
                E GS E/CN
                E GS /CN
                E GS-E/CN
L*** DEL       2 S E3
                D SCAN

     FILE 'HCAPLUS' ENTERED AT 11:17:31 ON 15 AUG 2006
                E TGF/CT
                E E3+ALL
                E TGF/CT
                E E9+ALL
L52            0 SEA ABB=ON  PLU=ON  TGF-B+PFT/CT
L53            2 SEA ABB=ON  PLU=ON  L48 AND (TGF?)/OBI,BI
L54           37 SEA ABB=ON  PLU=ON  (L49 OR L53)
L55           39 SEA ABB=ON  PLU=ON  (L50 OR L54)
L56      1717220 SEA ABB=ON  PLU=ON  (CARDIOVASCULAR? OR SURGICAL? OR MECHANICAL
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                ? OR KIDNEY? OR FIBROSIS? OR CHRONIC UTERAL OBSTRUC? OR
                HEPATIC? OR PROGRESSIVE SCLEROSIS? OR PULMONARY FIBROSIS? OR
                COLLAGEN VASCULAR DISORDER? OR VASCULAR? OR EYE DISEASE? OR
                CANCER? OR CONGESTIVE HEART FAILURE? OR CARDIOMYOPATHY?)/OBI,BI

L57       312716 SEA ABB=ON  PLU=ON  (MYOCARDITIS? OR VASCULAR STENOS? OR
                ATHEROSCLE? OR ANGIOPLASTY? OR NEPHROPATHY? OR HYPERTEN? OR
                DIABET? OR GLOMERULONEPHRIT? OR CIRRHOSIS? OR BILIAR? OR
                RESPIRATORY DISTRESS SYNDROME? OR PULMONARY SYNDROME? OR
                POLYMYOS?)/OBI,BI
L58       174335 SEA ABB=ON  PLU=ON  (SCLERODERMA? OR PROGRESSIVE SYSTEMIC
                SCLEROS? OR DERMATOMYOSI? OR FASCIST? OR RAYNAUD? OR ARTHRIT?
                OR RHEUMATOID ARTH? OR VITREORETINOPATH? OR RETINAL ATTACH? OR
                CROHN? OR ULCERATIBE? OR EMDOME? OR OVARIAN? OR PARKINSON? OR
                ALZHEIMER?)/OBI,BI
L59           29 SEA ABB=ON  PLU=ON  L48 AND (L56 OR L57 OR L58)
L60           62 SEA ABB=ON  PLU=ON  (L54 OR L59)
L61           49 SEA ABB=ON  PLU=ON  L47 (L) (THU OR BAC OR PKT OR DMA OR
                PAC)/RL
L62           29 SEA ABB=ON  PLU=ON  L61 AND (L56 OR L57 OR L58)
L63           11 SEA ABB=ON  PLU=ON  L61 NOT (PY>2003 OR AY>2003 OR PRY>2003)
L64           29 SEA ABB=ON  PLU=ON  (L59 OR L62)
L65            2 SEA ABB=ON  PLU=ON  L64 NOT (PY>2003 OR AY>2003 OR PRY>2003)
L66           35 SEA ABB=ON  PLU=ON  (L63 OR L65 OR L49)
L67           37 SEA ABB=ON  PLU=ON  (L66 OR L53)
L68           36 SEA ABB=ON  PLU=ON  L67 NOT L33
L69           36 SEA ABB=ON  PLU=ON  L68 NOT L26

=> file hcaplus
FILE 'HCAPLUS' ENTERED AT 11:32:35 ON 15 AUG 2006
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FILE COVERS 1907 - 15 Aug 2006  VOL 145 ISS 8
FILE LAST UPDATED: 14 Aug 2006  (20060814/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d que l69
L3              STR
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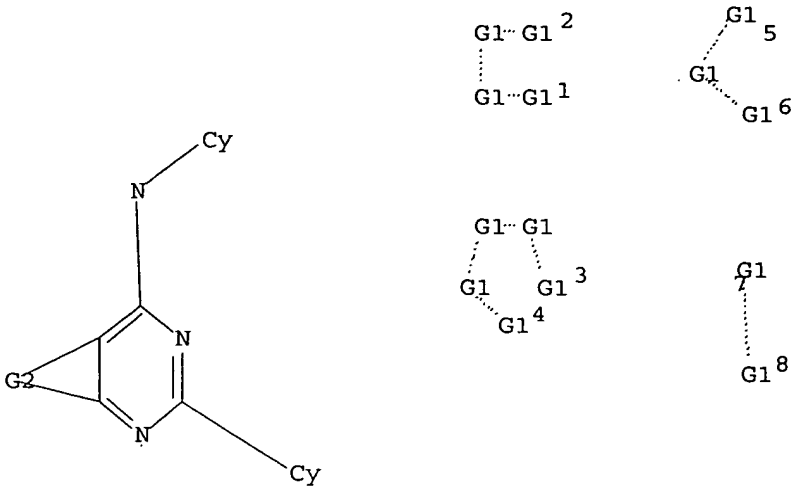
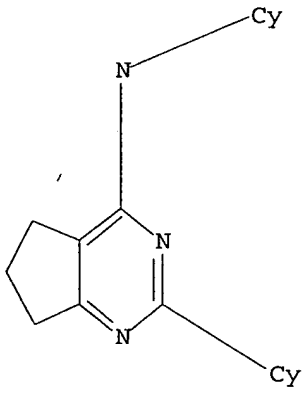


G1 C,O,S,N

G2 [@1-@2],[@3-@4],[@5-@6],[@7-@8]

Structure attributes must be viewed using STN Express query preparation.

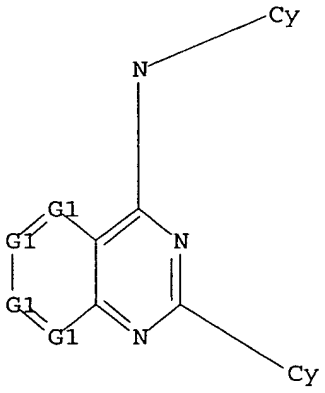
L5 2753 SEA FILE=REGISTRY SSS FUL L3
L7 1 SEA FILE=CAPLUS ABB=ON PLU=ON US2004-811428/AP
L10 STR



Structure attributes must be viewed using STN Express query preparation.

L12 55 SEA FILE=REGISTRY SUB=L5 SSS FUL L10
L13 11 SEA FILE=CAPLUS ABB=ON PLU=ON L12
L20 104 SEA FILE=HCAPLUS ABB=ON PLU=ON ("DUGAR S"/AU OR "DUGAR S K"/AU OR "DUGAR S M"/AU OR "DUGAR S V"/AU OR "DUGAR SUNDEEP"/AU)
L21 193 SEA FILE=HCAPLUS ABB=ON PLU=ON ("CHAKRAVARTY S"/AU OR "CHAKRAVARTY S C"/AU OR "CHAKRAVARTY S D"/AU OR "CHAKRAVARTY S K"/AU OR "CHAKRAVARTY S L"/AU OR "CHAKRAVARTY S N"/AU OR "CHAKRAVARTY S R"/AU OR "CHAKRAVARTY SARJAVIT"/AU OR "CHAKRAVARTY SARVAJIT"/AU)
L22 128 SEA FILE=HCAPLUS ABB=ON PLU=ON ("CONTE A"/AU OR "CONTE A A"/AU OR "CONTE A A JR"/AU OR "CONTE A C JR"/AU OR "CONTE A J"/AU OR "CONTE A M"/AU OR "CONTE A T HERNANDEZ"/AU OR "CONTE

AURELIA"/AU)
L23 10 SEA FILE=HCAPLUS ABB=ON PLU=ON ("AXON J"/AU OR "AXON J B"/AU OR "AXON J M C"/AU OR "AXON JONATHAN"/AU OR "AXON JONATHAN R"/AU)
L24 27 SEA FILE=HCAPLUS ABB=ON PLU=ON ("MCENROE G"/AU OR "MCENROE GLEN"/AU OR "MCENROE GLENN"/AU OR "MCENROE GLENN A"/AU)
L25 285 SEA FILE=HCAPLUS ABB=ON PLU=ON ("MURPHY A"/AU OR "MURPHY A A"/AU OR "MURPHY A B"/AU OR "MURPHY A C"/AU OR "MURPHY A D"/AU OR "MURPHY A DON"/AU OR "MURPHY A DOUGLAS"/AU OR "MURPHY A E"/AU OR "MURPHY A F"/AU OR "MURPHY A G"/AU OR "MURPHY A G V"/AU OR "MURPHY A H"/AU OR "MURPHY A J"/AU OR "MURPHY A JR"/AU OR "MURPHY A K"/AU OR "MURPHY A L"/AU OR "MURPHY A M"/AU OR "MURPHY A N"/AU OR "MURPHY A P"/AU OR "MURPHY A R"/AU OR "MURPHY A R VASUDEVA"/AU OR "MURPHY A REG"/AU OR "MURPHY A S"/AU OR "MURPHY A S P"/AU OR "MURPHY A SCOTT"/AU OR "MURPHY A ST J"/AU OR "MURPHY A STJ"/AU OR "MURPHY A T"/AU OR "MURPHY A W"/AU OR "MURPHY A Z"/AU OR "MURPHY AL"/AU OR "MURPHY ALISON"/AU OR "MURPHY ALISON A"/AU)
L26 32 SEA FILE=HCAPLUS ABB=ON PLU=ON (L20 AND (L21 OR L22 OR L23 OR L24 OR L25)) OR (L21 AND (L22 OR L23 OR L24 OR L25)) OR (L22 AND (L23 OR L24 OR L25)) OR (L23 AND (L24 OR L25)) OR (L24 AND L25)
L33 11 SEA FILE=HCAPLUS ABB=ON PLU=ON (L7 OR L13)
L44 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.
L46 2116 SEA FILE=REGISTRY SUB=L5 SSS FUL L44
L47 637 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L46
L48 74 SEA FILE=CAPLUS ABB=ON PLU=ON L47
L49 35 SEA FILE=CAPLUS ABB=ON PLU=ON L48 NOT (PY>2003 OR AY>2003 OR PRY>2003)
L53 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L48 AND (TGF?)/OBI,BI
L56 1717220 SEA FILE=HCAPLUS ABB=ON PLU=ON (CARDIOVASCULAR? OR SURGICAL? OR MECHANICAL? OR KIDNEY? OR FIBROSIS? OR CHRONIC UTERAL OBSTRUC? OR HEPATIC? OR PROGRESSIVE SCLEROSIS? OR PULMONARY FIBROSIS? OR COLLAGEN VASCULAR DISORDER? OR VASCULAR? OR EYE DISEASE? OR CANCER? OR CONGESTIVE HEART FAILURE? OR CARDIOMYOPATHY?)/OBI,BI
L57 312716 SEA FILE=HCAPLUS ABB=ON PLU=ON (MYOCARDITIS? OR VASCULAR STENOS? OR ATHEROSCLE? OR ANGIOPLASTY? OR NEPHROPATHY? OR HYPERTEN? OR DIABET? OR GLOMERULONEPHRIT? OR CIRRHOSIS? OR

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                BILIAR? OR RESPIRATORY DISTRESS SYNDROME? OR PULMONARY
                SYNDROME? OR POLYMYOS?)/OBI,BI
L58      174335 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (SCLERODERMA? OR PROGRESSIVE
                SYSTEMIC SCLEROS? OR DERMATOMYOSI? OR FASCIST? OR RAYNAUD? OR
                ARTHRIT? OR RHEUMATOID ARTH? OR VITREORETINOPATH? OR RETINAL
                ATTACH? OR CROHN? OR ULCERATIBE? OR EMDOME? OR OVARIAN? OR
                PARKINSON? OR ALZHEIMER?)/OBI,BI
L59          29 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L48 AND (L56 OR L57 OR L58)
L61          49 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L47 (L) (THU OR BAC OR PKT OR
                DMA OR PAC)/RL
L62          29 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L61 AND (L56 OR L57 OR L58)
L63          11 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L61 NOT (PY>2003 OR AY>2003
                OR PRY>2003)
L64          29 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L59 OR L62)
L65           2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L64 NOT (PY>2003 OR AY>2003
                OR PRY>2003)
L66          35 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L63 OR L65 OR L49)
L67          37 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L66 OR L53)
L68          36 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L67 NOT L33
L69          36 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L68 NOT L26


=> d ibib abs hitstr l69 tot

L69  ANSWER 1 OF 36  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2004:633933  HCAPLUS
DOCUMENT NUMBER:          141:174181
TITLE:                    Preparation of quinolines, quinazolines and
                          thienopyrimidines as ALK-5 receptor ligands for the
                          treatment of kidney fibrosis
INVENTOR(S):              Dodic, Nerina; Gellibert, Francoise Jeanne; Hunter,
                          Robert Neil, III
PATENT ASSIGNEE(S):       Smithkline Beecham Corporation, USA
SOURCE:                   PCT Int. Appl., 50 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2004065392         A1    20040805    WO 2004-EP650           20040126
     WO 2004065392         C1    20041007
         W:  AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,
             BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,
             CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
             ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
             IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
             LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
             MZ, MZ, NA, NI
PRIORITY APPLN. INFO.:                          GB 2003-1719        A  20030124
                                                GB 2003-8706        A  20030415
                                                GB 2003-15519       A  20030702
OTHER SOURCE(S):          MARPAT 141:174181
GI
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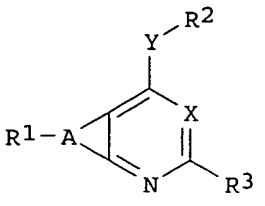

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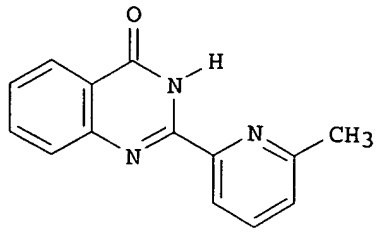

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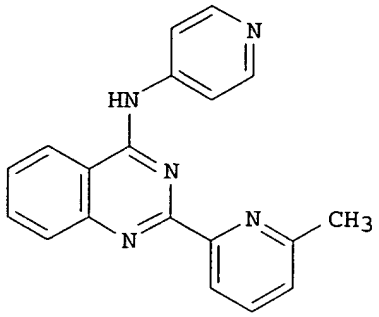


III

AB Condensed pyridines and pyrimidines (quinolines, quinazolines and thienopyrimidines) of formula I [X is N or CH; Y is -NR- or -NHCH2-; R is alkyl; A is a fused 5-7 membered carbocyclic or N/O/S-heterocyclic ring with one or more R1 groups; R1 is H, halo, NO2, alkyl, OR, CONR4R5, O(CH2)nNR4R5, (CH2)nNR4R5, or NR4R5; R2 is certain N-containing heterocyclic rings; R3 is pyridin-2-yl, C1-6alkyl-pyridin-2-yl, -pyrrol-2-yl or -thiazol-2-yl; R4 is H or alkyl; R5 is alkyl; NR4R5 can be 3-7 membered (un)saturated N/O/S-heterocycle] and their pharmaceutically acceptable salts, solvates or derivs. were synthesized. Thus, 2-aminobenzamide was coupled with 6-methyl-2-pyridinecarboxylic acid in the presence of EDCI/HOBT followed by cyclocondensation mediated by NaOH to give quinazolinone II. Chlorination of II with POCl3 and subsequent substitution of the resulting chloride with 4-aminopyridine afforded quinazoline III. These compds. are inhibitors of the transforming growth factor ***TGF***-β, especially of activin-like kinase ALK-5 receptor, and are used in the treatment and prevention of various disease states mediated by ALK-5 kinase mechanisms such as kidney fibrosis. All the final products showed ALK5 receptor modulator activity with IC50 of 1-200 nM (16 nM for III) and ***TGF***-β cellular activity with IC50 of 0.001-10 μM (82 nM for III). The role of ALK5 inhibitors for the treatment of photoaging was also demonstrated exptl.

IT ***733807-00-6P 733807-01-7P 733807-02-8P 733807-03-9P 733807-04-0P 733807-05-1P 733807-06-2P 733807-07-3P 733807-09-5P 733807-10-8P 733807-12-0P***

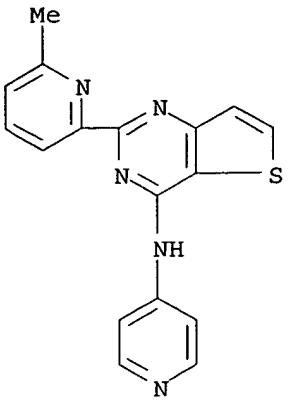
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinolines, quinazolines and thienopyrimidines as ALK-5 receptor ligands for the treatment of, e.g., kidney fibrosis)

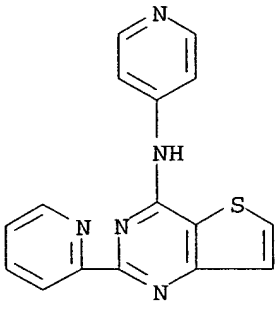
RN 733807-00-6 HCAPLUS

CN Thieno[3,2-d]pyrimidin-4-amine, 2-(6-methyl-2-pyridinyl)-N-4-pyridinyl-

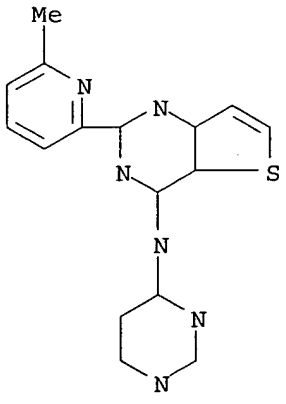
(9CI) (CA INDEX NAME)



RN 733807-01-7 HCAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, 2-(2-pyridinyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

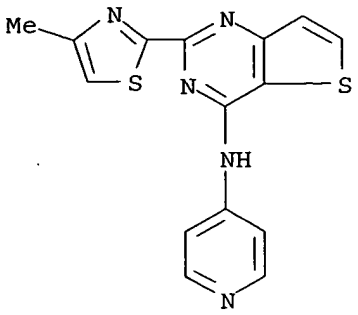


RN 733807-02-8 HCAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, 2-(6-methyl-2-pyridinyl)-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)

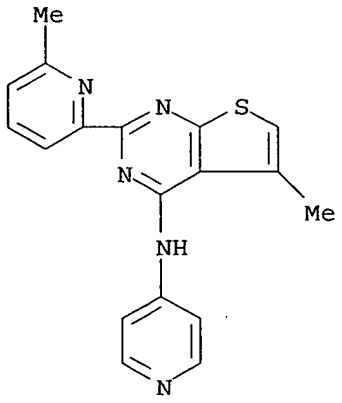


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

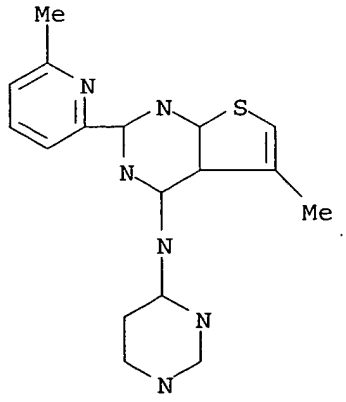
RN 733807-03-9 HCAPLUS
CN Thieno[3,2-d]pyrimidin-4-amine, 2-(4-methyl-2-thiazolyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



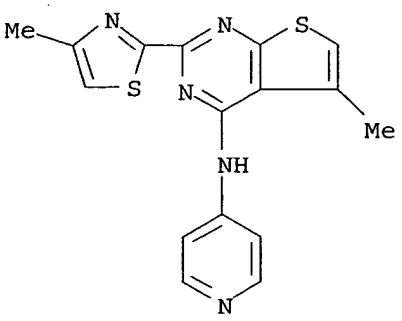
RN 733807-04-0 HCAPLUS
CN Thieno[2,3-d]pyrimidin-4-amine, 5-methyl-2-(6-methyl-2-pyridinyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



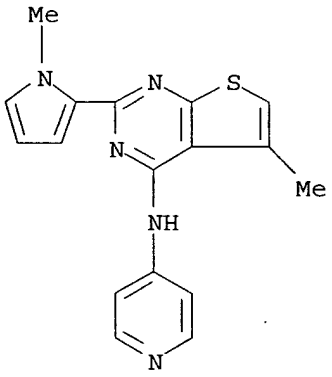
RN 733807-05-1 HCAPLUS
CN Thieno[2,3-d]pyrimidin-4-amine, 5-methyl-2-(6-methyl-2-pyridinyl)-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



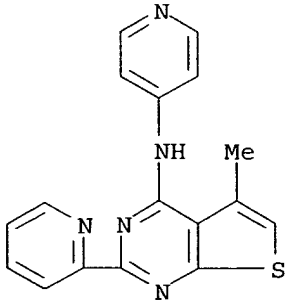
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 733807-06-2 HCAPLUS
CN Thieno[2,3-d]pyrimidin-4-amine, 5-methyl-2-(4-methyl-2-thiazolyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 733807-07-3 HCAPLUS
CN Thieno[2,3-d]pyrimidin-4-amine, 5-methyl-2-(1-methyl-1H-pyrrol-2-yl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 733807-09-5 HCAPLUS
CN Thieno[2,3-d]pyrimidin-4-amine, 5-methyl-2-(2-pyridinyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 733807-10-8 HCAPLUS
CN Thieno[2,3-d]pyrimidin-4-amine, 5-methyl-2-(2-pyridinyl)-N-4-pyridinyl-,

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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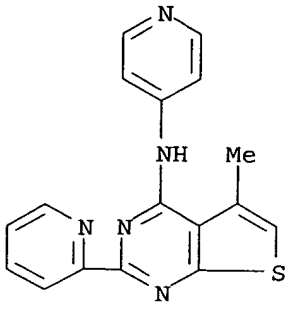
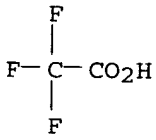
CRN 733807-09-5
CMF C17 H13 N5 S



CM 2

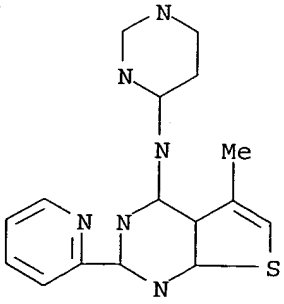
CRN 76-05-1
CMF C2 H F3 O2



RN 733807-12-0 HCAPLUS
CN Thieno[2,3-d]pyrimidin-4-amine, 5-methyl-2-(2-pyridinyl)-N-4-pyrimidinyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 733807-11-9
CMF C16 H12 N6 S



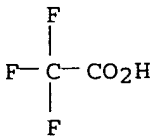
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

Saloni Sharma

08/15/2006

CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 2 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:570644 HCAPLUS
DOCUMENT NUMBER: 139:133575
TITLE: Preparation of bicyclic pyrimidinyl derivatives as adenosine receptor ligands
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan
PATENT ASSIGNEE(S): OSI Pharmaceuticals Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 105 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003139427	A1	20030724	US 2002-227378	20020823
PRIORITY APPLN. INFO.:			US 2002-227378	20020823

OTHER SOURCE(S): MARPAT 139:133575
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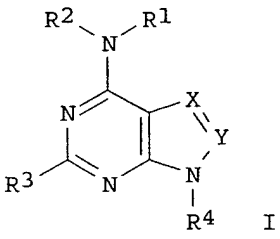

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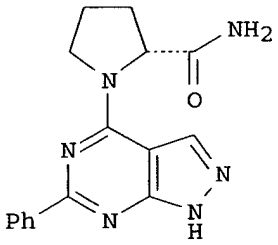


II

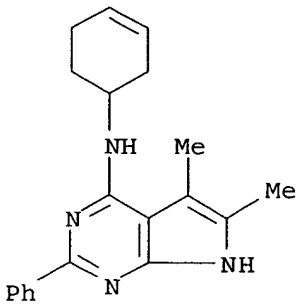
AB Title compds. I [Y = N, CR5 and X = N, CR6 wherein X, Y are both N or when Y = CR5, X = N or when X = CR6, Y = N; R1-2 = H, alkoxy, aminoalkyl, etc; R3-4 = H, alkyl, aryl, alkylaryl] are prepared For instance, 3-amino-4-carbamoylpyrazole is acylated with benzoyl chloride (Pyridine, 50°, 5-6 h), cyclized to the corresponding pyrazolopyrimidine (water, K2CO3, 100°, 16 h), converted to the chloride (POCl3, 106°, 2 h) and used for reactions with various amines to give the example compds., e.g., II. II has Ki = 76.7 nM for the adenosine A1

receptor, Ki = 242.7 nM for A2a and Ki = 1480.5 nM for A2b. I are useful for the treatment of.

IT ***251946-19-7P***
RL: ***PAC (Pharmacological activity)***; SPN (Synthetic preparation); ***THU (Therapeutic use)***; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic pyrazolo- imidazo- and triazolopyrimidinyl derivs. as adenosine receptor ligands)

RN 251946-19-7 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-3-cyclohexen-1-yl-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



L69 ANSWER 3 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:215739 HCAPLUS

DOCUMENT NUMBER: 139:85151

TITLE: N-Phenyl-N-purin-6-yl ureas: The design and synthesis of p38α MAP kinase inhibitors

AUTHOR(S): Wan, Zehong; Boehm, Jeffrey C.; Bower, Michael J.; Kassis, Shouki; Lee, John C.; Zhao, Baoguang; Adams, Jerry L.

CORPORATE SOURCE: Department of Medicinal Chemistry, Respiratory and Inflammation CEDD, GlaxoSmithKline Pharmaceuticals, King of Prussia, PA, 19406, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(6), 1191-1194
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:85151

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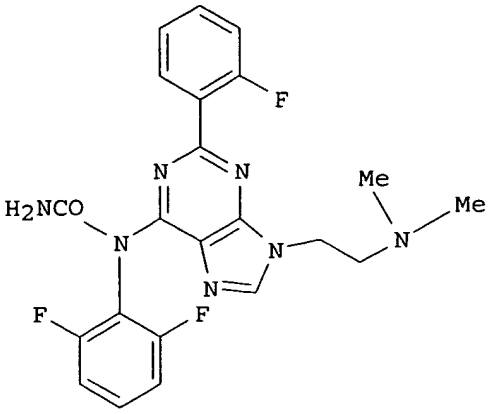


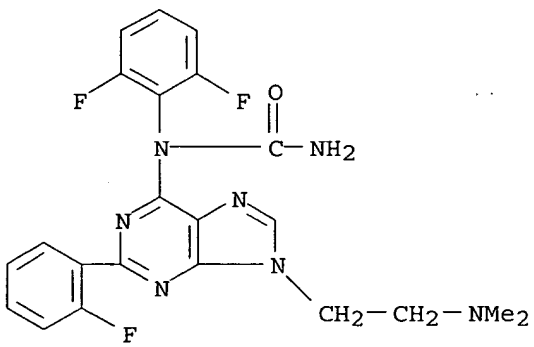
I

AB The design, synthesis and SAR of a series of 2,6,9-trisubstituted purine inhibitors of p38α kinase is reported. Synthetic routes were devised to allow for array synthesis in which all three points of diversity could be facilely explored. The binding of this novel series to p38α kinase, which was predicted to have several key interactions in common with SB-203580, was confirmed by x-ray crystallog. of I (p38 IC50=82 nM).

IT ***552315-20-5P***
RL: ***PAC (Pharmacological activity)***; PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-phenyl-N-purin-6-yl ureas as p38α MAP kinase inhibitors)

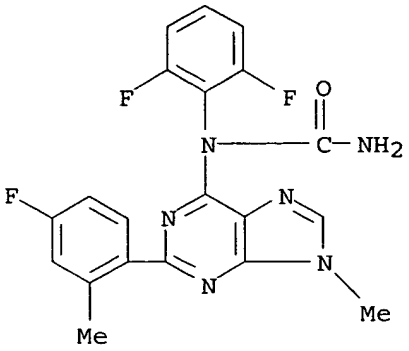
RN 552315-20-5 HCAPLUS

CN Urea, N-(2,6-difluorophenyl)-N-[9-[2-(dimethylamino)ethyl]-2-(2-fluorophenyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

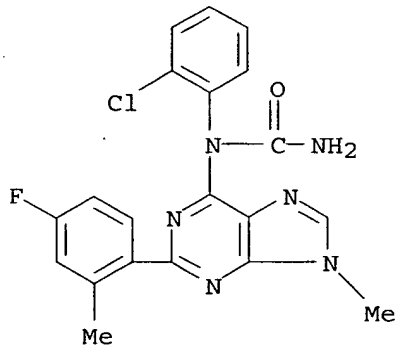


IT ***552315-11-4P 552315-14-7P 552315-21-6P***
552315-22-7P 552315-23-8P 552315-24-9P
552315-25-0P 552315-26-1P 552315-27-2P
552315-28-3P 552315-29-4P 552315-30-7P
552315-31-8P 552315-32-9P 552315-33-0P
552315-34-1P 552315-35-2P 552315-36-3P
RL: ***PAC (Pharmacological activity)***; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-phenyl-N-purin-6-yl ureas as p38α MAP kinase inhibitors)

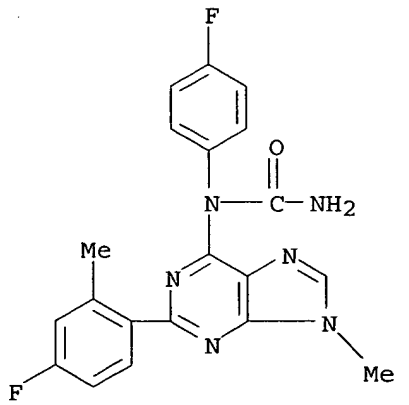
RN 552315-11-4 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[2-(4-fluoro-2-methylphenyl)-9-methyl-9H-purin-6-yl]- (9CI) (CA INDEX NAME)



RN 552315-14-7 HCAPLUS
CN Urea, N-(2-chlorophenyl)-N-[2-(4-fluoro-2-methylphenyl)-9-methyl-9H-purin-6-yl]- (9CI) (CA INDEX NAME)



RN 552315-21-6 HCAPLUS
CN Urea, N-[2-(4-fluoro-2-methylphenyl)-9-methyl-9H-purin-6-yl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 552315-22-7 HCAPLUS
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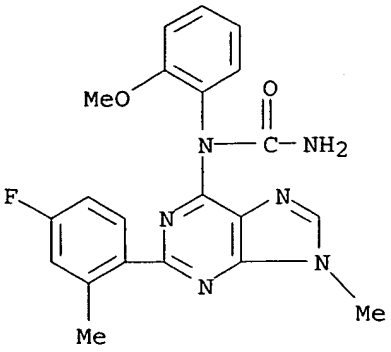
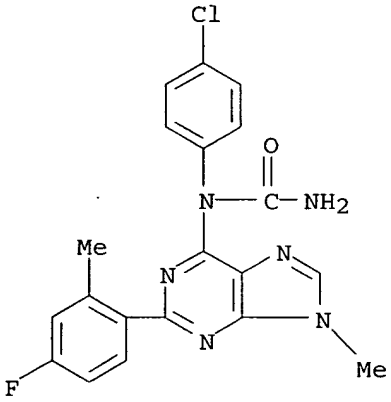
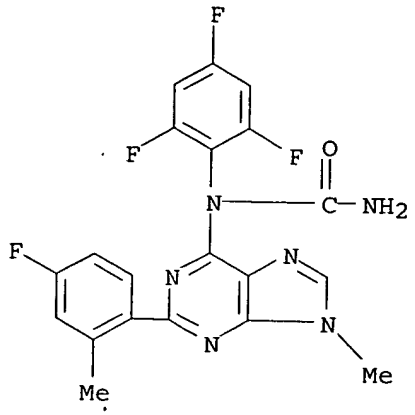


RN 552315-23-8 HCAPLUS
CN Urea, N-(4-chlorophenyl)-N-[2-(4-fluoro-2-methylphenyl)-9-methyl-9H-purin-6-yl]- (9CI) (CA INDEX NAME)



RN 552315-24-9 HCAPLUS
CN Urea, N-[2-(4-fluoro-2-methylphenyl)-9-methyl-9H-purin-6-yl]-N-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)



RN 552315-25-0 HCAPLUS
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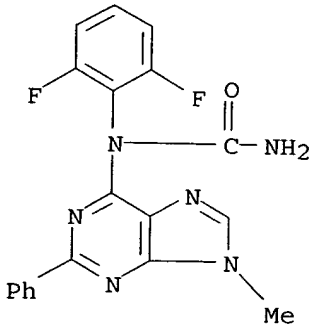
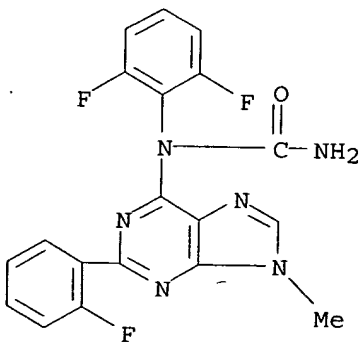


RN 552315-26-1 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[2-(2-fluorophenyl)-9-methyl-9H-purin-6-yl]- (9CI) (CA INDEX NAME)



RN 552315-27-2 HCAPLUS
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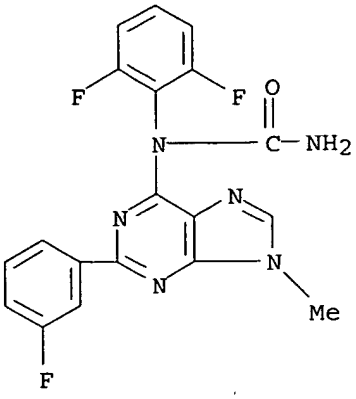

RN 552315-28-3 HCAPLUS
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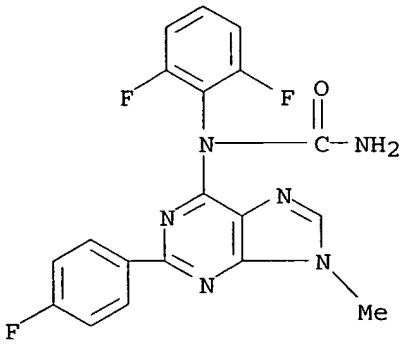
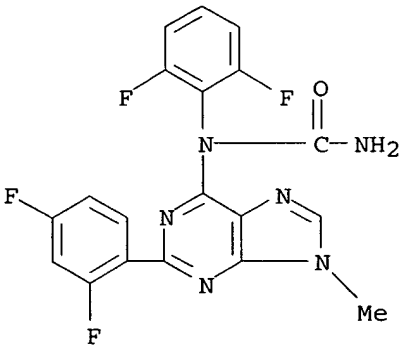
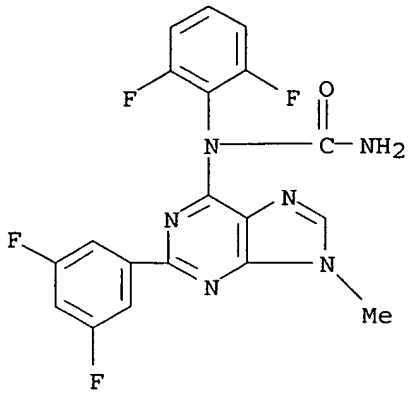


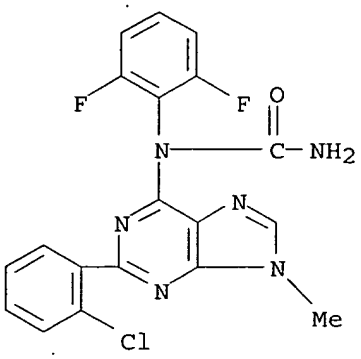
RN 552315-29-4 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[2-(2,4-difluorophenyl)-9-methyl-9H-purin-6-yl]- (9CI) (CA INDEX NAME)



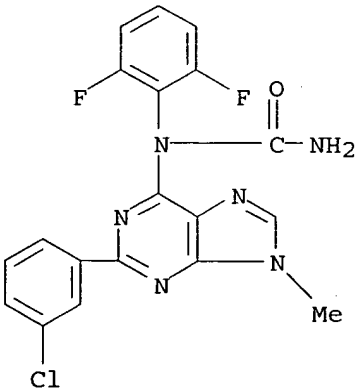
RN 552315-30-7 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[2-(3,5-difluorophenyl)-9-methyl-9H-purin-6-yl]- (9CI) (CA INDEX NAME)



RN 552315-31-8 HCAPLUS
CN Urea, N-[2-(2-chlorophenyl)-9-methyl-9H-purin-6-yl]-N-(2,6-difluorophenyl)-
(9CI) (CA INDEX NAME)

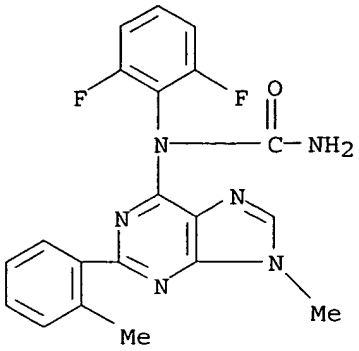


RN 552315-32-9 HCAPLUS
CN Urea, N-[2-(3-chlorophenyl)-9-methyl-9H-purin-6-yl]-N-(2,6-difluorophenyl)-
(9CI) (CA INDEX NAME)

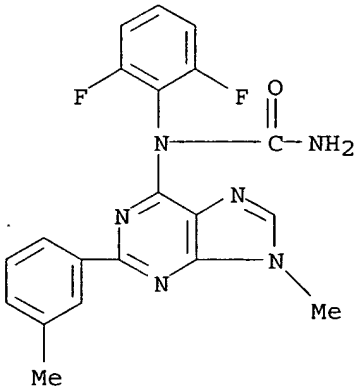


RN 552315-33-0 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[9-methyl-2-(2-methylphenyl)-9H-purin-6-yl]-

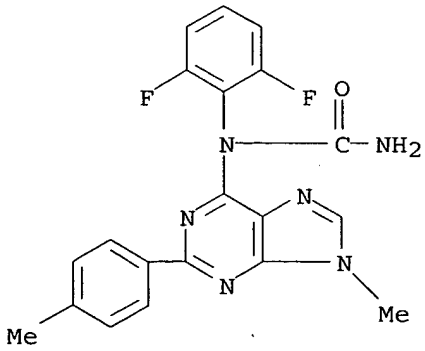
(9CI) (CA INDEX NAME)



RN 552315-34-1 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[9-methyl-2-(3-methylphenyl)-9H-purin-6-yl]-
(9CI) (CA INDEX NAME)

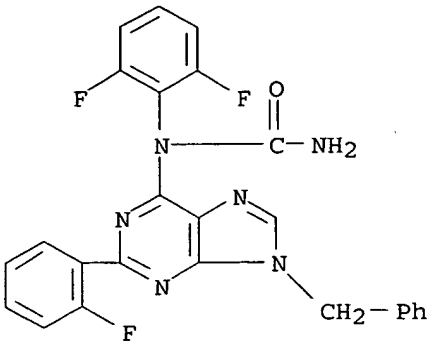


RN 552315-35-2 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[9-methyl-2-(4-methylphenyl)-9H-purin-6-yl]-
(9CI) (CA INDEX NAME)



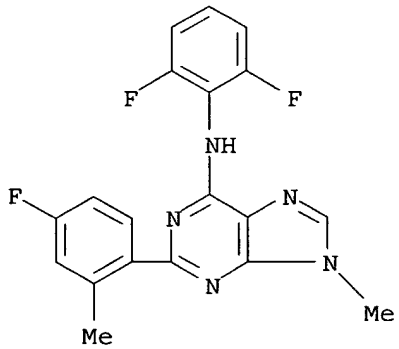
RN 552315-36-3 HCAPLUS
CN Urea, N-(2,6-difluorophenyl)-N-[2-(2-fluorophenyl)-9-(phenylmethyl)-9H-

purin-6-yl]- (9CI) (CA INDEX NAME)

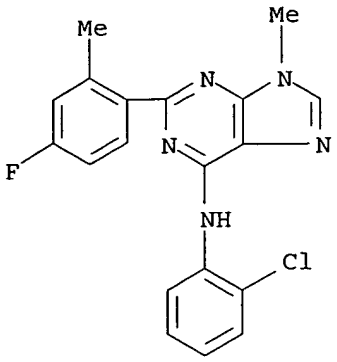


IT ***552315-10-3P 552315-13-6P 552315-17-0P 552315-18-1P 552315-19-2P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-phenyl-N-purin-6-yl ureas as p38α MAP kinase inhibitors)

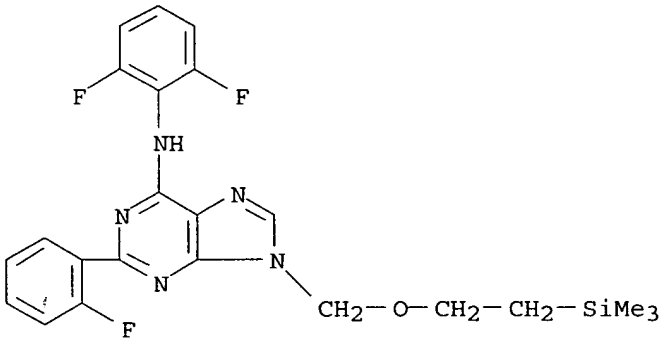
RN 552315-10-3 HCAPLUS
CN 9H-Purin-6-amine, N-(2,6-difluorophenyl)-2-(4-fluoro-2-methylphenyl)-9-methyl- (9CI) (CA INDEX NAME)



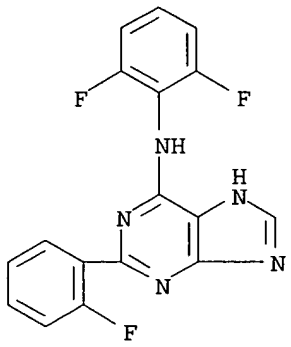
RN 552315-13-6 HCAPLUS
CN 9H-Purin-6-amine, N-(2-chlorophenyl)-2-(4-fluoro-2-methylphenyl)-9-methyl- (9CI) (CA INDEX NAME)



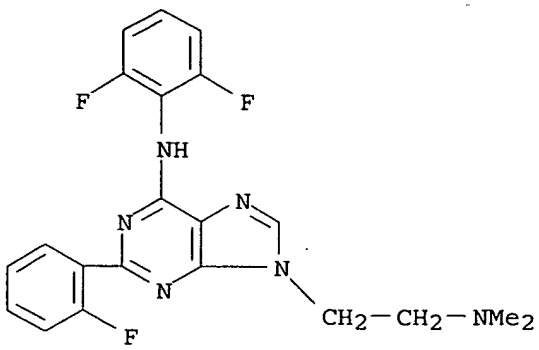
RN 552315-17-0 HCAPLUS
CN 9H-Purin-6-amine, N-(2,6-difluorophenyl)-2-(2-fluorophenyl)-9-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



RN 552315-18-1 HCAPLUS
CN 1H-Purin-6-amine, N-(2,6-difluorophenyl)-2-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

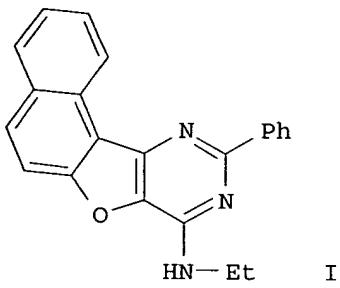


RN 552315-19-2 HCAPLUS
CN 9H-Purine-9-ethanamine, 6-[(2,6-difluorophenyl)amino]-2-(2-fluorophenyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

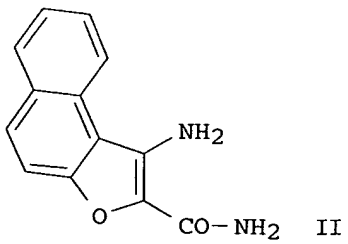


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 4 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:48109 HCAPLUS
DOCUMENT NUMBER: 138:321235
TITLE: Synthesis and pharmacological evaluation of some naphtho [2,1-b] furo [3,2-d] pyrimidines
AUTHOR(S): Padmashali, Basavaraj; Vaidya, V. P.; Kumar, M. L. Vijaya
CORPORATE SOURCE: Department of Chemistry, Jnana Sahyadri, Kuvempu University, Shankaraghatta, 577 451, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2002), 12(2), 89-94
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:321235
GI



I



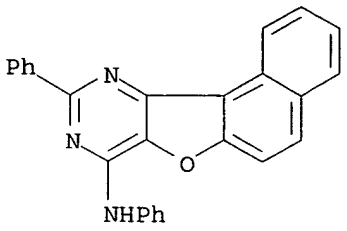
II

AB Several naphthofuropyrimidines, e.g. I, were synthesized via Thorpe-Ziegler cyclization to intermediate II and nucleophilic substitution and evaluated for antimicrobial, anthelmintic and antiinflammatory activities.

IT ***514829-02-8P 514829-03-9P 514829-04-0P 514829-05-1P 514829-06-2P 514829-07-3P 514829-08-4P 514829-09-5P 514829-10-8P***
RL: ***PAC (Pharmacological activity)***; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of naphthofuropyrimidines via Thorpe-Ziegler cyclization and nucleophilic substitution and evaluation of their antimicrobial, anthelmintic and antiinflammatory activities)

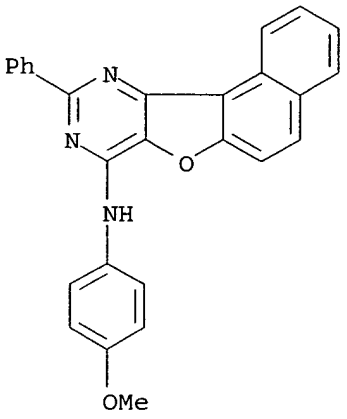
RN 514829-02-8 HCAPLUS

CN Naphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-amine, N,10-diphenyl- (9CI) (CA INDEX NAME)



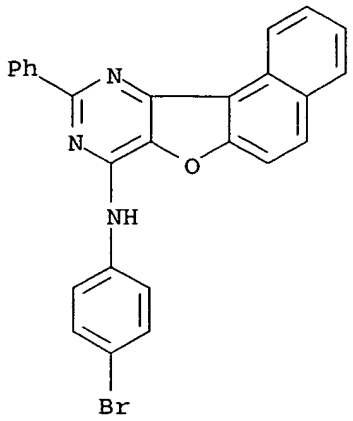
RN 514829-03-9 HCAPLUS

CN Naphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-amine, N-(4-methoxyphenyl)-10-phenyl- (9CI) (CA INDEX NAME)

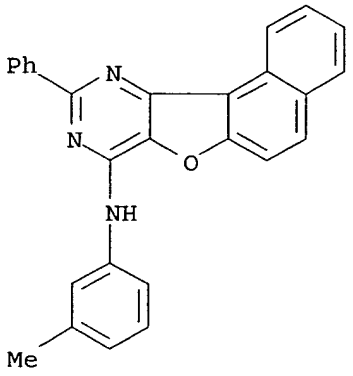


RN 514829-04-0 HCAPLUS

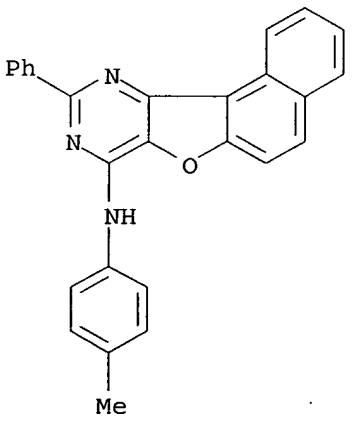
CN Naphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-amine, N-(4-bromophenyl)-10-phenyl- (9CI) (CA INDEX NAME)



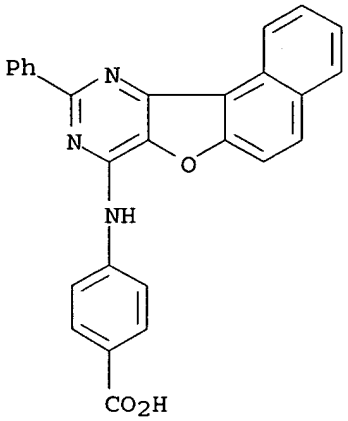
RN 514829-05-1 HCAPLUS
CN Naphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-amine, N-(3-methylphenyl)-10-phenyl- (9CI) (CA INDEX NAME)



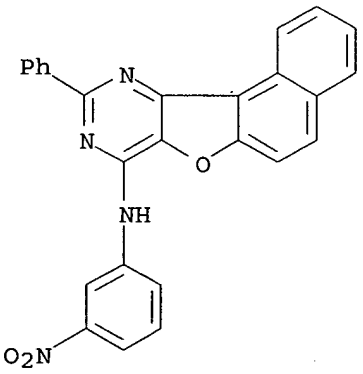
RN 514829-06-2 HCAPLUS
CN Naphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-amine, N-(4-methylphenyl)-10-phenyl- (9CI) (CA INDEX NAME)



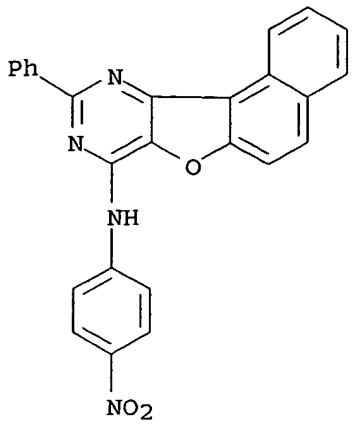
RN 514829-07-3 HCAPLUS
CN Benzoic acid, 4-[(10-phenylnaphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-yl)amino]- (9CI) (CA INDEX NAME)



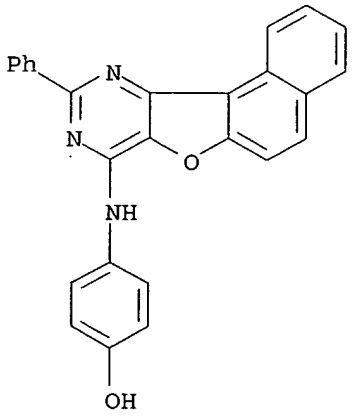
RN 514829-08-4 HCAPLUS
CN Naphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-amine, N-(3-nitrophenyl)-10-phenyl- (9CI) (CA INDEX NAME)



RN 514829-09-5 HCAPLUS
CN Naphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-amine, N-(4-nitrophenyl)-10-phenyl- (9CI) (CA INDEX NAME)



RN 514829-10-8 HCAPLUS
CN Phenol, 4-[(10-phenylnaphtho[1',2':4,5]furo[3,2-d]pyrimidin-8-yl)amino]- (9CI) (CA INDEX NAME)



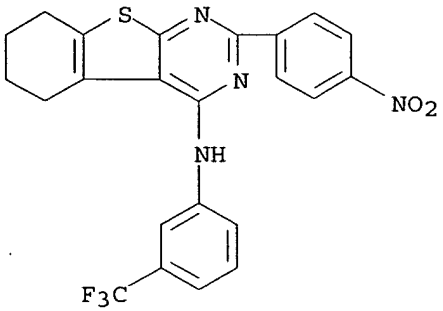
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 5 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:353359 HCAPLUS
DOCUMENT NUMBER: 136:102346
TITLE: Synthesis of some new substituted thieno[2,3-d]pyrimidines and related heterocyclic systems
AUTHOR(S): El-Baih, Fatma E. M.; Al-Taisan, Khlood M.; Al-Hazimi, Hassan M. A.
CORPORATE SOURCE: Department of Chemistry, College of Science, King Saud University, Riyadh, 11451, Saudi Arabia
SOURCE: Journal of Saudi Chemical Society (2000), 4(3), 281-290
CODEN: JSCSFO; ISSN: 1319-6103
PUBLISHER: Saudi Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:102346
AB Several substituted thieno[2,3-d]pyrimidines were synthesized from the

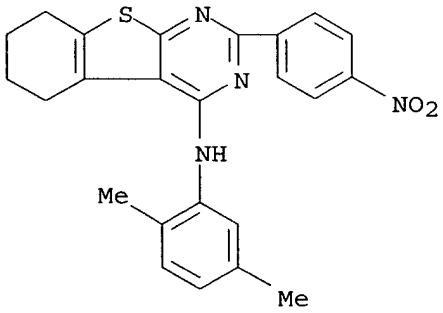
intermediates 2-amino-3-ethoxycarbonylthiophene and 2-aminothiophene-3-carbonitrile derivs. which in turn were obtained from the reaction of the corresponding ketones, Et cyanoacetate (or malononitrile) and sulfur in the presence of diethylamine. Attempts of cyclization of some substituted thieno[2,3-d]pyrimidines to thienotriazolo pyrimidines were also carried out. The structures of the prepared heterocycles were mainly confirmed on the basis of spectroscopic methods.

IT ***389088-19-1P 389088-20-4P 389088-21-5P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thieno[2,3-d]pyrimidines and related heterocyclic compds.)

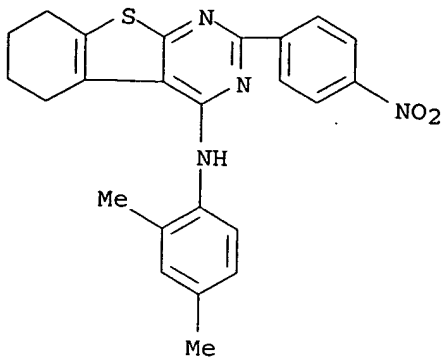
RN 389088-19-1 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, 5,6,7,8-tetrahydro-2-(4-nitrophenyl)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 389088-20-4 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-(2,5-dimethylphenyl)-5,6,7,8-tetrahydro-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 389088-21-5 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-(2,4-dimethylphenyl)-5,6,7,8-tetrahydro-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



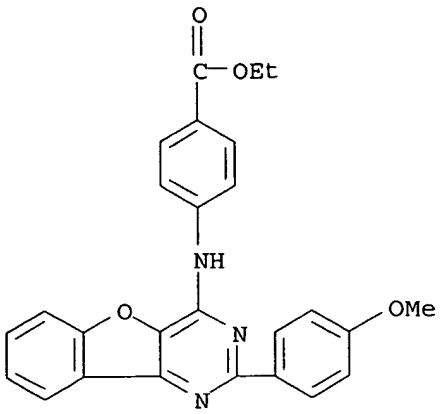
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 6 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:784866 HCAPLUS
DOCUMENT NUMBER: 134:207777
TITLE: Synthesis of some benzofuro[3,2-d]pyrimidine derivatives as antibacterial and antifungal agents
AUTHOR(S): Sangapure, S. S.; Veeresh, D. H.; Yadav, Bodke
CORPORATE SOURCE: Department of Studies and Research in Chemistry, Gulbarga University, Gulbarga, 585 106, India
SOURCE: Indian Journal of Heterocyclic Chemistry (2000), 10(1), 21-26
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:207777

AB Condensation of 3-amino-2-benzofurancarboxamide with aromatic aldehydes in presence of catalytic amount of conc hydrochloric acid gave 2-aryl-3,4-dihydro-4-oxobenzofuro[3,2-d]pyrimidines in a single step. Some 2,4-disubstituted benzofuro[3,2-d]pyrimidines have been synthesized. Benzofuropyrimidine derivs. have been screened for antibacterial and antifungal activity against S. aureus, E. coli and C. albicans.

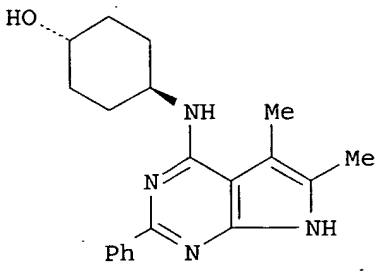
IT ***328403-31-2P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of some benzofuro[3,2-d]pyrimidine derivs. as antibacterial and antifungal agents)

RN 328403-31-2 HCAPLUS
CN Benzoic acid, 4-[[2-(4-methoxyphenyl)benzofuro[3,2-d]pyrimidin-4-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 7 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:571295 HCAPLUS
DOCUMENT NUMBER: 131:281026
TITLE: Selective A1-adenosine receptor antagonists identified using yeast Saccharomyces cerevisiae functional assays
AUTHOR(S): Campbell, Robert M.; Cartwright, Craig; Chen, Wei; Chen, Yong; Duzic, Emir; Fu, Jian-Min; Loveland, Michelle; Manning, Ron; McKibben, Bryan; Pleiman, Christopher M.; Silverman, Lauren; Trueheart, Joshua; Webb, David R.; Wilkinson, Vicki; Witter, David J.; Xie, Xiaobing; Castelhano, Arlindo L.
CORPORATE SOURCE: Cadus Pharmaceutical Corporation, Tarrytown, NY, 10591, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(16), 2413-2418
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

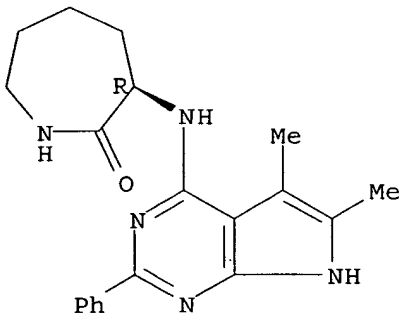
AB Evaluation of a biased "library" of pyrrolo[2,3-d]pyrimidines using yeast-based functional assays expressing human A1- and A2a-adenosine

receptors, led to the A1 selective antagonist I. A direct correlation between yeast functional activity and binding data was established. Practical compds. with polar residues at C-4 of the pyrrolopyrimidine system required H-bond donor functionality for high potency.

IT ***246855-43-6P 246855-47-0P***
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(selective A1-adenosine receptor antagonists identified using yeast functional assays)

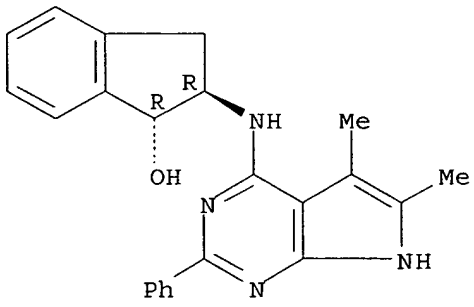
RN 246855-43-6 HCAPLUS
CN 2H-Azepin-2-one, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]hexahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 246855-47-0 HCAPLUS
CN 1H-Inden-1-ol, 2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-2,3-dihydro-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 8 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:9714 HCAPLUS
DOCUMENT NUMBER: 130:71627
TITLE: Compositions and methods for preventing restenosis following revascularization procedures
INVENTOR(S): Martin, Pauline L.; Mcafee, Donald A.
PATENT ASSIGNEE(S): Discovery Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857651	A1	19981223	WO 1998-US12717	19980618
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2295195	AA	19981223	CA 1998-2295195	19980618
AU 9880740	A1	19990104	AU 1998-80740	19980618
AU 740770	B2	20011115		
EP 1014995	A1	20000705	EP 1998-929099	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002505687	T2	20020219	JP 1999-504810	19980618
US 6372723	B1	20020416	US 1999-456432	19991208
US 2001009907	A1	20010726	US 2001-783032	20010215
US 6339072	B2	20020115		

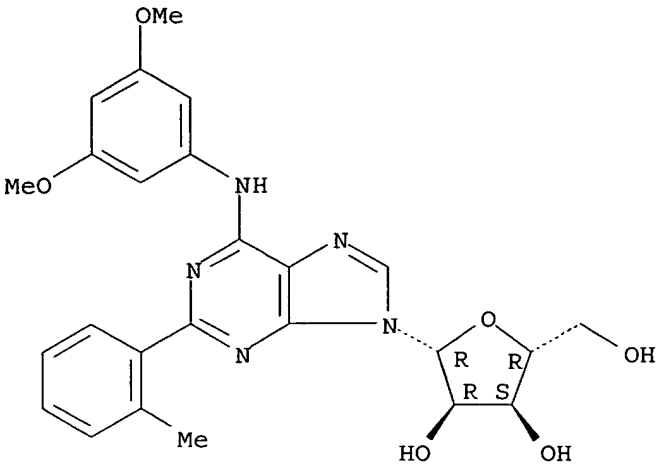
PRIORITY APPLN. INFO.:	US 1997-50031P	P	19970618
	WO 1998-US12717	W	19980618
	US 1999-456432	A3	19991208

AB In the present invention, a method is provided which reduces or prevents restenosis following revascularization procedures. It has now been found that selective stimulation of adenosine A2A receptors can reduce or prevent such restenosis. This method may be achieved either by: (a) the administration of selective adenosine A2A receptor agonists, (b) the administration of a selective adenosine A1 antagonist in combination with either a selective adenosine A2A receptor agonist or a non-selective adenosine agonist, or (c) the administration of a selective adenosine A1 antagonist in order to block adenosine A1 receptor activation by endogenously-released adenosine. The present invention is also directed to an improved ***surgical*** procedure that relies upon selective stimulation of adenosine A2A receptors. The degree of arterial stenosis in rabbits after ***angioplasty*** treated with the adenosine A2A selective agonist 2-cyclohexylmethylenehydrazinoadenosine was significantly less than arterial stenosis in rabbits treated with vehicle.

IT ***218284-48-1***
RL: ***THU (Therapeutic use)***; BIOL (Biological study); USES (Uses)
(compns. for preventing restenosis following revascularization procedures)

RN 218284-48-1 HCAPLUS
CN Adenosine, N-(3,5-dimethoxyphenyl)-2-(2-methylphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



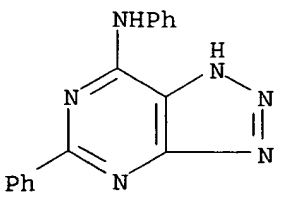
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 9 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:981370 HCAPLUS
DOCUMENT NUMBER: 124:105595
TITLE: N(6) or N(9) substituted 2-phenyl-8-azaadenines: affinity for A1 adenosine receptors. VII
AUTHOR(S): Biagi, Giuliana; Giorgi, Irene; Livi, Oreste; Scartoni, Valerio; Breschi, Cristina; Martini, Claudia; Scatizzi, Roberta
CORPORATE SOURCE: Dip. Sci. Farm., Fac. Farm., Pisa, 56126, Italy
SOURCE: Farmaco (1995), 50(10), 659-67
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English

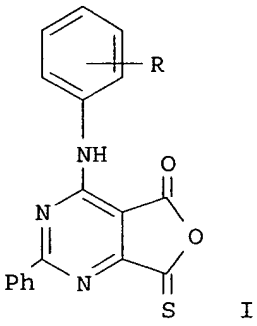
AB The A1 activities shown resp. by N-6 or N-9 substituted 8-azaadenines were compared. At least in some cases, the biol. results indicated the ability of the receptor to accept the exogenous mol. in various arrangements, and an attempt at rationalizing these arrangements was made by means of a model with 2 different mol. orientations.

IT ***173100-46-4P***
RL: ***BAC (Biological activity or effector, except adverse)***; BSU (Biological study, unclassified); SPN (Synthetic preparation); ***THU (Therapeutic use)***; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and A1 adenosine receptors binding of phenylazaadenines)

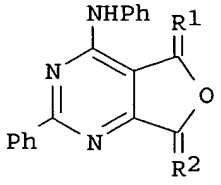
RN 173100-46-4 HCAPLUS
CN 1H-1,2,3-Triazolo[4,5-d]pyrimidin-7-amine, N,5-diphenyl- (9CI) (CA INDEX NAME)



L69 ANSWER 10 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:217282 HCAPLUS
DOCUMENT NUMBER: 122:128378
TITLE: Antibacterial properties of some 2,7-dihydrofuro[3,4-d]-pyrimidines
AUTHOR(S): Pluta, Janusz; Flendrich, Mariola; Cieplik, Jerzy; Krolicki, Zbigniew A.
CORPORATE SOURCE: Inst. Appl. Pharm., Sch. Med., Wroclaw, 50137, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1994), 51(1), 55-8
CODEN: APPHAX; ISSN: 0001-6837
PUBLISHER: Polish Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

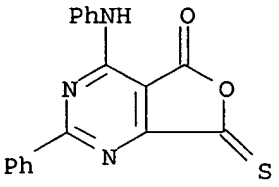


II

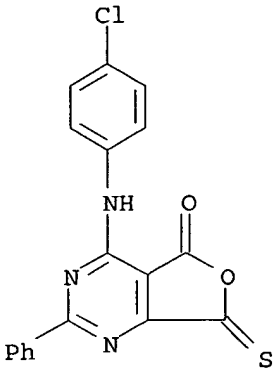
AB Antibacterial screening data against Staphylococcus aureus, Proteus vulgaris, Pseudomonas aeruginosa and Escherichia coli were reported for I (R = H, 2-Cl, 4-Cl, 4-EtO, 4-Me, 4-OH) and II (R1 = (E)- and (Z)-CH2CH2NEt2, R2 = O; R1 = R2 = 4-ClC6H4N, 3,5-Cl2C6H3N, PrN, ClCH2CH2N). Highest activities were in the 12 μg/mL order. In the case of I, the activity decreased with increasing electronegativity of R, whereas bulky amine residues lowered the activity of II. Of the two stereoisomers, (Z) was much more active than (E). I (R = 4-Me and 4-OH) were pred. by a known method.

IT ***104824-50-2P 104824-51-3P 104824-52-4P***
104824-53-5P 104824-54-6P 118693-91-7P
118693-93-9P 118693-98-4P 118694-01-2P
160944-67-2P 160944-68-3P 160944-69-4P
RL: ***BAC (Biological activity or effector, except adverse)***; BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)
(antibacterial properties of 2,7-dihydrofuro[3,4-d]-pyrimidines)

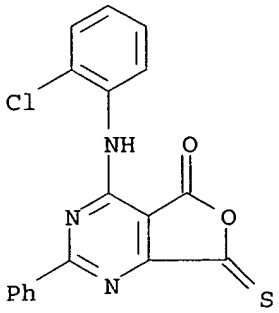
RN 104824-50-2 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 2-phenyl-4-(phenylamino)-7-thioxo- (9CI) (CA INDEX NAME)



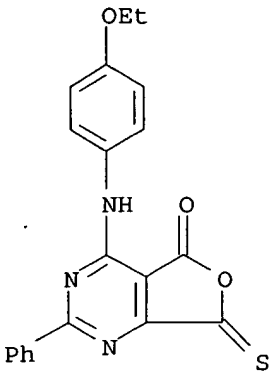
RN 104824-51-3 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(4-chlorophenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)



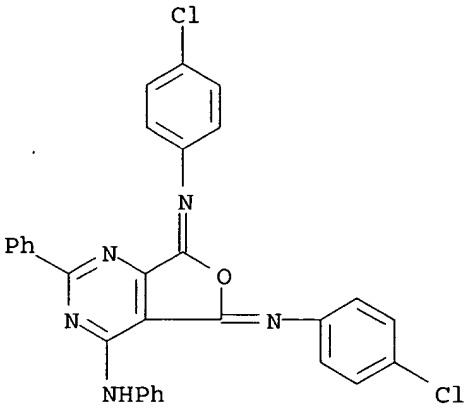
RN 104824-52-4 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(2-chlorophenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)



RN 104824-53-5 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(4-ethoxyphenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)

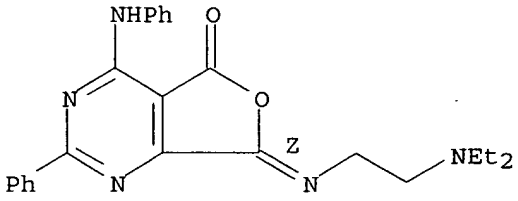


RN 104824-54-6 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(4-chlorophenyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)

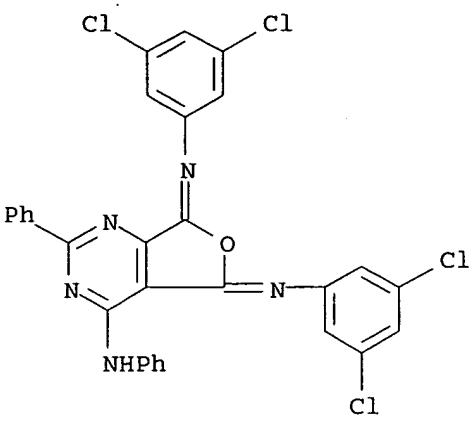


RN 118693-91-7 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 7-[[2-(diethylamino)ethyl]imino]-2-phenyl-4-(phenylamino)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

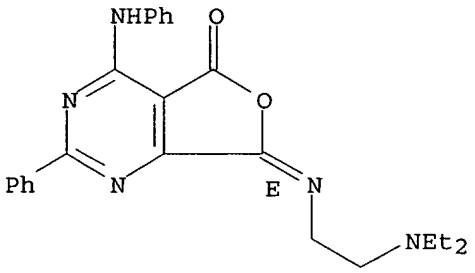


RN 118693-93-9 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(3,5-dichlorophenyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)

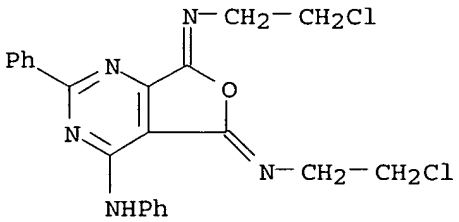


RN 118693-98-4 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 7-[[2-(diethylamino)ethyl]imino]-2-phenyl-4-(phenylamino)-, (E)- (9CI) (CA INDEX NAME)

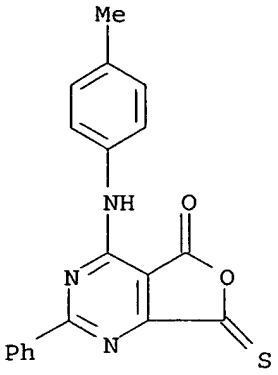
Double bond geometry as shown.



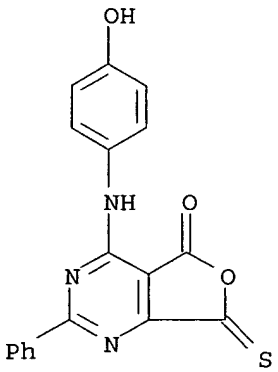
RN 118694-01-2 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(2-chloroethyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



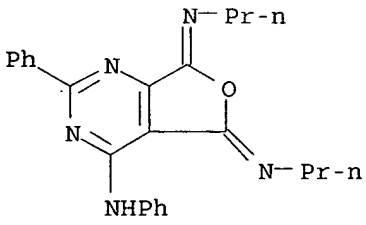
RN 160944-67-2 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(4-methylphenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)



RN 160944-68-3 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(4-hydroxyphenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)

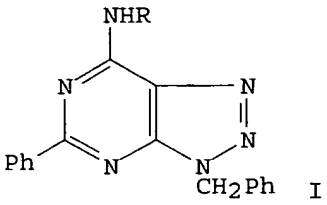


RN 160944-69-4 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-dihydro-N,2-diphenyl-5,7-bis(propylimino)- (9CI) (CA INDEX NAME)



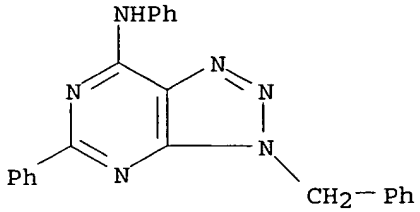
L69 ANSWER 11 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:457218 HCAPLUS
DOCUMENT NUMBER: 121:57218
TITLE: N(6)-Substituted 2-phenyl-9-benzyl-8-azaadenines. Affinity for adenosine A1 and A2 receptors. A comparison with 2-N-butyl analogs derivatives. V
AUTHOR(S): Biagi, Giuliana; Giorgi, Irene; Livi, Oreste; Scartoni, Valerio; Lucacchini, Antonio; Martini,

Claudia; Tacchi, Paolo
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Fac. Farm., Pisa, 56126, Italy
SOURCE: Farmaco (1994), 49(3), 187-91
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The title compds. I (R = H, alkyl, Ph) were prepared to evaluate their affinity towards adenosine A1 and A2 receptors. Some 2-phenyl-N(6)-substituted-8-azaadenines showed good binding properties and good A1 selectivity. The biol. results allow the authors to confirm the presence in A1 receptors of a third lipophilic pocket, able to receive the substituent on N(9), and to evince increased affinity when a Ph group on C(2) substitutes a Bu group. These affinity differences between analogous 2-Bu and 2-Ph derivs. indicate that they arrange themselves within A1 receptors in a similar manner and suggest that this receptor is able to arrange 8-azaadenines, bearing three lipophilic substituents, in two different ways.
IT ***156150-99-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and affinity for adenosine A1 and A2 receptors)
RN 156150-99-1 HCAPLUS
CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-7-amine, N,5-diphenyl-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



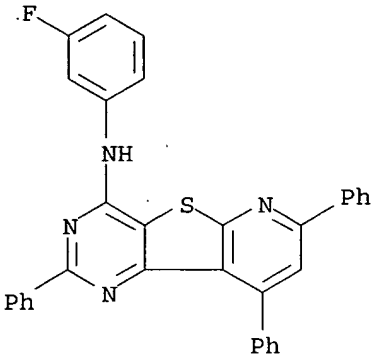
L69 ANSWER 12 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:453745 HCAPLUS
DOCUMENT NUMBER: 121:53745
TITLE: New pyrido [3,2:4,5] thieno [3,2-D] pyrimidines of possible antimicrobial activity
AUTHOR(S): Michael, J. M.; Kamel, M. M.; El-Zahar, M. I.; El-Masry, A. H.; Mohi-El-Deen, E. M.
CORPORATE SOURCE: Med. Chem. Dept., Natl. Res. Cent. Dokki, Cairo, Egypt
SOURCE: Al-Azhar Bulletin of Science (1992), 3(2), 767-75

CODEN: ABSCE7; ISSN: 1110-2535
DOCUMENT TYPE: Journal
LANGUAGE: English

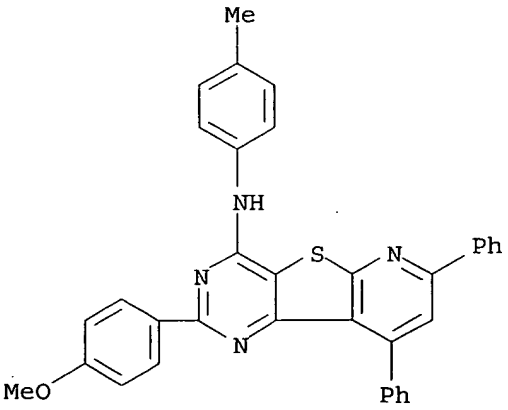
AB For possible antimicrobial activity, 22 of the title compds. were synthesized, starting with 2-amino-2-carbamoyl-4,6-diphenyl thieno [2,3-b] pyridine, by cyclocondensation with different aromatic aldehydes. Rearrangement reaction of the resulted 7,9-diphenyl-1,2-dihydropyrido [3,2:4,5] thieno [3,2-d] pyrimidin-4(3H)-ones gave the corresponding dehydrogenated derivs. Chlorination followed by reaction with different aliphatic or aromatic amines afforded the 4-substituted amino pyridothienopyrimidine derivs. Some of the compds. showed considerable antimicrobial activity.

IT ***156331-99-6P 156332-02-4P***
RL: ***BAC (Biological activity or effector, except adverse)***; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)

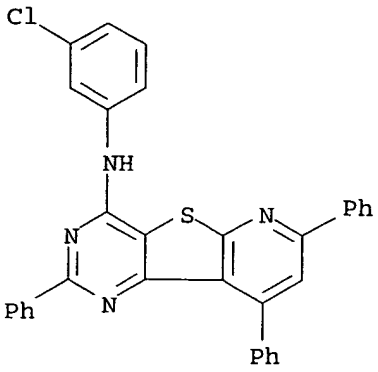
RN 156331-99-6 HCAPLUS
CN Pyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4-amine, N-(3-fluorophenyl)-2,7,9-triphenyl- (9CI) (CA INDEX NAME)



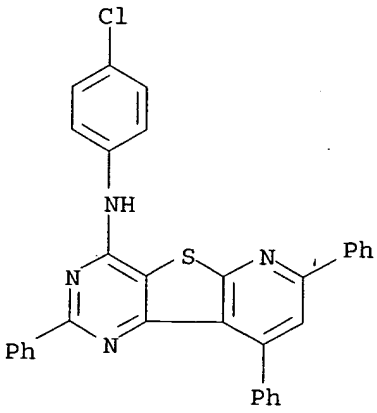
RN 156332-02-4 HCAPLUS
CN Pyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4-amine, 2-(4-methoxyphenyl)-N-(4-methylphenyl)-7,9-diphenyl- (9CI) (CA INDEX NAME)



IT *156332-00-2P 156332-01-3P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 156332-00-2 HCAPLUS
CN Pyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4-amine, N-(3-chlorophenyl)-2,7,9-triphenyl- (9CI) (CA INDEX NAME)



RN 156332-01-3 HCAPLUS
CN Pyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4-amine, N-(4-chlorophenyl)-2,7,9-triphenyl- (9CI) (CA INDEX NAME)



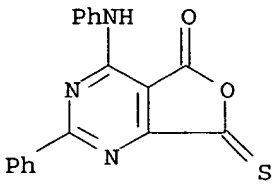
L69 ANSWER 13 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:298579 HCAPLUS
DOCUMENT NUMBER: 120:298579
TITLE: Synthesis and biological properties of 5-(hydroxymethyl)pyrimidines
AUTHOR(S): Cieplik, Jerzy; Machon, Zdzislaw; Zimecki, Michal; Wieczorek, Zbigniew
CORPORATE SOURCE: Org. Chem. Dep., Med. Acad., Wroclaw, 50-137, Pol.
SOURCE: Archivum Immunologiae et Therapiae Experimentalis (1993), 41(1), 11-15
CODEN: AITEAT; ISSN: 0004-069X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reduction of 4-(arylamino)-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid and its Et ester as well as 5,7-dihydrofuro[3,4-d]pyrimidines gave 4-(arylamino)-6-methyl-2-phenyl-5-(hydroxymethyl)pyrimidines exhibiting strong immunomodulatory and cytostatic properties.

IT ***104824-50-2 118693-90-6***
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

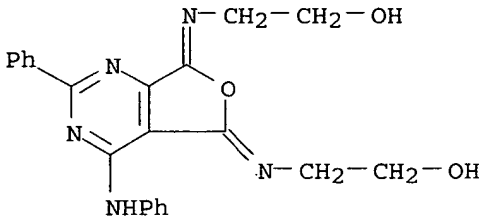
RN 104824-50-2 HCAPLUS

CN Furo[3,4-d]pyrimidin-5(7H)-one, 2-phenyl-4-(phenylamino)-7-thioxo- (9CI) (CA INDEX NAME)



RN 118693-90-6 HCAPLUS

CN Ethanol, 2,2'-[[2-phenyl-4-(phenylamino)furo[3,4-d]pyrimidine-5,7-diylidene]dinitrilo]bis- (9CI) (CA INDEX NAME)



L69 ANSWER 14 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:77253 HCAPLUS

DOCUMENT NUMBER: 120:77253

TITLE: Synthesis of 2-phenylbenzofuro[3,2-d]pyrimidine and its derivatives

AUTHOR(S): Mulagi, S. M.; Sangapure, S. S.

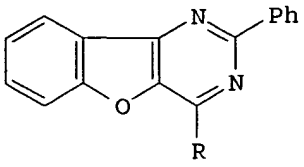
CORPORATE SOURCE: Dep. Chem., Gulbarga Univ., Gulbarga, 585 106, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1993), 32B(9), 965-8
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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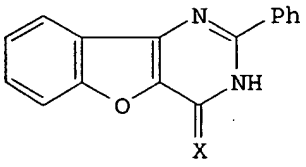


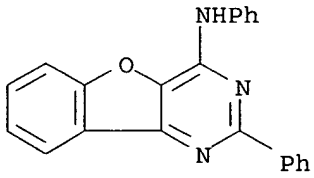
II

AB 2-Phenylbenzofuro[3,2-d]pyrimidine (I; R = H) and its derivs. I (R = NHR1, NME2, morpholino, pyrrolidino, piperidino; R1 = Me, Et, Pr, Ph, 4-ClC6H4, 4-BrC6H4, 3-O2NC6H4, 2-pyridyl, NH2, N:CHPh, N:CHC6H4OMe-4) and II (X = S)were prepared from 4-chloro derivative I (R = Cl), which in turn has been obtained from 4-oxo derivative II (X = O) by refluxing with POCl3.

IT ***152012-29-8P 152012-30-1P 152012-31-2P 152012-32-3P 152012-33-4P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

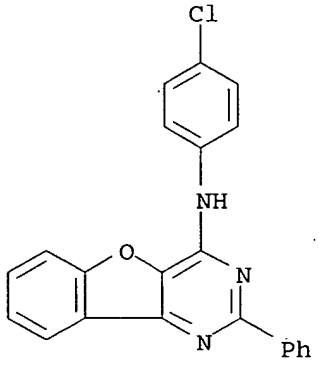
RN 152012-29-8 HCAPLUS

CN Benzofuro[3,2-d]pyrimidin-4-amine, N,2-diphenyl- (9CI) (CA INDEX NAME)



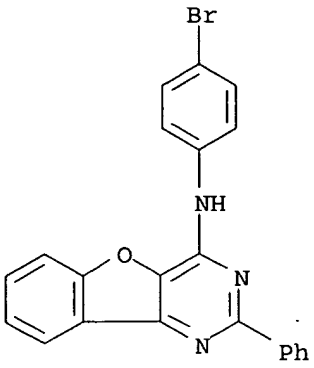
RN 152012-30-1 HCAPLUS

CN Benzofuro[3,2-d]pyrimidin-4-amine, N-(4-chlorophenyl)-2-phenyl- (9CI) (CA INDEX NAME)

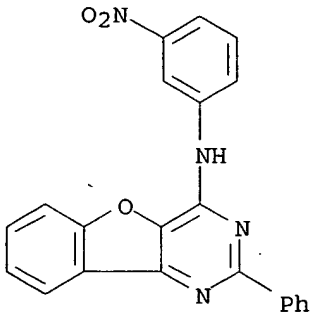


RN 152012-31-2 HCAPLUS

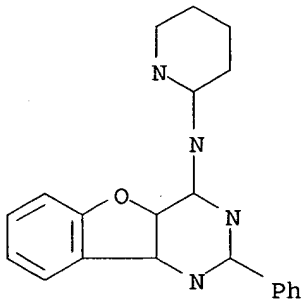
CN Benzofuro[3,2-d]pyrimidin-4-amine, N-(4-bromophenyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 152012-32-3 HCAPLUS
CN Benzofuro[3,2-d]pyrimidin-4-amine, N-(3-nitrophenyl)-2-phenyl- (9CI) (CA INDEX NAME)



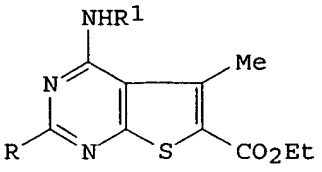
RN 152012-33-4 HCAPLUS
CN Benzofuro[3,2-d]pyrimidin-4-amine, 2-phenyl-N-(2-pyridinyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L69 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:495464 HCAPLUS
DOCUMENT NUMBER: 119:95464
TITLE: New thieno compounds. Part 14. Synthesis of 4-amino-substituted thieno[2,3-d]pyrimidine-6-carboxylic acid derivatives

AUTHOR(S): Baumgartner, A.; Pech, R.; Boehm, R.
CORPORATE SOURCE: Inst. Pharm. Chem., Martin-Luther-Univ., Germany
SOURCE: Pharmazie (1993), 48(3), 192-4
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



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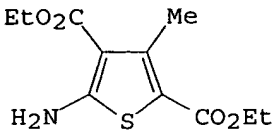
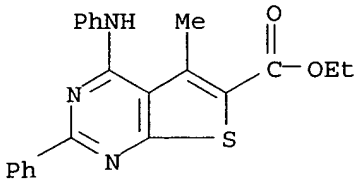
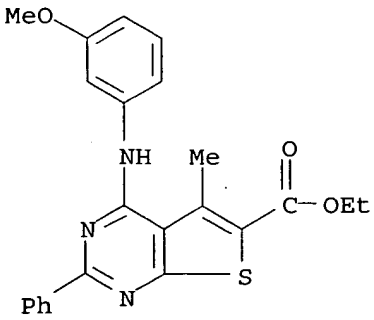


II

AB The title compds. I (R = H, Me, Ph; R1 = octyl, 2-furylmethyl, Ph, substituted Ph) were prepared by cyclization of the aminothiophenedicarboxylate II with HCONH2, MeCN, or PhCN, followed by chlorination and amination.
IT ***113417-58-6P 113417-59-7P 148838-72-6P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 113417-58-6 HCAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 5-methyl-2-phenyl-4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)

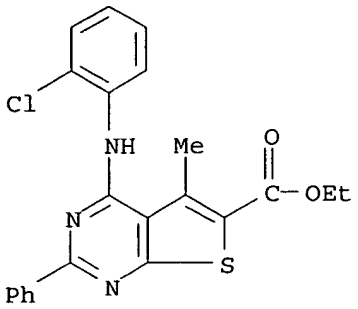


RN 113417-59-7 HCAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4-[(3-methoxyphenyl)amino]-5-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

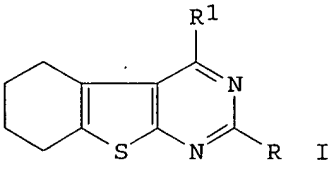


RN 148838-72-6 HCAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4-[(2-chlorophenyl)amino]-5-

methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



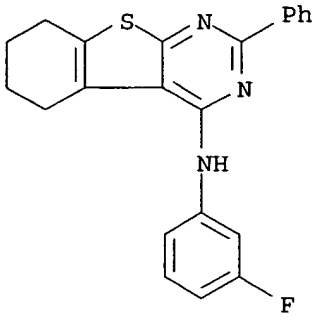
L69 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:118758 HCAPLUS
DOCUMENT NUMBER: 112:118758
TITLE: Synthesis of thieno[2,3-d]pyrimidine derivatives and their antifungal activities
AUTHOR(S): Konno, Shoetsu; Tsunoda, Mamoru; Watanabe, Ryo; Yamanaka, Hiroshi; Fujita, Fumio; Ohtsuka, Norio; Asano, Shoji
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SOURCE: Yakugaku Zasshi (1989), 109(7), 464-73
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
OTHER SOURCE(S): CASREACT 112:118758
GI



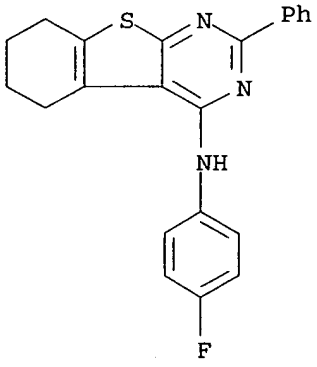
AB 4-Chlorothieno[2,3-d]pyrimidines were prepared by the chlorination of 4-oxo-3,4-di-hydrothieno[2,3-d]pyrimidines with phosphoryl chloride. 4-Oxo-3,4,5,6,7,8-hexahydro[1]benzo- and 4-oxo-6-phenylthienol[2,3-d]pyrimidine were synthesized by the cyclization of 2-acylaminothiophene-3-carboxamide derivs. with base. 2-Methyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine was prepared by the treatment of 2-methyl-4-trichloromethylthieno[2,3-d]pyrimidine with sodium hydroxide in aqueous methnol. A series of 4-alkylamino- and 4-arylaminothieno[2,3-d]pyrimidines e.g. I (R = Me, Ph; R1 = BuNH, PhNH, PhCH2NH, piperidino, morpholino, etc.) were synthesized by the nucleophilic substitution of 4-chlorothieno[2,3-d]pyrimidines with various amines. These compds. were evaluated for antifungal activity against Piricularia oryzae. The preventive effects on rice blast, sheath blight, and cucumber powdery mildew were also determined by pot tests.
IT ***125661-16-7P 125661-17-8P 125661-18-9P***
RL: ***BAC (Biological activity or effector, except adverse)***; BSU

(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)

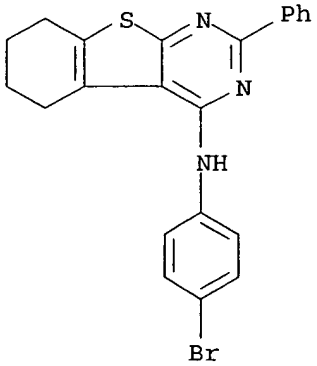
RN 125661-16-7 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-(3-fluorophenyl)-5,6,7,8-tetrahydro-2-phenyl- (9CI) (CA INDEX NAME)



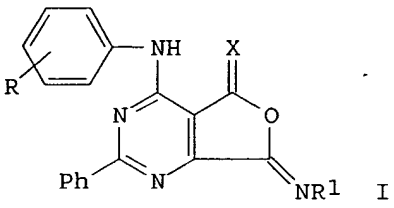
RN 125661-17-8 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-(4-fluorophenyl)-5,6,7,8-tetrahydro-2-phenyl- (9CI) (CA INDEX NAME)



RN 125661-18-9 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-(4-bromophenyl)-5,6,7,8-tetrahydro-2-phenyl- (9CI) (CA INDEX NAME)



L69 ANSWER 17 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:69033 HCAPLUS
DOCUMENT NUMBER: 110:69033
TITLE: Synthesis and antineoplastic effects of furo[3,4-d]pyrimidine derivatives
AUTHOR(S): Machon, Zdzislaw; Cieplik, Jerzy
CORPORATE SOURCE: Dep. Org. Chem., Med. Acad., Wroclaw, 50-137, Pol.
SOURCE: Polish Journal of Pharmacology and Pharmacy (1988), 40(2), 201-8
CODEN: PJPPAA; ISSN: 0301-0244
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:69033
GI

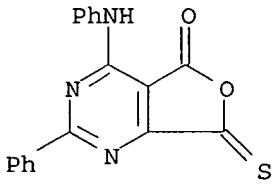


I

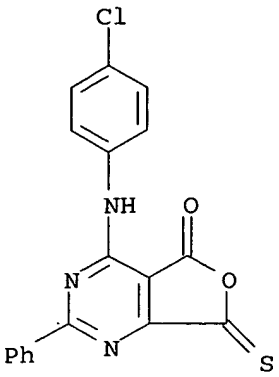
AB Furo[3,4-d]pyrimidine was obtained by the reaction of 2-phenyl-4-phenylamino-6-methyl-5-pyrimidinecarboxylic acid with SOCl2. This compound, heated with aliphatic amines, yielded mono- and diamino derivs. (I, R = H or 4-Cl, R1 = alkyl, substituted Ph, heterocylic group, etc., X = NR1, O, or NC6H4Cl-p). I (R = 4-Cl, R1 = 2-furylmethyl, X = 2-furylmethylimino) and I (R = H, R1 = CH2CH2OH, X = N:CH2CH2OH) were the only compds. to inhibit the development of lymphatic leukemias L-1210 and P-388.

IT ***104824-50-2 104824-51-3***
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of)

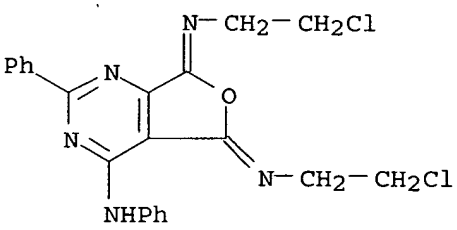
RN 104824-50-2 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 2-phenyl-4-(phenylamino)-7-thioxo- (9CI) (CA INDEX NAME)



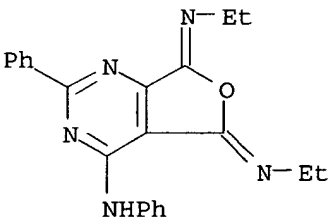
RN 104824-51-3 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(4-chlorophenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)



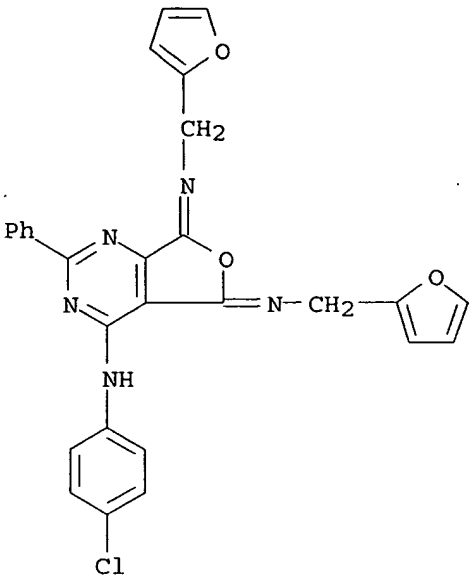
IT ***118694-01-2P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and amine substitution of)
RN 118694-01-2 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(2-chloroethyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



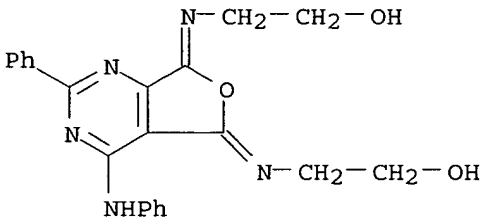
IT ***104824-55-7P 118693-89-3P 118693-90-6P***
118693-91-7P 118720-28-8P
RL: ***BAC (Biological activity or effector, except adverse)***; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and neoplasm inhibiting activity of)
RN 104824-55-7 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis(ethylimino)-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



RN 118693-89-3 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, N-(4-chlorophenyl)-5,7-bis[(2-furanylmethyl)imino]-5,7-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

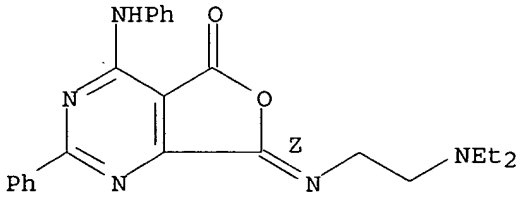


RN 118693-90-6 HCAPLUS
CN Ethanol, 2,2'-[[2-phenyl-4-(phenylamino)furo[3,4-d]pyrimidine-5,7-diylidene]dinitrilo]bis- (9CI) (CA INDEX NAME)

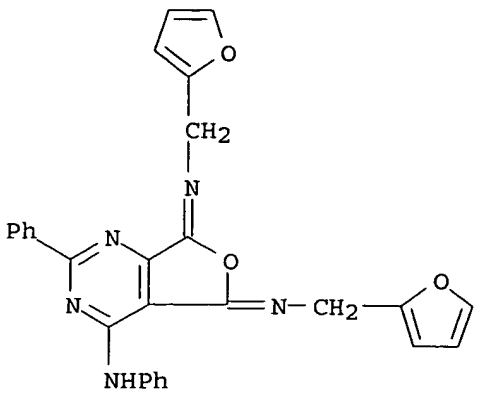


RN 118693-91-7 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 7-[[2-(diethylamino)ethyl]imino]-2-phenyl-4-(phenylamino)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

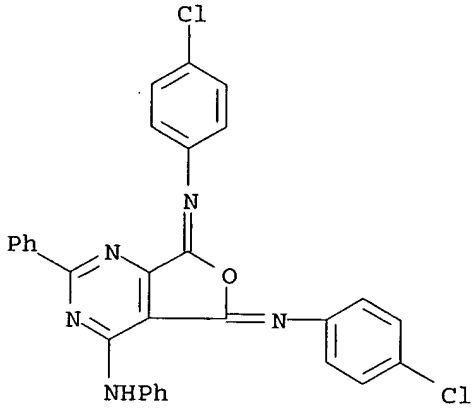


RN 118720-28-8 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(2-furanylmethyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)

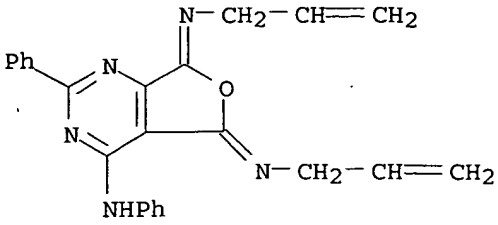


IT ***104824-54-6P 118693-92-8P 118693-93-9P 118693-94-0P 118693-95-1P 118693-96-2P 118693-97-3P 118693-98-4P 118693-99-5P 118694-00-1P 118720-29-9P***
RL: ***BAC (Biological activity or effector, except adverse)***; BSU (Biological study, unclassified); SPN (Synthetic preparation); ***THU (Therapeutic use)***; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neoplasm inhibitor)

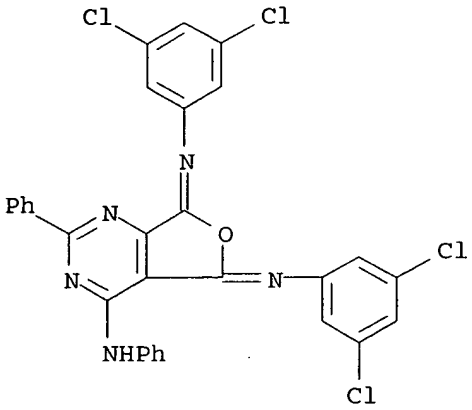
RN 104824-54-6 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(4-chlorophenyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



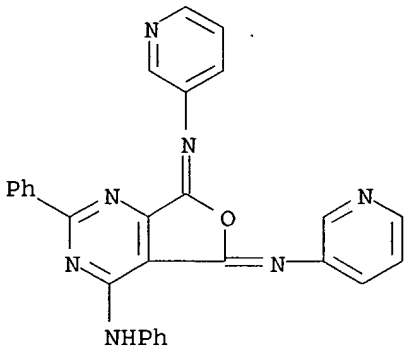
RN 118693-92-8 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-dihydro-N,2-diphenyl-5,7-bis(2-propenylimino)- (9CI) (CA INDEX NAME)



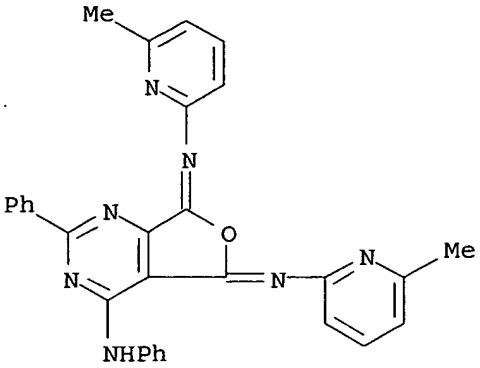
RN 118693-93-9 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(3,5-dichlorophenyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



RN 118693-94-0 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-dihydro-N,2-diphenyl-5,7-bis(3-pyridinylimino)- (9CI) (CA INDEX NAME)

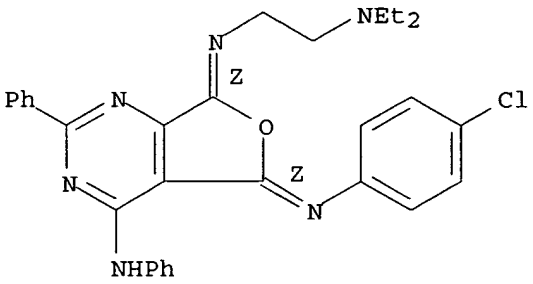


RN 118693-95-1 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-dihydro-5,7-bis[(6-methyl-2-pyridinyl)imino]-N,2-diphenyl- (9CI) (CA INDEX NAME)



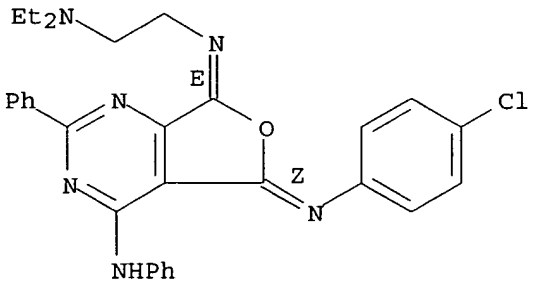
RN 118693-96-2 HCAPLUS
CN 1,2-Ethanediamine, N'-[5-[(4-chlorophenyl)imino]-2-phenyl-4-(phenylamino)furo[3,4-d]pyrimidin-7(5H)-ylidene]-N,N-diethyl-, (Z,Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



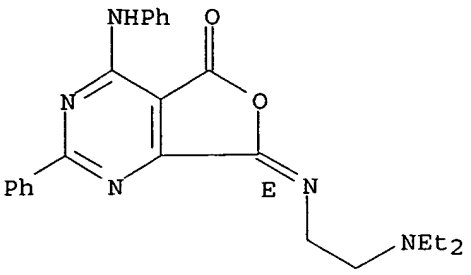
RN 118693-97-3 HCAPLUS
CN 1,2-Ethanediamine, N'-[5-[(4-chlorophenyl)imino]-2-phenyl-4-(phenylamino)furo[3,4-d]pyrimidin-7(5H)-ylidene]-N,N-diethyl-, (Z,E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



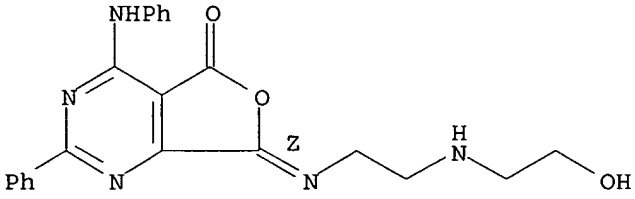
RN 118693-98-4 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 7-[[2-(diethylamino)ethyl]imino]-2-phenyl-4-(phenylamino)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

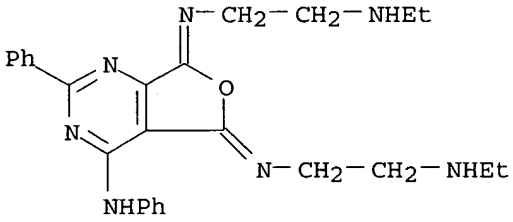


RN 118693-99-5 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 7-[[2-[(2-hydroxyethyl)amino]ethyl]imino]-2-phenyl-4-(phenylamino)-, (Z)- (9CI) (CA INDEX NAME)

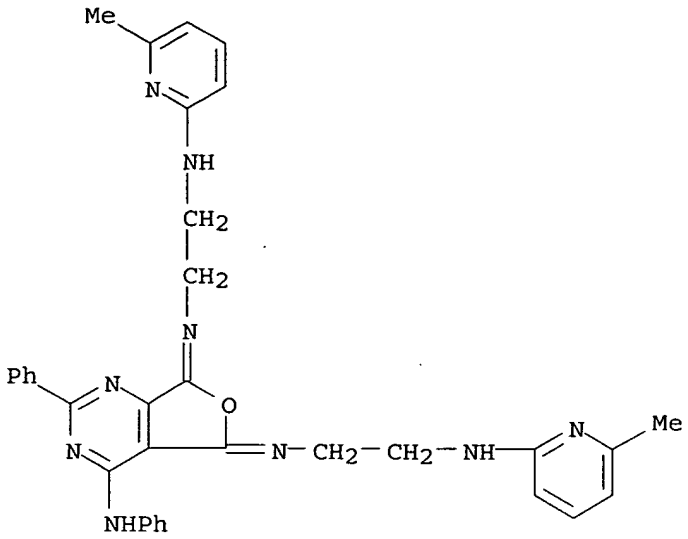
Double bond geometry as shown.



RN 118694-00-1 HCAPLUS
CN 1,2-Ethanediamine, N,N''-[2-phenyl-4-(phenylamino)furo[3,4-d]pyrimidine-5,7-diylidene]bis[N'-ethyl- (9CI) (CA INDEX NAME)



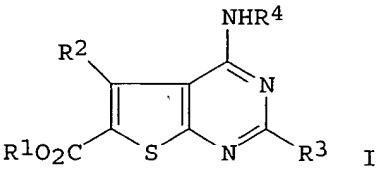
RN 118720-29-9 HCAPLUS
CN 1,2-Ethanediamine, N,N''-[2-phenyl-4-(phenylamino)furo[3,4-d]pyrimidine-5,7-diylidene]bis[N'-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



L69 ANSWER 18 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:131852 HCAPLUS
DOCUMENT NUMBER: 108:131852
TITLE: Preparation of 4-aminothieno[2,3-d]pyrimidine-6-carboxylates as drugs and drug intermediates
INVENTOR(S): Boehm, Ralf; Pech, Reinhard; Baumgartner, Angela; Lohmann, Dieter; Laban, Gunter
PATENT ASSIGNEE(S): Martin-Luther-Universitaet Halle-Wittenberg, Ger. Dem. Rep.
SOURCE: Ger. (East), 4 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 248593	A1	19870812	DD 1985-272506	19850111
PRIORITY APPLN. INFO.:			DD 1985-272506	19850111

OTHER SOURCE(S): CASREACT 108:131852
GI



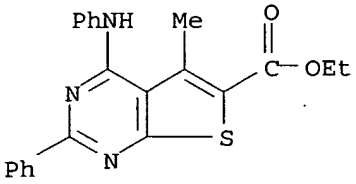
I

AB The title compds. [I; R1, R2 = alkyl; R3 = H, alkyl, Ph; R4 = alkyl, (substituted) Ph, aralkyl] were prepared as potential drugs (no data) and intermediates. Et 5-methyl-3,4-dihydro-4-oxothieno[2,3-d]pyrimidine-6-carboxylate and POCl3 were refluxed for 14 h in dimethylaniline to give

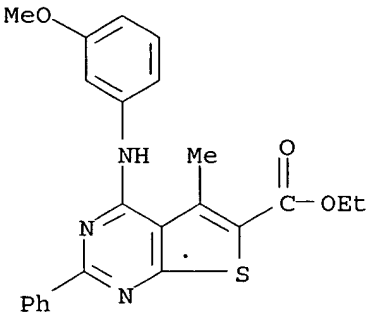
75% Et 4-chloro-5-methylthieno[2,3-d]pyrimidine-6-carboxylate. The latter was refluxed with PhNH2 in EtOH to give 60% I (R1 = Et, R2 = Me, R3 = H, R4 = Ph).

IT ***113417-58-6P 113417-59-7P 113417-60-0P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as drug and drug intermediate)

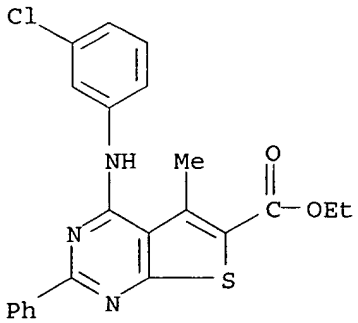
RN 113417-58-6 HCAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 5-methyl-2-phenyl-4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 113417-59-7 HCAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4-[(3-methoxyphenyl)amino]-5-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 113417-60-0 HCAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4-[(3-chlorophenyl)amino]-5-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

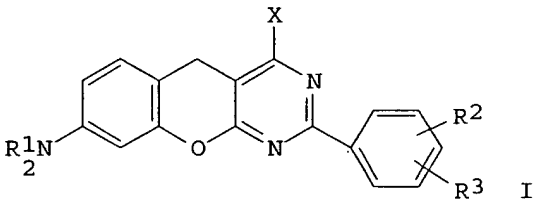


L69 ANSWER 19 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:122036 HCAPLUS

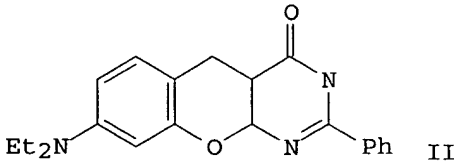
DOCUMENT NUMBER: 108:122036
TITLE: Electrochromic pyrimidine derivatives for thermal or pressure-sensitive recording materials
INVENTOR(S): Tada, Shoji
PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62249987	A2	19871030	JP 1986-93267	19860424
JP 06076560	B4	19940928		
PRIORITY APPLN. INFO.:			JP 1986-93267	19860424

GI



I

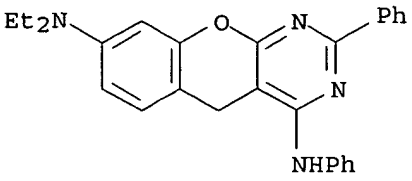


II

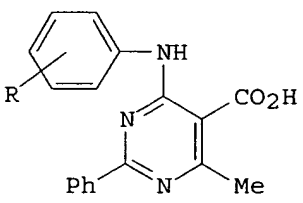
AB The title pyrimidine derivs. I [R1 = Me, Et; R2, R3 = H, Cl, MeO, EtO, Me, NR4R5; R4, R5 = Me, Et, cyanoethyl, Cl(CH2)2, C3-4 alkoxyalkyl; X = Cl, OY, SZ, NW1W2; Y = Me, Et, allyl, PhCH2, Ph, 4,4'-C6H4CMe2C6H4, 4,4'-C6H4SO2C6H4; Z = HO(CH2)2, Ph; W1, W2 = H, Bu, PhCH2, MeO(CH2)3, EtO(CH2)3, Ph, W1 and W2 may form (CH2)5, (CH2)4, C2H4OC2H4 groups; W1 and W2 are not H simultaneously] is used for recording materials. The pyrimidine derivs. give H2O- and light-resistant recording images with a quick response. Thus, treating pyrimidone derivative II with phosphorus oxychloride in PhCl for 3 h at 90-110° gave I (R1 = Et, R2 = R3 = H, X = Cl) which gave light-resistant orange-red images.

IT ***113398-66-6***
RL: USES (Uses)
(electrochromic recording materials from, water- and light-resistant)

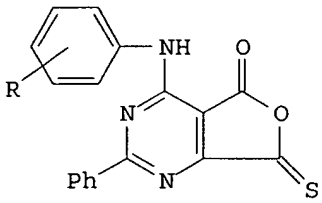
RN 113398-66-6 HCAPLUS
CN 5H-[1]Benzopyrano[2,3-d]pyrimidine-4,8-diamine, N8,N8-diethyl-N4,2-diphenyl- (9CI) (CA INDEX NAME)



L69 ANSWER 20 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:572394 HCAPLUS
DOCUMENT NUMBER: 105:172394
TITLE: Synthesis of furo[3,4-d]pyrimidine derivatives via reaction of 4-methylpyrimidine-5-carboxylic acids with thionyl chloride
AUTHOR(S): Machon, Z.; Cieplik, J.
CORPORATE SOURCE: Dep. Org. Chem., Med. Acad., Wroclaw, Pol.
SOURCE: Synthesis (1986), (2), 142-4
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:172394
GI

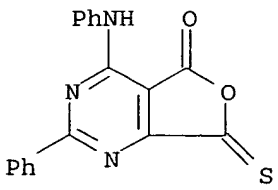


I



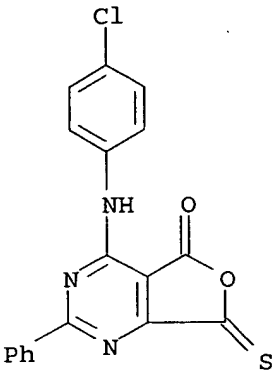
II

AB Cyclization of pyrimidines I (R = H, o- and p-Cl, p-EtO) with SOCl2 in boiling benzene gave 57-73% furopyrimidines II.
IT ***104824-50-2P 104824-51-3P 104824-52-4P 104824-53-5P 104824-54-6P 104824-55-7P***
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)
RN 104824-50-2 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 2-phenyl-4-(phenylamino)-7-thioxo- (9CI) (CA INDEX NAME)

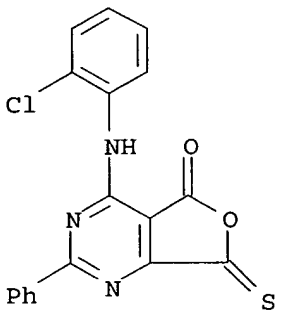


RN 104824-51-3 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(4-chlorophenyl)amino]-2-phenyl-7-

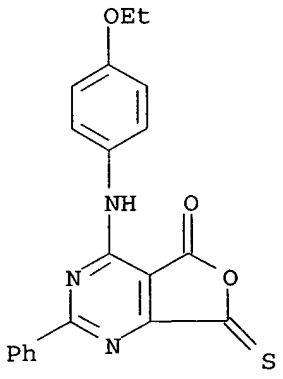
thioxo- (9CI) (CA INDEX NAME)



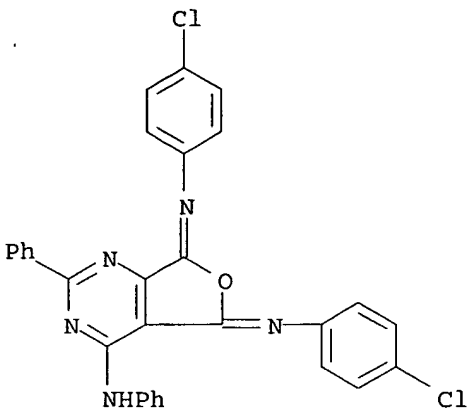
RN 104824-52-4 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(2-chlorophenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)



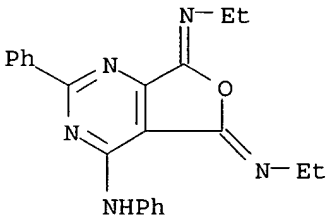
RN 104824-53-5 HCAPLUS
CN Furo[3,4-d]pyrimidin-5(7H)-one, 4-[(4-ethoxyphenyl)amino]-2-phenyl-7-thioxo- (9CI) (CA INDEX NAME)



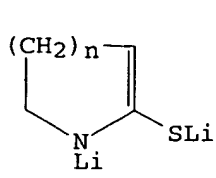
RN 104824-54-6 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis[(4-chlorophenyl)imino]-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



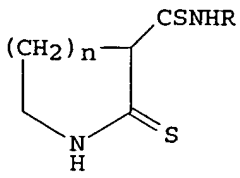
RN 104824-55-7 HCAPLUS
CN Furo[3,4-d]pyrimidin-4-amine, 5,7-bis(ethylimino)-5,7-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



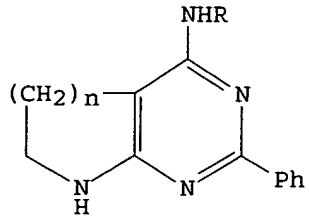
L69 ANSWER 21 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:442740 HCAPLUS
DOCUMENT NUMBER: 105:42740
TITLE: Chemistry of metallo-ketene-S,N-acetals. New synthesis of azacycloalka[2,3-d]pyrimidines
AUTHOR(S): Takahata, Hiroki; Suzuki, Toshiaki; Yamazaki, Takao
CORPORATE SOURCE: Fac. Pharm. Sci., Toyama Med. Pharm. Univ., Toyama, 930-1, Japan
SOURCE: Heterocycles (1985), 23(9), 2213-15
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:42740
GI



I



II



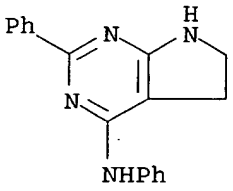
III

AB Title acetals, I (n = 1,2), generated from thiolactams by treatment with BuLi, react with RNCS (R = Ph, 4-ClC6H4, 1-naphthyl) to give dithio amides II. Bismethylation of the dithioamides followed by condensation with benzamidine gave azacycloalka[2,3-d]pyrimidines III.

IT ***103184-72-1P 103184-73-2P 103184-74-3P 103184-75-4P 103184-76-5P 103184-77-6P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

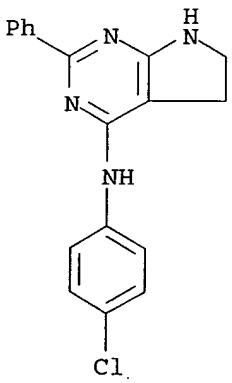
RN 103184-72-1 HCAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5,6-dihydro-N,2-diphenyl- (9CI) (CA INDEX NAME)

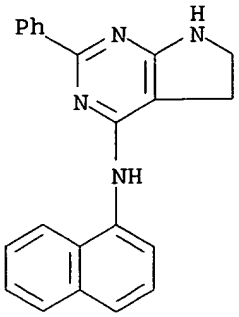


RN 103184-73-2 HCAPLUS

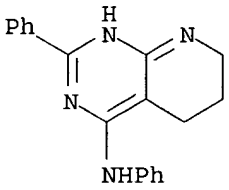
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(4-chlorophenyl)-5,6-dihydro-2-phenyl- (9CI) (CA INDEX NAME)



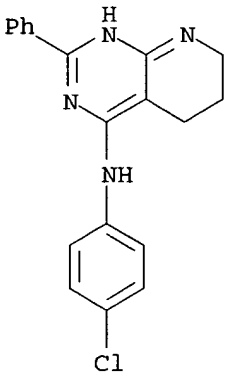
RN 103184-74-3 HCAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5,6-dihydro-N-1-naphthalenyl-2-phenyl- (9CI) (CA INDEX NAME)



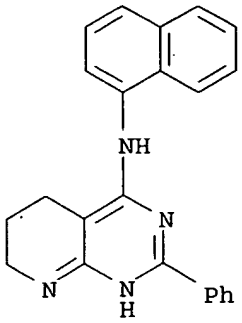
RN 103184-75-4 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-4-amine, 1,5,6,7-tetrahydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



RN 103184-76-5 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-4-amine, N-(4-chlorophenyl)-1,5,6,7-tetrahydro-2-phenyl- (9CI) (CA INDEX NAME)



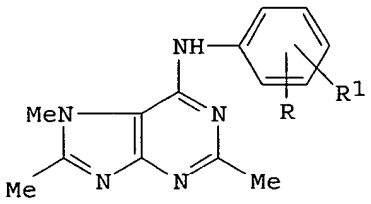
RN 103184-77-6 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-4-amine, 1,5,6,7-tetrahydro-N-1-naphthalenyl-2-phenyl- (9CI) (CA INDEX NAME)



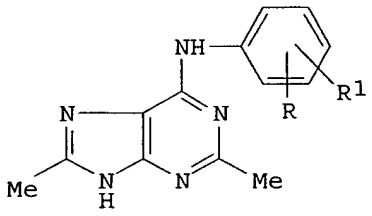
L69 ANSWER 22 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1985:422351 HCAPLUS
DOCUMENT NUMBER:	103:22351
TITLE:	Phosphorus pentoxide in organic synthesis. XV. A new synthesis of adenines from 4-acylamino-1H-imidazole-5-carbonitriles
AUTHOR(S):	Nielsen, Flemming E.; Nielsen, Kurt E.; Pedersen, Erik B.
CORPORATE SOURCE:	Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SOURCE:	Chemica Scripta (1984), 24(4-5), 208-23 CODEN: CSRPB9; ISSN: 0004-2056
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 103:22351

GI



I



II

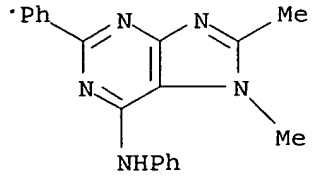
AB N-Aryl-2,7,8-trimethyl-7H-purin-6-amines I (R = H, 3-Me, 4-Bu, 3-CF3, 2-F, 4-Cl, R1 = H; R = 3-Me, R1 = 5-Me; R = 2-Cl, R1 = 4-Me) were synthesized in 46-68% yield by heating 4-acetylamino-1,2-dimethyl-1H-imidazole-5-carbonitrile in a mixture of P2O5, Bu3N, and RR1C6H3NH2.HCl at 180°, while the demethylated derivs. II were similarly obtained in 16-95% yield at 240°. Analogous reactions at 180° and 240° of 4-benzoylamino-1,2-dimethyl-1H-imidazole-5-carbonitrile (III) with PhNH2.HCl, P2O5, and N,N-dimethylcyclohexylamine resulted in 6 different compds., i.e. 7-methyl, 9-methyl, and N-demethylated iminopurines along with the corresponding aminopurines. In the reaction of III with PrNH2.HCl, P2O5, and N,N-dimethylcyclohexylamine only the 2 isomeric dealkylated products could be isolated. UV, IR, MS, 1H NMR and 13C NMR spectra were determined and discussed for the majority of the compds. and they unambiguously confirmed the assigned structures. Some of the products have pesticidal activity.

IT ***96883-38-4P***

RL: ***BAC (Biological activity or effector, except adverse)***; BSU

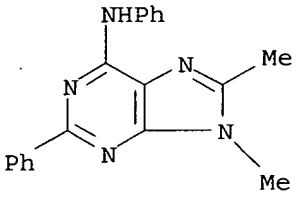
(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)

RN 96883-38-4 HCAPLUS
CN 7H-Purin-6-amine, 7,8-dimethyl-N,2-diphenyl- (9CI) (CA INDEX NAME)

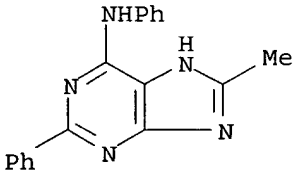


IT ***96883-40-8P 96883-41-9P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 96883-40-8 HCAPLUS
CN 9H-Purin-6-amine, 8,9-dimethyl-N,2-diphenyl- (9CI) (CA INDEX NAME)



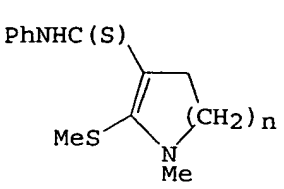
RN 96883-41-9 HCAPLUS
CN 1H-Purin-6-amine, 8-methyl-N,2-diphenyl- (9CI) (CA INDEX NAME)



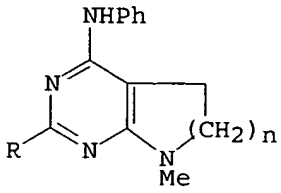
L69 ANSWER 23 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1983:215558 HCAPLUS
DOCUMENT NUMBER:	98:215558
TITLE:	Activated lactams: new syntheses of azacycloalka[2,3-d]pyrimidine and -[2,3-c]pyrazole derivatives
AUTHOR(S):	Takahata, Hiroki; Nakajima, Tomoko; Yamazaki, Takao
CORPORATE SOURCE:	Fac. Pharm. Sci., Toyama Med. Pharm. Univ., Toyama, 930-01, Japan
SOURCE:	Synthesis (1983), (3), 226-8 CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 98:215558

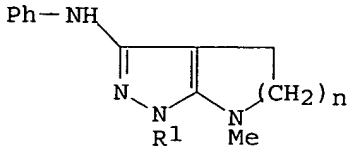
GI



I



II



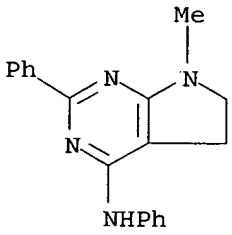
III

AB Cyclization of enamines I (n = 1, 2) with amidines, RC(:NH)NH2, (R = NH2, Me, Ph) and hydrazines, R1NHNH2 (R1 = H, Ph), gave 53-71% II and 22-73% III, resp.

IT ***85936-65-8P 85936-68-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

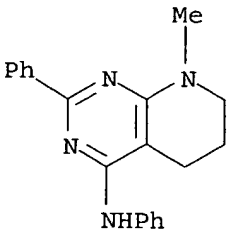
RN 85936-65-8 HCAPLUS

CN 5H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6,7-dihydro-7-methyl-N,2-diphenyl- (9CI) (CA INDEX NAME)



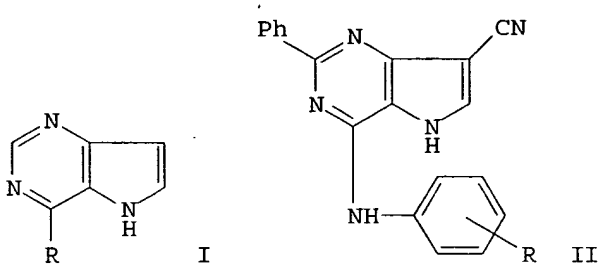
RN 85936-68-1 HCAPLUS

CN Pyrido[2,3-d]pyrimidin-4-amine, 5,6,7,8-tetrahydro-8-methyl-N,2-diphenyl- (9CI) (CA INDEX NAME)



L69 ANSWER 24 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:107247 HCAPLUS

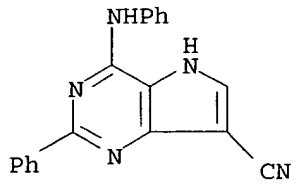
DOCUMENT NUMBER: 98:107247
TITLE: Pyrrolo[3,2-d]pyrimidine derivatives. IV. Synthesis, antibacterial and antitumor activity of 2,4,7-substituted pyrrolo[3,2-d]pyrimidines
AUTHOR(S): Sizova, O. S.; Britikova, N. E.; Novitskii, K. Yu.; Shcherbakova, L. I.; Pershin, G. N.; Kravchenko, A. I.; Chernov, V. A.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1982), 16(11), 1338-43
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 98:107247
GI



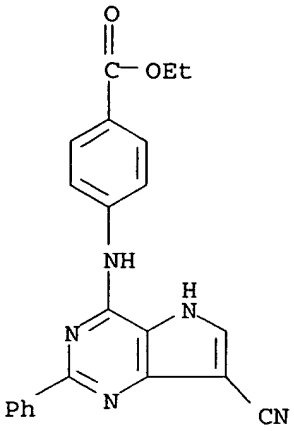
I II

AB Several title compds., e.g., I (R = BuNH, HOCH2CH2NH, 4-MeOC6H4NH, etc.) and II (R = H, CO2Et), were prepared, in most cases by aminolysis of the Cl analogs. The compds. had antibacterial activity and inhibited the growth of sarcoma 180 by 30-50%.

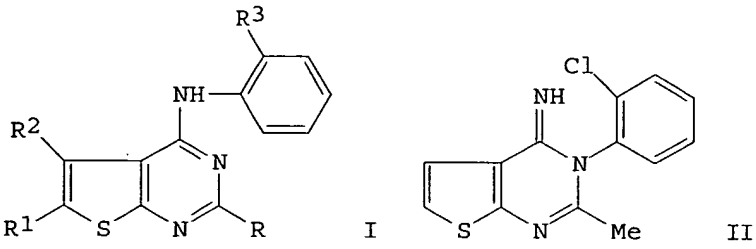
IT ***84905-67-9P***
RL: ***BAC (Biological activity or effector, except adverse)***; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of)
RN 84905-67-9 HCAPLUS
CN 5H-Pyrrolo[3,2-d]pyrimidine-7-carbonitrile, 2-phenyl-4-(phenylamino)- (9CI) (CA INDEX NAME)



IT ***84905-78-2P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 84905-78-2 HCAPLUS
CN Benzoic acid, 4-[(7-cyano-2-phenyl-5H-pyrrolo[3,2-d]pyrimidin-4-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



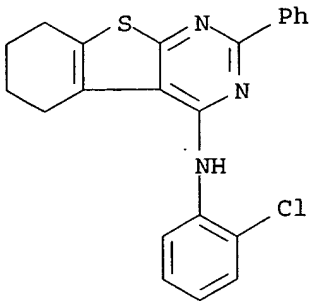
L69 ANSWER 25 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:122739 HCAPLUS
DOCUMENT NUMBER: 96:122739
TITLE: Phosphoramides. XVIII. A new synthesis of N-arylthieno[2,3-d]pyrimidin-4-amines
AUTHOR(S): Nielsen, Knud Erik; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SOURCE: Chemica Scripta (1981), 18(5), 245-7
CODEN: CSRPB9; ISSN: 0004-2056
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:122739
GI



AB The arylthieno[2,3-d]pyrimidinamines I [R = Me, Ph; R1 = R2 = H, R1R2 = (CH2)4; R3 = H, Me, Cl] were prepared in 11-73% yield by heating 2-acylamino-3-thiophenecarbonitriles in a reagent mixture of P2O5, arylamine hydrochloride, and N,N-dimethylcyclohexylamine. Using 2-ClC6H4NH2·HCl the intermediate thienopyrimidinimine II could be isolated. N-Alkylthieno[2,3-d]pyrimidin-4-amines could not be synthesized by using alkylamine hydrochlorides instead of arylamine hydrochlorides in the reagent mixture Only dealkylated products could be isolated. I(R = Me; R1 = R2 = H; R3 = Me,Cl) and 2-acetylamino-3-thiophenecarbonitrile showed pesticide activities.

IT ***81102-96-7P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

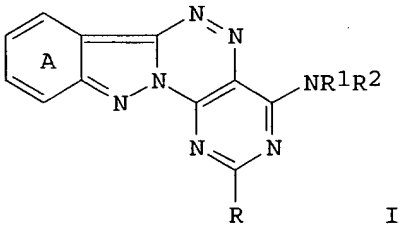
RN 81102-96-7 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-(2-chlorophenyl)-5,6,7,8-tetrahydro-2-phenyl- (9CI) (CA INDEX NAME)



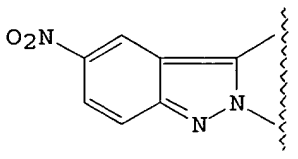
L69 ANSWER 26 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:548153 HCAPLUS
DOCUMENT NUMBER: 89:148153
TITLE: Process for the manufacture of polycyclic compounds
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Brit., 20 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
GB 1502912	A	19780308	GB 1975-19672		19750509
PRIORITY APPLN. INFO.:			IN 1974-184	A	19740510

GI



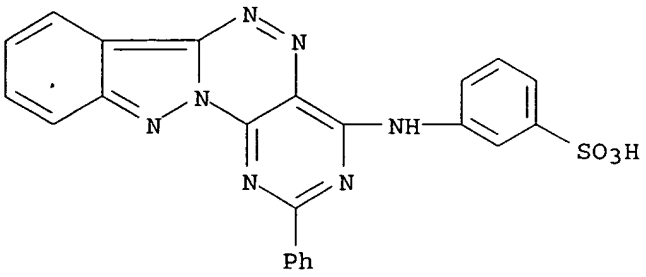
I



II

AB The preparation is described of title compds. I (R = H, optionally substituted hydrocarbon radical; R1, R2 = H, alkyl, aryl, aralkyl, cycloalkyl; NR1R2 = a ring; ring A is optionally substituted), useful as dyes for organic material including polyester, polyamide, and polyacrylonitrile fibers. Thus, II (R = Ph, R1 = R2 = Me) [58515-05-2], prepared from 2-phenyl-4,6-dichloropyrimidine [3740-92-9] by sequential treatment with Me2NH [124-40-3] and diazotized 3-amino-5-nitroindazole [41339-17-7], dyed polyester fibers from an aqueous dispersion sublimation- and lightfast brilliant yellow.
IT ***67834-60-0***

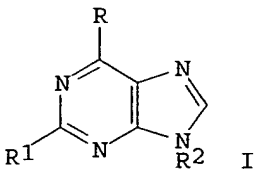
RL: USES (Uses)
(dye, for polyamide and wool, preparation of)
RN 67834-60-0 HCAPLUS
CN Benzenesulfonic acid, 3-[(2-phenylpyrimido[4',5':5,6][1,2,4]triazino[4,3-b]indazol-4-yl)amino]- (9CI) (CA INDEX NAME)



L69 ANSWER 27 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:593039 HCAPLUS
DOCUMENT NUMBER: 85:193039
TITLE: 2-Substituted adenosine derivatives
INVENTOR(S): Marumoto, Ryuji; Imai, Kinichi; Yoshioka, Yoshio; Honjo, Mikio
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Tokkyo Koho, 9 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50034039	B4	19751105	JP 1970-124077	19701228
DK 135130	B	19770307	DK 1971-6213	19711220
CA 965411	A1	19750401	CA 1971-130670	19711221
HU 164526	P	19740228	HU 1971-TA1164	19711223
NL 7117845	A	19720630	NL 1971-17845	19711224
SE 391337	B	19770214	SE 1971-16692	19711227
PRIORITY APPLN. INFO.:			JP 1970-124077 A	19701228

GI



I

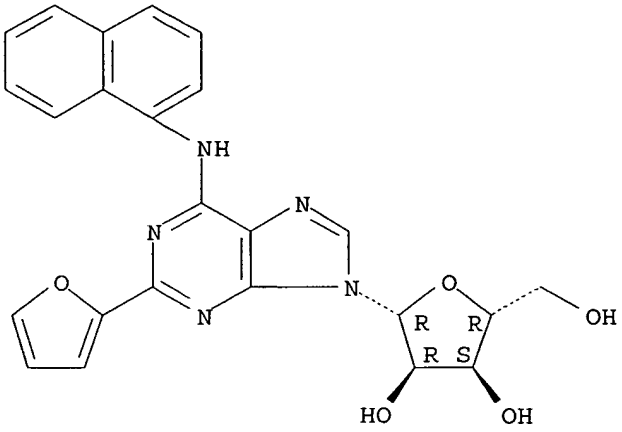
AB I (R1 = aryl, aralkyl, heterocyclyl with or without halo, NO2, alkyl, or alkoxy group; R2 = ribosyl, possibly protected; R = halo, alkylthio) were treated with R3NH2 to give I (R = NHR3, R2 = ribosyl) (II). II are coronary vasodilators. Thus, 3.2 g 2-phenylinosine in pyridine was

acetylated with Ac2O to give 3.625 g 2',3',5'-tri-O-acetyl derivative, which (6 g) was refluxed with SOCl2 in CHCl3-DMF 7 hr and the product treated with MeOH-NH3 to give 3.5 g 2-phenyl-6-chloronebularine (II). III (2 g) was autoclaved with 20% MeOH-NH3 5 hr at 150° to give 1.6 g 2-phenyladenosine. Similarly prepared were 2-(2-furyl)-6-chloronebularine, 2-(2-furyl)-6-naphthylaminonebularine, 2-(p-methoxyphenyl)adenosine and 2-(p-methoxyphenyl)-6-ethylthionebularine.

IT ***59791-57-0P 59791-58-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

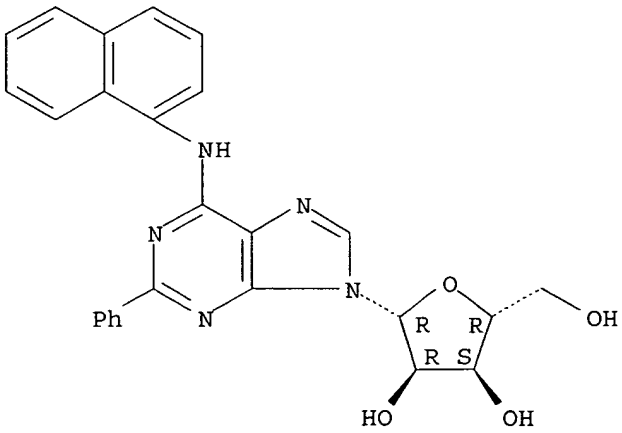
RN 59791-57-0 HCAPLUS
CN Adenosine, 2-(2-furanyl)-N-1-naphthalenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 59791-58-1 HCAPLUS
CN Adenosine, N-1-naphthalenyl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



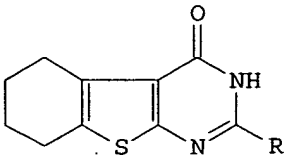
L69 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:543058 HCAPLUS
DOCUMENT NUMBER: 85:143058
TITLE: Heterocyclic compounds. V. 2,4-Disubstituted

thienopyrimidones

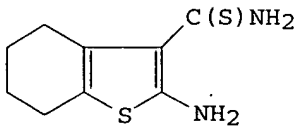
AUTHOR(S): Manhas, M. S.; Amin, S. G.; Dayal, B.
CORPORATE SOURCE: Dep. Chem. Chem. Eng., Stevens Inst. Technol., Hoboken, NJ, USA

SOURCE: Journal of Heterocyclic Chemistry (1976), 13(3), 633-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 85:143058

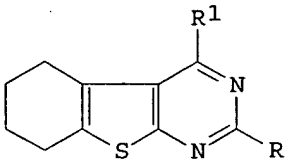
GI



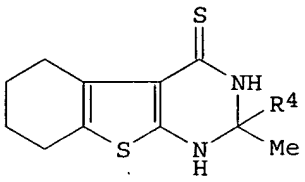
I



II



III

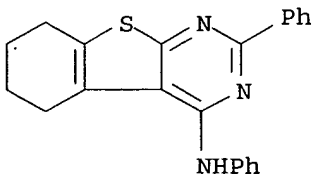


IV

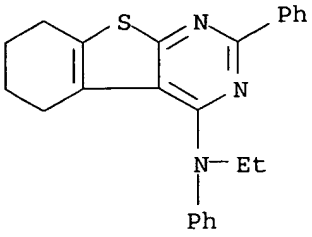
AB Thienopyrimidones I (R = Ph, 2-, 4-MeC6H4, 4-MeOC6H4), obtained by the condensation of benzothiophene II with RCHO in the presence of catalytic amts. of HCl, were refluxed with POCl3 to give chlorobenzothienopyrimidines III (R1 = Cl). Refluxing III (R1 = Cl) with R2R3NH (R2 = H, R3 = Me, Ph; R2 = R3 = Me, Bu; R2 = Et, R3 = Ph; R2R3N = morpholino, 4-methylpiperazino) gave III (R1 = NR2R3). The cycloaddn. of II with MeCOR4 (R4 = Me, Et) gave IV. III (R = Ph, R1 = morpholino) (V) had slight antiinflammatory activity based on the carrageenin induced edema test in mice. V and III (R = Ph, R1 = NBu2, 4-methylpiperazino) showed weak anorexogenic activity.

IT ***60557-11-1P 60557-12-2P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

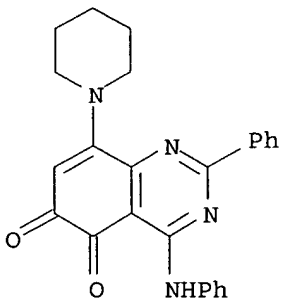
RN 60557-11-1 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, 5,6,7,8-tetrahydro-N,2-diphenyl- (9CI) (CA INDEX NAME)



RN 60557-12-2 HCAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-ethyl-5,6,7,8-tetrahydro-N,2-diphenyl- (9CI) (CA INDEX NAME)

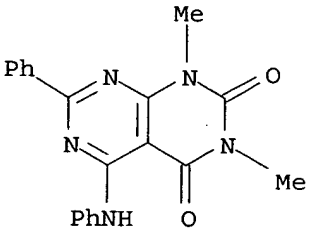


L69 ANSWER 29 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:403870 HCAPLUS
DOCUMENT NUMBER: 81:3870
TITLE: Heterocyclic quinones. XXII. Synthesis and antimicrobial action of substituted 2-phenylquinazolinequinones
AUTHOR(S): Karpova, N. B.; Tsizin, Yu. S.; Rudzit, E. A.; Radkevich, T. P.; Kulikova, D. A.; Luk'yanov, A. V.
CORPORATE SOURCE: Inst. Med. Parazitol. Trop. Med. im. Martsinovskogo, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1974), 8(2), 21-4
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The quinazolinols I (R = Me2N, PhNH, MeNH) were oxidized by O in MeOH containing copper acetate and R1H (R1 = morpholino, piperidino) to give the corresponding quinazolinediones II. Reduction of II (R = R1 = piperidino) by Zn in refluxing Ac2O-pyridine gave the diacetoxyquinazoline III. II (R = MeNH, R1 = piperidino; R = R1 = piperidino) possessed antibacterial activity at 0.19-25 μg/ml. Seven isomeric quinazolinediones IV (R = MeO, piperidino; R1 = HO, MeO, BuNH, MeNH, piperidino, morpholino) were tested for antibacterial activity and IV (R = HO, R1 = piperidino) was effective at ≥6.25 μg/ml.
IT ***52599-41-4P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, antibacterial activity of)
RN 52599-41-4 HCAPLUS
CN 5,6-Quinazolinedione, 2-phenyl-4-(phenylamino)-8-(1-piperidinyl)- (9CI) (CA INDEX NAME)



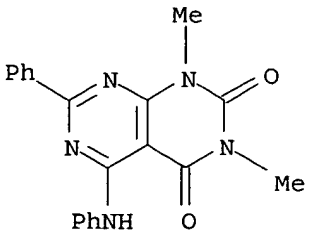
L69 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:47947 HCAPLUS

DOCUMENT NUMBER: 80:47947
TITLE: New syntheses of pyrimido[4,5-d]pyrimidines
AUTHOR(S): Yoneda, Fumio; Higuchi, Masatsugu
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1973), 46(12), 3849-53
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Novel conversions of pyrrolopyrimidines into pyrimidopyrimidines are described. The treatment of 5-nitrosopyrrolopyrimidine (I) under Beckmann conditions causes ring expansion to give pyrimidopyrimidine (II, R = H, Cl, Br). Both the reduction of I with triphenylphosphine, potassium pyrosulfite, or sodium dithionite in DMF and the oxidation of 5-aminopyrrolopyrimidine with Pb(OAc)2 in DMF or HOAc afford II. 5-Aminopyrimidopyrimidine is prepared by the nucleophile-induced ring expansion of I. The possible mechanisms of these ring expansions are proposed.
IT ***37899-93-7P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37899-93-7 HCAPLUS
CN Pyrimido[4,5-d]pyrimidine-2,4(1H,3H)-dione, 1,3-dimethyl-7-phenyl-5-(phenylamino)- (9CI) (CA INDEX NAME)



L69 ANSWER 31 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:552101 HCAPLUS
DOCUMENT NUMBER: 77:152101
TITLE: Novel ring expansions of pyrrolopyrimidines to pyrimidopyrimidines
AUTHOR(S): Yoneda, Fumio; Higuchi, Masatsugu
CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1972), 20(9), 2076-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:152101
GI For diagram(s), see printed CA Issue.
AB Refluxing 1,3-dimethyl-5-nitroso-6-phenylpyrrolo[2,3-d]pyrimidine-2,4(1H,-3H)-diones (I, R = H, Br, Cl) with K2S2O5 in DMF gave the 1,3-dimethyl-5-hydroxy-7-phenylpyrimido[4,5-d]pyrimidine-2,4(1H,3H)-diones (II, R1 = OH), and refluxing I (R = H) with NH3 or amines in DMF gave II (R = H; R1 = NH2, PhNH, PhCH2NH).
IT ***37899-93-7P***
RL: SPN (Synthetic preparation); PREP (Preparation)

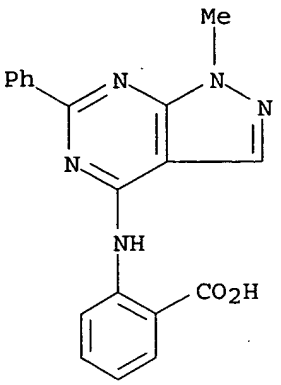
(preparation of)
RN 37899-93-7 HCAPLUS
CN Pyrimido[4,5-d]pyrimidine-2,4(1H,3H)-dione, 1,3-dimethyl-7-phenyl-5-(phenylamino)- (9CI) (CA INDEX NAME)



L69 ANSWER 32 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:434565 HCAPLUS
DOCUMENT NUMBER: 77:34565
TITLE: Antiinflammatory and blood sugar-lowering 4-amino-1H-pyrazolo[3,4-d]pyrimidine derivatives and their salts
INVENTOR(S): Breuer, Hermann; Schulze, Ernst
PATENT ASSIGNEE(S): Chemische Fabrik von Heyden A.-G.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2140986	A	19720309	DE 1971-2140986	19710816
US 3720674	A	19730313	US 1970-69172	19700902
FR 2105198	A5	19720428	FR 1971-31800	19710902
PRIORITY APPLN. INFO.:			US 1970-69172 A	19700902

GI For diagram(s), see printed CA Issue.
AB Seven title compds (I, R = Ph, C6H4Cl-p, cyclohexyl; R1 = Cl, Me2N, pyrrolidino, Et2N, p-HO2CC6H4) were prepared by condensation of MeNHNH2 (II) with EtOCH:C(CN)2 (III), N-acylation, oxidative cyclization, and reaction with POCl3. Thus, II was heated 30 min with III to give the aminopyrazolecarbonitrile (IV), which was treated with PhCOCl in dioxane. The N-benzoylated product was then cyclized with H2O2-KOH to the pyridopyrazinone (V), which on reaction with POCl3-H3PO4 gave I (R = Ph, R1 = Cl).
IT *37799-20-5P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37799-20-5 HCAPLUS
CN Benzoic acid, 2-[(1-methyl-6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)



L69 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:510332 HCAPLUS
DOCUMENT NUMBER: 75:110332
TITLE: Antibacterial 2-(5-nitro-2-furyl)thieno[3,2-d]pyrimidines
INVENTOR(S): Woitun, Eberhard; Reuter, Wolfgang
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H.
SOURCE: Ger. Offen., 35 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1959403	A	19710603	DE 1969-1959403	19691126
US 3661908	A	19720509	US 1970-90841	19701118
ES 385770	A1	19731116	ES 1970-385770	19701121
ES 385771	A1	19731116	ES 1970-385771	19701121
CH 558806	A	19750214	CH 1974-15147	19701123
CH 559210	A	19750228	CH 1970-17312	19701123
CH 567029	A	19750930	CH 1974-15146	19701123
CH 568324	A	19751031	CH 1974-15149	19701123
SU 403172	D	19731019	SU 1970-1494560	19701124
RO 56320	P	19740601	RO 1970-65079	19701124
RO 58535	P	19750915	RO 1970-67443	19701124
SU 539530	D	19761215	SU 1970-1494560	19701124
NL 7017210	A	19710528	NL 1970-17210	19701125
ZA 7007999	A	19710929	ZA 1970-7999	19701125
GB 1321316	A	19730627	GB 1970-56146	19701125
NO 129954	B	19740617	NO 1970-4524	19701125
DK 128781	B	19740701	DK 1970-6015	19701125
PL 85052	P	19760430	PL 1970-144640	19701125
FR 2073416	A5	19711001	FR 1970-42531	19701126
FR 2073416	B1	19750418		
AT 307396	B	19730525	AT 1970-10677	19701126
AT 312590	B	19740110	AT 1972-5273	19701126
IL 35729	A1	19740114	IL 1970-35729	19701126
SE 377938	B	19750804	SE 1970-16054	19701126

PRIORITY APPLN. INFO.: DE 1969-1959403 A 19691126
DE 1970-2050814 A 19701016

DE 1970-2050815	A	19701016
DE 1970-2050816	A	19701016
SU 1970-1727880	A	19701124

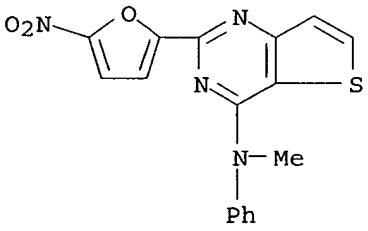
GI For diagram(s), see printed CA Issue.

AB The title compds. (I) are prepared and are active against Staphylococcus aureus SG 511, Streptococcus aronson, Escherichia coli, and Trichomonas vaginalis. Thus, a mixture of Et 5-nitrofuran-2-iminocarboxylate and Me 3-aminothiophene-2-carboxylate is heated 1 hr at 130° to yield 65% 2-(5-nitro-2-furyl)-4-hydroxythieno[3,2-d]pyrimidine, which is converted with POCl3 into 82 4-chloro-2-(5-nitro-2-furyl)-4-thieno[3,2-d]pyrimidine (II). To a mixture of II and Me2SO is added at 80° a solution of 2-ethylaminoethanol in Me2SO and the mixture is stirred 1 hr at 80° to yield 74% 4-N-ethyl-N-[2-hydroxyethyl]amino-2-(5-nitro-2-furyl)thieno[3,2-d]pyrimidine. Some 70 other I are described together with 6 pharmaceutical prepns.

IT ***33578-70-0P 33578-71-1P 33578-72-2P***
33578-73-3P 33578-74-4P 33578-75-5P
33578-76-6P 33578-77-7P 33578-78-8P
33705-04-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

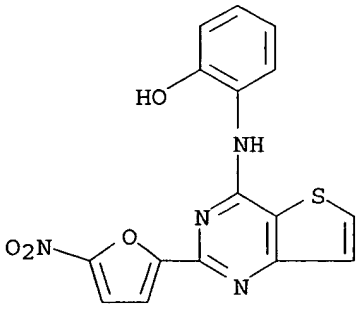
RN 33578-70-0 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 4-(N-methylanilino)-2-(5-nitro-2-furyl)- (8CI) (CA INDEX NAME)



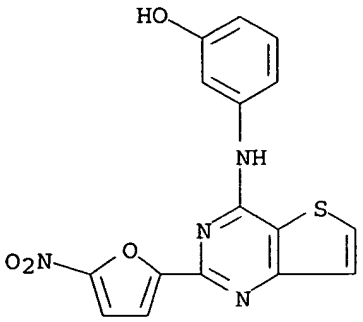
RN 33578-71-1 HCAPLUS

CN Phenol, o-[[2-(5-nitro-2-furyl)thieno[3,2-d]pyrimidin-4-yl]amino]- (8CI) (CA INDEX NAME)

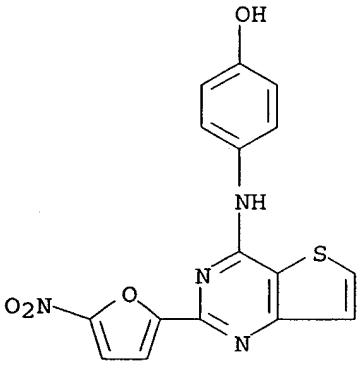


RN 33578-72-2 HCAPLUS

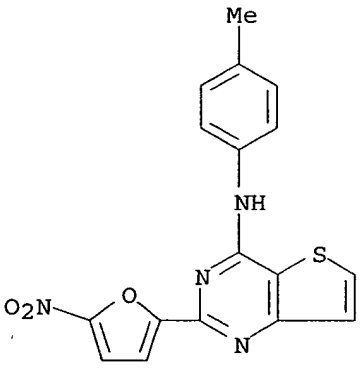
CN Phenol, m-[[2-(5-nitro-2-furyl)thieno[3,2-d]pyrimidin-4-yl]amino]- (8CI) (CA INDEX NAME)



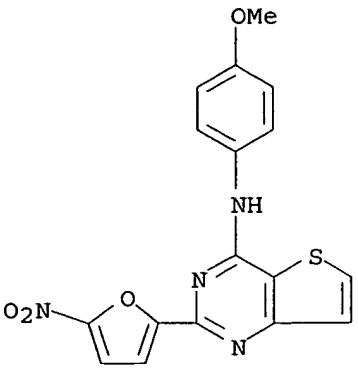
RN 33578-73-3 HCAPLUS
CN Phenol, p-[[2-(5-nitro-2-furyl)thieno[3,2-d]pyrimidin-4-yl]amino]- (8CI) (CA INDEX NAME)



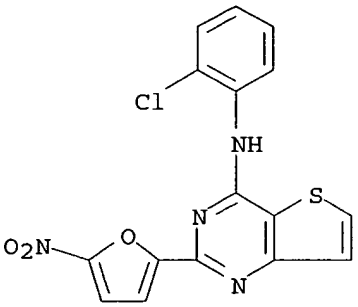
RN 33578-74-4 HCAPLUS
CN Thieno[3,2-d]pyrimidine, 2-(5-nitro-2-furyl)-4-p-toluidino- (8CI) (CA INDEX NAME)



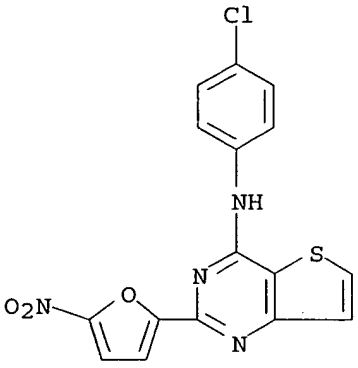
RN 33578-75-5 HCAPLUS
CN Thieno[3,2-d]pyrimidine, 4-p-anisidino-2-(5-nitro-2-furyl)- (8CI) (CA INDEX NAME)



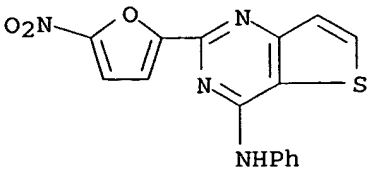
RN 33578-76-6 HCAPLUS
CN Thieno[3,2-d]pyrimidine, 4-(o-chloroanilino)-2-(5-nitro-2-furyl)- (8CI) (CA INDEX NAME)



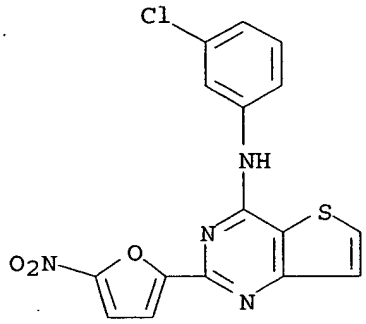
RN 33578-77-7 HCAPLUS
CN Thieno[3,2-d]pyrimidine, 4-(p-chloroanilino)-2-(5-nitro-2-furyl)- (8CI) (CA INDEX NAME)



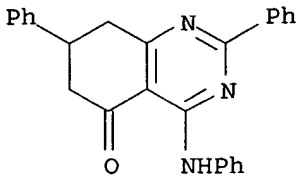
RN 33578-78-8 HCAPLUS
CN Thieno[3,2-d]pyrimidine, 4-anilino-2-(5-nitro-2-furyl)- (8CI) (CA INDEX NAME)



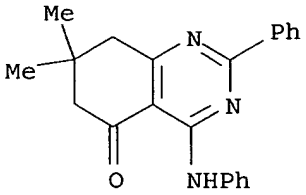
RN 33705-04-3 HCAPLUS
CN Thieno[3,2-d]pyrimidine, 4-(m-chloroanilino)-2-(5-nitro-2-furyl)- (8CI) (CA INDEX NAME)



L69 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:435316 HCAPLUS
DOCUMENT NUMBER: 73:35316
TITLE: 2-Phenyl-7,7-dimethyl- and 2,7-diphenyl-4-phenylamino-5-oxo-5,6,7,8-tetrahydroquinazoline
AUTHOR(S): Strakov, A. Ya.; Brutane, D.; Deich, V. D.
CORPORATE SOURCE: Rizh. Politekh. Inst., Riga, USSR
SOURCE: Latvijas PSR Zinatnu Akademijas Vestis, Kimijas Serija (1970), (2), 248-9
CODEN: LZAKAM; ISSN: 0002-3248
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB 5,5-Dimethyl- (Ia) and 5-phenyl-2-(phenylthiocarbamoyl)-1,3-hexanedione (Ib) yield, by the action of benzamidine (II), the corresponding 3-(N-benzamidinyl)-2-(phenylthiocarbamoyl)-2-cyclohexen-1-ones (IIIa, IIIb), which undergo cyclization to 2-phenyl-7,7-dimethyl- (IVa) or 2,7-diphenyl-4-(phenylamino)-5-oxo-5,6,7,8-tetrahydroquinazoline (IVb). Ib (40%), m. 151-3°, was prepared from 5-phenyl-1,3-cyclohexanedione and PhNCS. The reaction of Ia and Ib with II. HCl in EtOH-EtONa yielded, after boiling, IIIa (10 min, 55%, m. 174°) and IIIb [2 hr, 59%, m. 180-4° (decomposition)]. The ring closure was performed in boiling dioxane with several drops H3PO4 to give 57% IVa, m. 137-9°, and 50% IVb, m. 203-7° (decomposition).
IT ***27351-00-4P 27351-01-5P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 27351-00-4 HCAPLUS
CN 5(6H)-Quinazolinone, 4-anilino-7,8-dihydro-2,7-diphenyl- (8CI) (CA INDEX NAME)



RN 27351-01-5 HCAPLUS
CN 5(6H)-Quinazolinone, 4-anilino-7,8-dihydro-7,7-dimethyl-2-phenyl- (8CI) (CA INDEX NAME)



L69 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1962:486834 HCAPLUS
DOCUMENT NUMBER: 57:86834
ORIGINAL REFERENCE NO.: 57:4654h-i,4655a-f
TITLE: Syntheses with enamines. VIII. Heterocycles from enamine-isothiocyanate adducts
AUTHOR(S): Huenig, Siegfried; Huebner, Klaus
CORPORATE SOURCE: Univ. Marburg, Germany
SOURCE: Chemische Berichte (1962), 95, 937-43
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

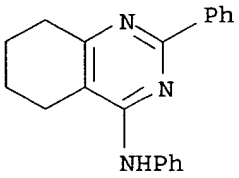
AB The adducts from enamines and isothiocyanates and their hydrolysis products, the β-carbonylthiocarboxamides, are excellent starting materials for the synthesis of heterocycles. Substituted amino groups can be introduced in this manner into difficultly accessible positions as demonstrated in the pyrazole and pyrimidine series. In 1 exceptional case a derivative of the previously unknown 3-azathio-4-pyrone was formed in place of the adduct. 1-Morpholino-1-cyclohexene (16.7 g.) in 15 cc. CHCl3 added dropwise with cooling and stirring during 45 min. to 32.6 g. BzNCS in 50 cc. CHCl3, cooled 1 h., stirred until no further temperature increase occurred, refluxed 0.5 h., and refrigerated overnight yielded 12.0-13.4 g. 2-phenyl5,6,7,8-tetrahydro-1,3-benzoxazine-4-thione (I), orange needles, m. 198-9° (HCONMe2) (all m.ps. are corrected); the tarry residue from the mother liquor gave some N-(morpholinothiocarbonyl)benzamide, m, 144-5°. I (2.43 g.) in 30 cc. refluxing Me2CO treated dropwise with 2.1 g. MeI in 5 cc. Me2CO, refluxed 0.5 h., cooled, and filtered gave 3.62 g. 4-methylthio-2-phenyl-5,6-tetramethylene-3-azapyrylium iodide (II), decomposed gradually above 150°; it evolved MeSH in moist air. II (2.3 g.) in 10 cc. refluxing EtOH treated dropwise during 5 min. with 10 cc. 2N HCl, refluxed 10 min., aerated, cooled, diluted with 20 cc. H2O, and filtered, and the residue repptd. from 15 cc. hot MeOH with 15 cc. H2O gave 1.12 g. N-benzoyl-2-cyclohexanonecarboxamide (III), m. 150-1.5°. III (1.021 g.), 5 cc. concentrated NH4OH, and 5 cc. EtOH refluxed 0.5 h. gave 552 mg. 4-hydroxy-2-phenyl5,6-

tetramethylenepyrimidine (IV),. m. 238-9° (sealed capillary) (repptd. from HCONMe2 with H2O). II (5.0 g.) in 30 cc. refluxing MeOH treated dropwise during 5 min. with 10 cc. concentrated NH4OH, refluxed 0.5 h., cooled, diluted with H2O to incipient turbidity, and refrigerated overnight yielded 2.36 g. 4-MeS analog of IV, m. 118-19° (1:1 HCONMe2-H2O). 2-Ethyl-3-pyrrolidinoacrylic acid thioanilide (5.22 g.) and 1 cc. 90% N2H4.H2O heated in 25 cc. EtOH yielded 3.40 g. 3(5)-anilino-4-ethylpyrazole, rhombs, m. 113° (C6H6-ligroine). β-Morpholinothiocinnamic acid anilide (V) (3.24 g.), 15 cc. EtOH, and 1 cc. 90% N2H4.H2O refluxed 1.5 h., filtered, and diluted with a few cc.H2O gave 1.72 g. 3(5)anilino-5(3)-phenylpyrazole, plates, m. 152.5-3.5°; HCl salt, m. 167-8°. BzCH2CSNHPh (2.55 g.) in 15 cc. EtOH refluxed 1.5 h. with 1.62 g. PhNHNH2, diluted to turbidity with H2O, and filtered gave 2.4 g. 1,5-diphenyl-3-anilinopyrazole (VI), m. 154-5° (MeOH). V (3.24 g.) gave similarly 2.45 g. VI, m. 154.5-5.5°. 2-Morpholino-1cyclohexenethiocarboxanilide (VII) (6.0 g.), 35 cc. EtOH, and 1 cc. 90% N2H4.H2O refluxed 2 h., heated 15 min. with C, filtered, cooled, and diluted with 35 cc. H2O gave 2.95 g. 3-anilino-4,5-tetramethylenepyrazole (VIII), m. 169-70° (PhMe). 2-Cyclohexanonethiocarboxanilide (IX) (4.7 g.) gave similarly 2.76 g. VIII, m. 169-70°. 2-Morpholino-1cyclopentenethiocarboxanilide (5.75 g.), 30 cc. EtOH, and 1 cc. 90% N2H4.H2O refluxed 2 h., filtered, diluted with 2 vols. H2O, and refrigerated overnight yielded 2.75 g. 3-anilino4,5-trimethylenepyrazole (X), m. 163-4°. 2-Cyclopentanonethiocarboxanilide (4.38 g.) gave similarly 3.04 g. X. β-Morpholinothiocinnamic acid benzamide (3.52 g.) in 25 cc. EtOH refluxed 1 h. with 1 cc. 90% N2H4.H2O, filtered, treated with H2O to incipient turbidity, cooled, and filtered yielded 1.83 g. 3-benzamido-5-phenylpyrazole, m. 189-91° (MeOH). VII (3.02 g.) in 20 cc. EtOH refluxed 3 h. with 2.35 g. benzamidine-HCl, cooled, and filtered gave 1.47 g. 4-anilino-2-phenyl-5,6-tetramethylenepyrimidine (XI), m. 150-1° (ligroine). IX (2.33 g.) gave similarly in the presence of 0.015 mol NaOEt 1.35 g. XI, m. 150.5-1.5°.

IT ***88828-40-4***, Quinazoline, 4-anilino-5,6,7,8-tetrahydro-2-phenyl- (preparation of)

RN 88828-40-4 HCAPLUS

CN Quinazoline, 4-anilino-5,6,7,8-tetrahydro-2-phenyl- (7CI) (CA INDEX NAME)



L69 ANSWER 36 OF 36 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1962:423207 HCAPLUS
DOCUMENT NUMBER:	57:23207
ORIGINAL REFERENCE NO.:	57:4653e-i,4654a-h
TITLE:	Syntheses with enamines. VII. Addition of isocyanates and isothiocyanates to enamines
AUTHOR(S):	Huenig, Siegfried; Huebner, Klaus; Benzing, Erhard
CORPORATE SOURCE:	Univ. Marburg, Germany
SOURCE:	Chemische Berichte (1962), 95, 926-36 CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE:	Journal

LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 57:23207

AB cf. CA 55, 11398b. The addition of several enamines to various substituted isocyanates and iso- thiocyanates is described. The resulting adducts can be hydrolyzed smoothly to β-carbonyl(thio)carboxamides. Pyrrolidine (142 g.) and 50 g. powdered K2CO3 treated dropwise at -10° with 72 g. PrCHO, stirred 0.5 h. at room temperature, filtered, and distilled yielded 68 g.

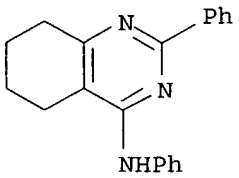
1-pyrrolidino-1-butene (I), b12 57-9°. AcPh (120 g.) and 130 g. morpholine in 300 cc. PhMe refluxed 70 h. with 5 g. acidic montmorillonite catalyst K-10 with the azeotropic removal of H2O gave 101 g. 1-morpholino-1-phenylethylene (II), b0.1 86-9°. 1-Morpholino-1-cyclopentene (III) (15.3 g.), 25 cc. C6H6, and 9.9 g. BUNCO (IV) heated 2 h. under N at 60°, stirred 0.5 h. with 60 cc. 2.N HCl, the aqueous phase neutralized with solid No2CO3, saturated with NaCl, and extracted with C6H6, and the extract distilled yielded 10.3 g. 2-cyclopentanonecarboxylic acid butylamide, b0.05 103-5°; semicarbazone m. 206-9° (EtOH). 1-Morpholino-1-cyclohexene (V) (16.7 g.) and 9.9 g. IV heated 4 h. under N on the water bath, dissolved in 25 cc. CHCl3, and stirred with 55 cc. 2N HCl, and the aqueous phase worked up in the usual manner yielded 12.0-13.1 g. 2-cyclohexanonecarboxylic acid butylamide, b0.15 118-21°; semicarbazone m. 164-6°. III (30.6 g.) in 40 cc. Me2CO treated during 1 h. with stirring with 23.8 g. PhNCO and 10 cc. Me2CO, stirred, kept 1 h at room temperature, cooled 3 h. at 0°, and filtered gave 36.0-9.5 g. 2-morpholinocyclopentenecarboxanilide (VI), m. 122-7° (decomposition) (all m.ps. are corrected). VI (27.3 g.) in 125 cc. 2N HCl kept 2 h. and filtered gave 15.4 g. 2-oxocyclopentanecarboxanilide, leaflets, m. 90-2°, which heated 1 h. at 95°, change to prisms, m. 102-4°. V (16.7 g.) in 25 cc. Me2CO treated during 20 min. With 11.9 g. PhNCO, kept 1 h. at room temperature, 2.3-h. at 0°, and filtered gave 20.5-2.5 g. 2-morpholinocyclohexenecarboxamide (VII), m. 120-5°. VII (14.2 g.) in 60 cc. boiling MeOH treated dropwise with a few cc. 2N HCl, filtered, treated with HCl (total amount 30 cc.), cooled, and filtered gave 10.010.4 g. 2-oxocyclohexanecarboxanilide, m. 106-8° (3:1 cyclohexane-EtOAc). II (9.45 g.) in 30 cc. cyclohexane treated dropwise during 15 min. with 5.95 g. PhNCO in 5 cc. cyclohexane, heated 0.5 h. at 80°, cooled, and filtered, the residue (12.1 g.) boiled with 60 cc. MeOH, acidified dropwise with 2N HCl, filtered, and refrigerated overnight gave 8.45-8.90 g. BzCH2CONHPh, m. 105-7°. I (12.5 g.) in 20 cc. dry EtOAc treated dropwise with stirring during 45 min. with 11.9 g. PhNCO at about 30°, refrigerated over-night, and filtered yielded 14.5 g. 1-pyrrolidino-1-butenecar-boxanilide (VIII), prisms, m. 117-23° (decomposition) (repptd. from hot EtOAc with petr. ether). VIII (7.5 g.) dissolved with warming with 15 cc. EtOH and 15cc. 2N HCl and cooled yielded 3.0 g. EtCH(OCNHPh)CH(OH)OEt, needles, m. about 95-100° (EtOH-petr. ether). p-MeC6H4SO2NCO (19.7 g.) in 20 cc. CHCl3 added during 1 h. with stirring to 16.7 g. V and 25 cc. CHCl3 at 30-5°, stirred 0.5 h. at room temperature, treated dropwise with 50 cc. 2N HCl. and stirred 0.5.h., the CHCl3 layer evaporated, and the oily residue refluxed 0.5 h. with C in 45 cc. C6H6, filtered, and refrigerated over-night yielded 18 g. N-(p-MeC6H4SO2) derivative of VII, m. 125-7° (C6H6). III (30.6 g.) in 75 cc. MeOH treated dropwise with stirring during 0.5 h. with 27 g. PhNCS in 20 cc. MeOH, refluxed 1 h., and refrigerated overnight gave 47.5-9.5 g. 3-morpholino-1-cyclopentenethiocarboxanilide (IX), m. 115-19° (decomposition) (MeOH). IX (5.0 g.) in 20 cc. refluxing EtOH neutralized dropwise with 2N HCl and cooled gave 3.2 g. 2-cyclopentanonethiocarboxanilide, m. 96-7° (cyclohexane-EtOH). V (33.5 g.) (33.5 g.) in 75 cc. MeOH and 27 g. PhNCS refluxed 1.5 h. and

refrigerated overnight yielded 45.8-50.2 g. 2-morpholino-1-cyclohexene-thiocarboxanilide (X), m. 125-9° (decomposition) (MeOH). X (4.8 g.) in 30 cc. refluxing EtOH neutralized slowly with about 10 cc. 2N HCl, diluted with 3-4 cc. H2O, and refrigerated overnight gave 2.3 g. 2-cyclohexanonethiocarboxanilide, m. 84-9° (decomposition) (cyclohexane-EtOAc). II (9.5 g.), 30 cc. EtOAc, and 6.75 g. PhNCS refluxed 1 h. and cooled yielded 12.5 g. β-morpholinothiocinnamic acid anilide (XI), m. 157-8° (EtOAc). XI (3.24 g.) in 20 cc. EtOH acidified dropwise with 2N HCl, treated with a few drops H2O, and refrigerated overnight gave 2.4 g. BzCH2CSNHPh, m. 80-3° (1:1 EtOH-H2O). I (12.5 g.) and 25 cc. EtOAc treated with stirring during 20 min. dropwise with 13.5 g. PhNCS, refluxed 0.5 h., and refrigerated overnight gave 17.5 g. 1-pyrrolidino-1-butene-2-thiocarboxanilide, yellow plates, m. 106-9° (decomposition) (EtOH). II (18.9 g.) in 50 cc. cyclohexane treated dropwise during 45 min. with stirring with 16.3 g. BzNCS in 25 cc. cyclohexane and filtered after 1 h. gave 26.4 g. N-benzoyl-β-morpholinothiocinnamamide (XII), m. 161-4°. XII (17.6 g.) in 200 cc. EtOH treated slowly dropwise with 5.5 cc. concentrated HCl, refluxed 0.5 h., cooled, and filtered yielded 12.3 g. BzCH2CSNHBz (XIII), m. 140-2° (1:1 EtOH-H2O). XIII (5.0 g.), 25 cc. EtOH, and 10 cc. concentrated NH4OH refluxed, treated with a small amount C, refluxed 1 h., filtered, ditd. to incipient turbidity with H2O, cooled, and filtered, and the residue boiled briefly with 35 cc. 2N HCl, cooled, and filtered gave 3.1 g. BzCH2-CONHBz, m. 168-9° (in sealed capillary) (repptd. from HCONMe2 with H2O). III (7.7 g.) in 50 cc. ligroine treated dropwise with stirring during 45 min. with 8.15 g. BzNCS in 10 cc. ligroine at 35-40°, stirred 0.5 h. at room temperature, and filtered gave 13.2 g. N-benzoyl-2-morpholinothiocar-boxamide (XIV). XIV (3.16 g.) in 25 cc. hot 1:1 EtOH-H2O treated dropwise slowly with concentrated HCl to acidity, heated to boiling, and refrigerated overnight yielded 1.63 g. N-benzoyl-2-cyelopentanonethiocarboxamide, yellow needles, m. 91.5-2.5° (MeOH).

IT ***88828-40-4***, Quinazoline, 4-anilino-5,6,7,8-tetrahydro-2-phenyl-
(preparation of)

RN 88828-40-4 HCAPLUS

CN Quinazoline, 4-anilino-5,6,7,8-tetrahydro-2-phenyl- (7CI) (CA INDEX NAME)



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=> file marpat
FILE 'MARPAT' ENTERED AT 09:51:42 ON 15 AUG 2006
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FILE CONTENT: 1961-PRESENT VOL 145 ISS 7 (20060811/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US   2006135764 22 JUN 2006
DE 102004057645 01 JUN 2006
EP      1674464 28 JUN 2006
JP   2006143645 08 JUN 2006
WO   2006070546 06 JUL 2006
GB      2421183 21 JUN 2006
FR      2879449 23 JUN 2006
RU      2277091 27 MAY 2006
CA      2488034 19 MAY 2006

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.
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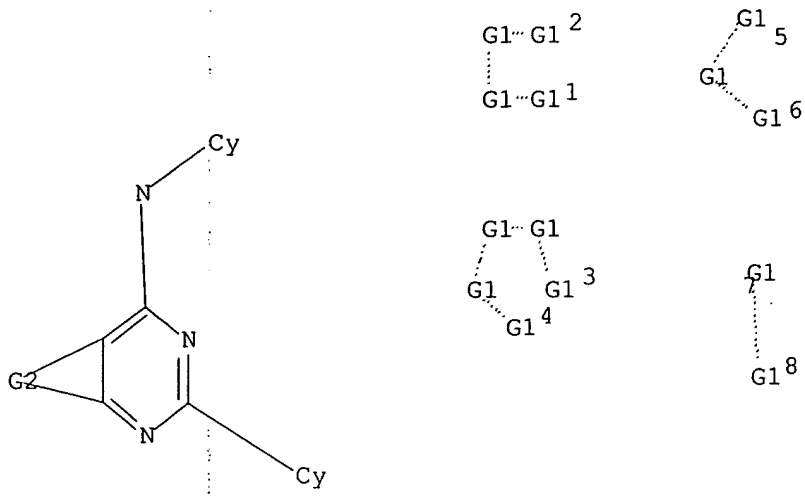
=> d que l19
L3 STR



G1 C,O,S,N
G2 [@1-@2],[@3-@4],[@5-@6],[@7-@8]

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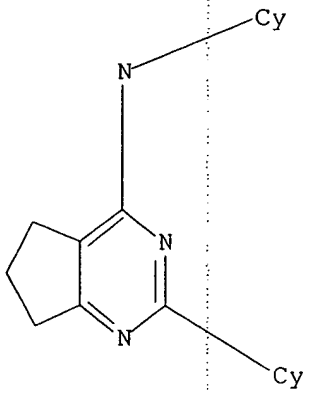

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L18 15 SEA FILE=MARPAT SSS FUL L10
L19 9 SEA FILE=MARPAT ABB=ON PLU=ON L18 NOT L13

=> d ibib abs qhit l19 tot

L19 ANSWER 1 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:432827 MARPAT

TITLE: Preparation of fused pyrimidine derivatives as insulin secretion accelerators

INVENTOR(S): Yonetoku, Yasuhiro; Negoro, Kenji; Onda, Kenichi; Hayakawa, Masahiko; Sasuga, Daisuke; Nigawara, Takahiro; Iikubo, Kazuhiko; Moritomo, Hiroyuki; Yoshida, Shigeru; Ohishi, Takahide

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006043490	A1	20060427	WO 2005-JP19000	20051017

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

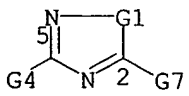
PRIORITY APPLN. INFO.: JP 2004-305374 20041020

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = Q1, etc.; R1 = (un)substituted cyclopropyl, (un)substituted cyclobutyl, (un)substituted cyclopentyl, etc.; R2 = -NR21R22, (un)substituted cyclic amino; R21, R22 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, reaction of 4-chloro-2-(4-chloro-2,5-difluorophenyl)-5,7-dihydrothieno[3,4-d]pyrimidine 6,6-dioxide, e.g., prepared from 4-chloro-2,5-difluorobenzonitrile in 5 steps, with (R)-3-methylpiperidine·(R)-mandelic acid salt followed by treatment with HCl afforded compound II hydrochloride. In insulin secretion accelerating assays, compound II hydrochloride exhibited the activity of 355%. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.

MSTR 1



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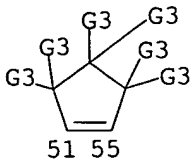
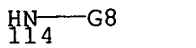


G4 = Ph (substd. by 1 or more G9)
G7 = 114



G8 = Ph (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:240451 MARPAT
TITLE: Preparation of condensed pyrimidinamines as inhibitors of voltage-gated sodium and calcium ion channels
INVENTOR(S): Wilson, Dean M.; Termin, Andreas P.; Neubert, Timothy D.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA; Wang, Jian; Zhang, Yulian; Gonzales, Jesus E., III; Martinborough, Esther; Zimmerman, Nicole
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014558	A1	20050217	WO 2004-US25559	20040805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263515	A1	20050217	AU 2004-263515	20040805
US 2005187217	A1	20050825	US 2004-912912	20040805
EP 1663994	A1	20060607	EP 2004-780401	20040805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
NO 2006001080	A	20060419	NO 2006-1080	20060306

PRIORITY APPLN. INFO.: US 2003-493036P 20030805
WO 2004-US25559 20040805

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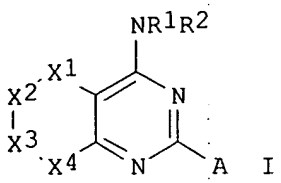
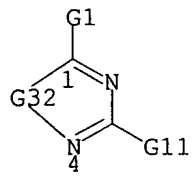


AB Title compds. [I; X1-X3 = NR3, CO, CHR4, S, SO, SO2; X4 = 0-2 of X1; R1, R2 = H, (substituted) aliphatyl, (hetero)aryl, (hetero)cyclyl; NR1R2 = (substituted) 3-8 membered (aromatic) ring; R3 = H, (substituted) aliphatyl, aryl, heteroaryl, heterocyclyl, etc.; R4 = QRx; Q = bond, alkylidene, etc.; Rx = H, halo, NO2, cyano, etc.; A = (substituted) mono- or bicyclic aryl; with provisos], were prepared as inhibitors of voltage-gated sodium and calcium ion channels (no data). Thus, 2-(4-chloro-7-methyl-5,6,7,8-tetrahydroquinazolin-2-yl)phenol (preparation given), Et3N, and Me2NH were stirred together overnight in THF to give 67% 2-(4-dimethylamino-7-methyl-5,6,7,8-tetrahydroquinazolin-2-yl)phenol.

MSTR 1



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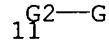

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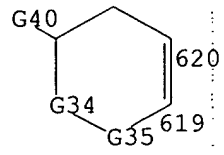
G31 = Ph (opt. substd. by G6)
G32 = 620-1 619-4



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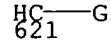

G35 = (0-2) 659

HC——G42
659

Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts
Note: additional substitution also claimed

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:137303 MARPAT
TITLE: Preparation of fused heterocycle substituted aminothiazolecarbonitriles as tyrosine kinase inhibitors
INVENTOR(S): Bilodeau, Mark T.; Manley, Peter J.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003009852	A1	20030206	WO 2002-US23191	20020719
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004235867	A1	20041125	US 2004-484986	20040123
PRIORITY APPLN. INFO.:			US 2001-307443P	20010724
			WO 2002-US23191	20020719

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EP 407899	B1	19950301		
R: AT, CH, DE, ES, FR, GB, GR, IT, LI				
DE 3922735	A1	19910124	DE 1989-3922735	19890711
US 5250530	A	19931005	US 1990-549764	19900709
HU 54280	A2	19910228	HU 1990-4151	19900710
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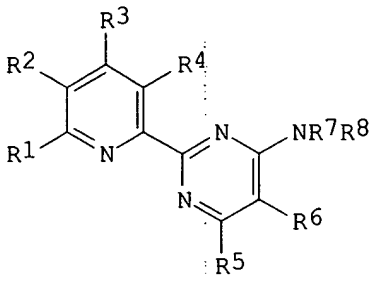
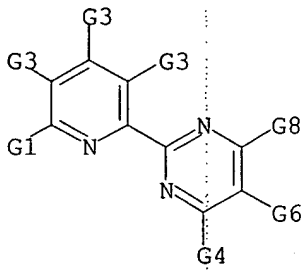


I

AB Title compds. I [R1 = H, alkyl, alkoxyalkyl, phenylalkyl, etc.; R2, R3, R4 = H, alkyl, (un)substituted phenyl; R5 = H, alkyl, cycloalkyl, alkoxy, alkylthio, etc.; R6 = H, alkyl, alkoxy, alkenyloxy, halo, (un)substituted Ph, etc.; R7, R8 = H, alkyl, alkoxyalkyl, phenylalkyl, etc.] were prepared as agricultural fungicides. Thus, 4-chloro-6-methyl-2-(2-methyl-6-pyridinyl)pyrimidine, PrNH2, K2CO3, and PhCH2N+Et3 Cl- were refluxed 7 h in MeCN to give 95% I (R1 = R5 = Me, R2 = R3 = R4 = R6 = R7 = H, R8 = Pr). When applied to barley plants at 500 mg/L of spray, several I showed 100% activity against organisms such as Erysiphe graminis.

MSTR 1



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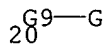
G8 = 20



G9 = NH
G10 = Ph (opt. substd.)
G4 +G6 = G7
Derivative: and acid addition salts
Patent location: claim 1

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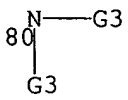
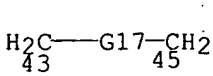


G3 = Ph
G17 = (1-4) CH2
G18 = Ph (opt. substd. by (up to 2) G19)
G12+G16= 43-2 45-1



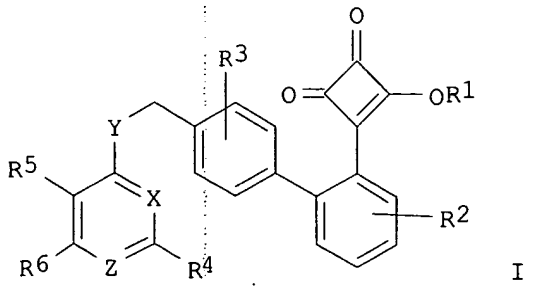
Patent location: claim 14

103

L19 ANSWER 7 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:205384 MARPAT
TITLE: Heterocycles substituted with biphenyl-3-cyclobutene-1,2-dione derivatives as antagonists of angiotensin II receptors
INVENTOR(S): Soll, Richard M.; Kinney, William A.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 782,029, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5330989	A	19940719	US 1992-943614	19920911
PRIORITY APPLN. INFO.:			US 1991-782029	19911024

GI

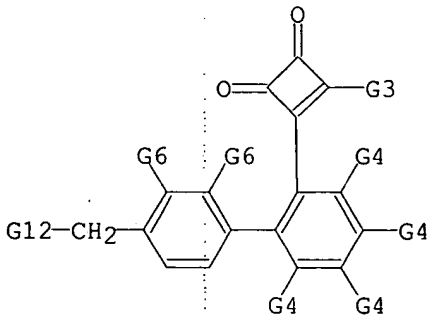


I

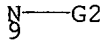
AB The title compds.[I; R1 = H, alkyl, benzyl, alkoxyalkyl, Ph; R2 = H, (un)substituted alkyl, alkoxyalkyl, Ph, alkoxy, F, Cl, Br, I, (un)substituted NH2, etc.; R3 = H, (un)substituted alkyl, benzyl,

alkoxyalkyl, Ph, alkoxy, F, Cl, Br, I, etc.; R4 = H, (un)substituted NH2, OR1, CN, F, Cl, I, Br, perfluoroalkyl, alkyl, Ph, alkoxy, alkoxyalkyl, (CH2)nCO2R1, (un)substituted (CH2)nCONH2; n = 1-5; R5, R6 = H, alkyl, benzyl, alkoxyalkyl, Ph, F, Cl, (un)substituted NH2; R5R6 = a C linking chain of ≤6 linking members; Y = O, (un)substituted NH, etc.; X = N, (un)substituted CH; Z = N, (un)substituted CH], which are angiotensin II antagonists, useful as antihypertensives, etc., are prepared Thus, 3-hydroxy-4-[4'-[[[5,6,7,8-tetrahydro-2-(trifluoromethyl)-4-quinazolinyl]amino]methyl][1,1'-biphenyl]-2-yl]-3-cyclobutene-1,2-dione, m.p. 193° (decomposition), which was prepared in 5 steps from 2-(4'-aminomethylphenyl)nitrobenzene, demonstrated IC50 against 125I-angiotensin II using rat-derived angiotensin II receptors of 25nM.

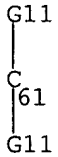
MSTR 2



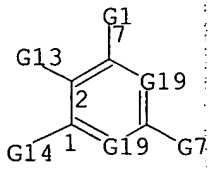
G1 = 9



G2 = Ph
G7 = Ph
G10 = (1-4) 61



G12 = 7



G19 = N
G13+G14= G10

Saloni Sharma

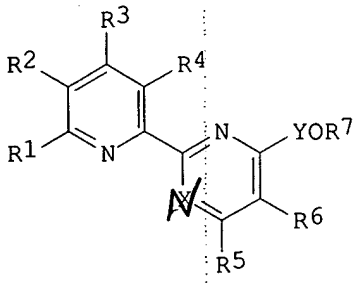
08/15/2006

Derivative: or pharmaceutically acceptable salts
Patent location: disclosure

L19 ANSWER 8 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:134144 MARPAT
TITLE: Substituted pyridine pesticides and agrochemical fungicides
INVENTOR(S): Mueller, Thomas; Eicken, Karl; Harreus, Albrecht; Koenig, Hartmann; Rentzea, Costin; Ammermann, Eberhard; Lorenz, Gisela
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 588146	A2	19940323	EP 1993-113887	19930831
EP 588146	A3	19941026		
EP 588146	B1	19981111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
IL 106786	A1	19970218	IL 1993-106786	19930824
CA 2105001	AA	19940311	CA 1993-2105001	19930827
AT 173254	E	19981115	AT 1993-113887	19930831
US 5346899	A	19940913	US 1993-115041	19930901
AU 9346199	A1	19940317	AU 1993-46199	19930909
AU 664478	B2	19951116		
HU 66580	A2	19941228	HU 1993-2559	19930909
JP 06199792	A2	19940719	JP 1993-225351	19930910
PRIORITY APPLN. INFO.:			DE 1992-4230215	19920910

GI

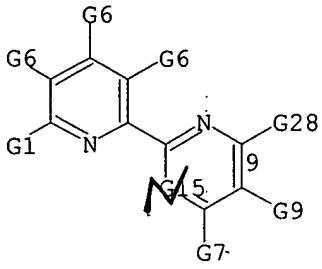


I

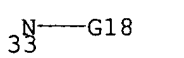
AB The title compds. [I; R1 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, (un)substituted C3-7 cycloalkyl, etc.; R2-R4 = H, C1-6 alkyl, (un)substituted Ph; R5 = H, C1-6 alkyl, C3-7 cycloalkyl, etc.; R6 = H, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxycarbonyl, halogen, (un)substituted Ph; R7 = H, C1-12 alkyl, C3-12 alkenyl, C3-8 alkynyl, monocyclic or polycyclic (un)substituted C5-10 cycloalkenyl, C5-10 cycloalkenyl-substituted Me, etc.; X = CH, N; Y = C(R10):N, NR11; R10 = H, C1-6 alkyl; R11 = H, C1-6 alkyl, (un)substituted C3-8 cycloalkyl, (un)substituted Ph, etc.], useful as agrochem. pesticides and fungicides, are prepared Thus,

4-formyl-2-(2-pyridyl)pyrimidine was condensed with hydroxylammonium chloride, producing I [R1-R6 = H, X = N, Y = C(:NOH)H], m.p. 190°, in 46% yield.

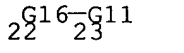
MSTR 1



G10 = (3-4) CH2
G15 = N
G16 = 33



G18 = Ph (opt. substd. by (up to 3) G19)
G28 = 22



G7 +G9 = G10
Derivative: and botanically acceptable acid addition salts and metal complexes
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claims 3, 9 and 11

L19 ANSWER 9 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 115:29367 MARPAT
TITLE: Fungicidal pyridinylpyrimidinamines and their preparation
INVENTOR(S): Giencke, Wolfgang; Sachse, Burkhard; Wicke, Heinrich
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 89 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 407899	A2	19910116	EP 1990-112903	19900706
EP 407899	A3	19910724		

AU 9652874	A1	19961030	AU 1996-52874	19960410
AU 694647	B2	19980723		
EP 826673	A1	19980304	EP 1996-909327	19960410
EP 826673	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1186487	A	19980701	CN 1996-194408	19960410
CN 1094929	B	20021127		
BR 9604894	A	19980714	BR 1996-4894	19960410
RU 2160256	C2	20001210	RU 1997-118591	19960410
SK 281840	B6	20010806	SK 1997-1374	19960410
CZ 289093	B6	20011017	CZ 1997-3223	19960410
RO 117532	B1	20020430	RO 1997-1858	19960410
AT 228113	E	20021215	AT 1996-909327	19960410
PT 826673	T	20030228	PT 1996-909327	19960410
ES 2187644	T3	20030616	ES 1996-909327	19960410
TW 450963	B	20010821	TW 1996-85104372	19960412
NO 9704685	A	19971212	NO 1997-4685	19971010
NO 310619	B1	20010730		
US 5972946	A	19991026	US 1997-930604	19971014
PRIORITY APPLN. INFO.:			JP 1995-113937	19950413
			WO 1996-JP977	19960410

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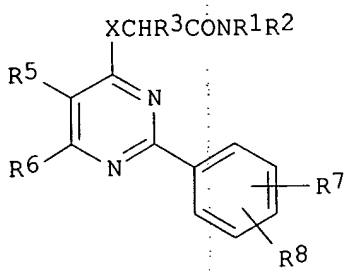

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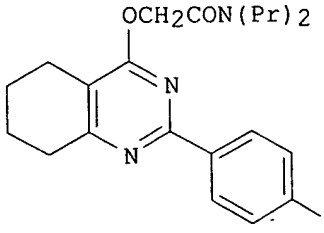
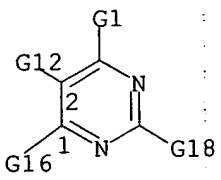
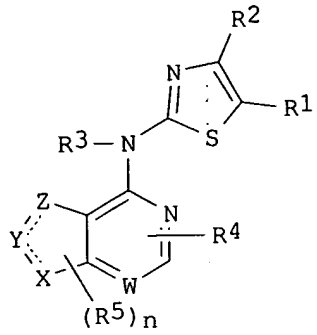


II

AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, lower alkenyl or cycloalkyl(lower)alkyl; R2 represents lower alkyl, cycloalkyl, optionally substituted Ph, etc.; R3 represents H, lower alkyl or hydroxy(lower)alkyl; R4 represents H, lower alkyl, etc.; R5 represents hydroxy(lower)alkyl, etc.; R6 represents H, lower alkyl, CF3 or optionally substituted Ph, or R5 and R6 together form (CH2)n; n = 3 - 6; R7 represents H, halogeno, lower alkyl, lower alkoxy, CF3, OH, NH2, etc.; and R8 represents H, halogeno, lower alkyl or lower alkoxy] are prepared In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II in vitro showed IC50 of 0.89 nM.

MSTR 2





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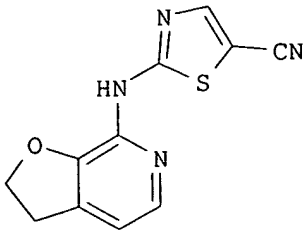
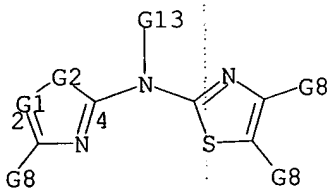


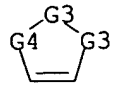
II

AB The present invention relates to the preparation of title compds. I [wherein X, Y, and Z = C, S, N, or O, provided that at least one of X, Y, or Z = C; W = C or N; n = 0-6; R1, R2, and R4 = independently H, perfluoroalkyl(oxy), OH, CN, halo, or (un)substituted (CO)rOs-alkyl, (CO)rOs-alkenyl, (CO)rOs-alkynyl, (CO)rOs-aryl, (CO)rOs-heterocyclyl, or alkyl-NRaRb; R3 = H, SO2Rc, (CO)rRc, or CO2Rc; R5 = R3 or Or(CO)sNRaRb, halo, OH, oxo, perfluoroalkyl(oxy), CHO, CO2H, CN, or (un)substituted (CO)rOs-aryl, (CO)rOs-heterocyclyl, or (CO)rOs-alkyl; r = 0-1; s = 0-1; Ra and Rb = independently H, SO2Rc, CO2Rc, or (un)substituted (CO)r-alkyl, (CO)r-heterocyclyl, or (CO)r-aryl; or NRaRb = (un)substituted monocyclic or bicyclic heterocycle; Rc = (un)substituted alkyl, aryl, benzyl, or heterocyclyl; or pharmaceutically acceptable salts or stereoisomers thereof], which inhibit, regulate, and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions. For example, 7-bromofuro[2,3-c]pyridine was converted to the amine using benzophenone imine, NaOBu-t, racemic BINAP, and Pd2(dba)3 in dry toluene and then hydrogenated with 10% Pd/C in AcOH to give 2,3-dihydrofuro[2,3-c]pyridin-7-amine. Addition of 2-chloro-5-cyanothiazole in the presence of NaH in THF afforded the (furopyridinylamino)thiazolecarbonitrile II. In bioassays, I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.001 μM and 5.0 μM. Thus, I are useful for the treatment of angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

MSTR 1A



G1 = N
G2 = 12-2 16-4



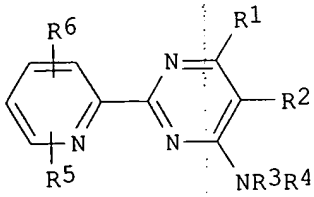
G3 = CH2 (opt. substd.)
G4 = CH2 (opt. substd.)
G8 = Ph
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional oxo substitution also claimed
Stereochemistry: or stereoisomers

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:337914 MARPAT
TITLE: Preparation of 4-amino-2-(pyridin-2-yl)pyrimidines as microbicides.
INVENTOR(S): Haap, Wolfgang; Hoelzl, Werner; Petzold, Karin
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1254903	A1	20021106	EP 2002-405291	20020411
EP 1254903	B1	20050608		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 297390	E	20050615	AT 2002-405291	20020411
ES 2242839	T3	20051116	ES 2002-2405291	20020411
US 2003092718	A1	20030515	US 2002-124198	20020417
US 7015228	B2	20060321		
JP 2003026675	A2	20030129	JP 2002-117360	20020419
PRIORITY APPLN. INFO.:			EP 2001-810387	20010420

GI



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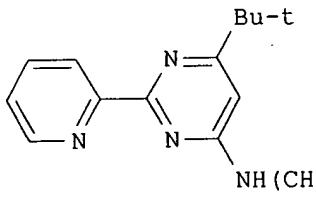
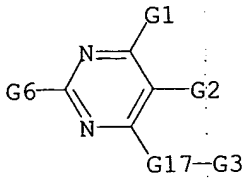


II

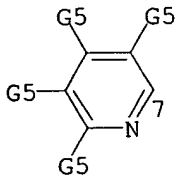
AB Title compds. [I; R1, R2 = H, (mono- or polyhalo-substituted) alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, OH, alkoxyalkyl, carboxy, alkyloxycarbonyl, cyano, (di)alkylamino, alkylaminoalkyl, halo, Ph, (alkyl-, halo-, hydroxy-substituted) phenylalkyl, PhO, phenylalkoxy;

R1R2 = (CH2)m; m = 2-12; R3 = unsubstituted alkyl, amino-, hydroxy-, carboxy- or alkyloxycarbonyl-substituted alkyl, cycloalkyl, alkenyl, alkynyl, cycloalkylalkyl, alkoxyalkyl, R7R8Nalkyl, Ph, phenylalkyl, phenylalkoxy; R4 = H, (alkyl-, halo-, hydroxy-substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, R7R8N-C1-C20alkyl, Ph, phenylalkyl, phenoxyalkyl; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, OH, alkoxy, alkoxyalkyl, carboxy, alkyloxycarbonyl, cyano, NO2, alkylamino, alkylaminoalkyl, haloalkyl, haloalkoxy, halo, (alkyl-, halo-, hydroxy-substituted) Ph, PhO, phenylalkyl, phenylalkoxy; R5R6 = (CH2)m; m = 2-12; R7, R8 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl], were prepared They are suitable for the antimicrobial treatment of surfaces, as antimicrobial active substances against gram-pos. and gram-neg. bacteria. Thus, title compound (II) inhibited Staphylococcus aureus ATCC 9144 with a min. inhibitory concentration of 1.9 μg/mL.

MSTR 1



G3 = Ph
G6 = 7



G11 = (2-12) CH2
G17 = NH
G1 +G2 = G11
Patent location: claim 1

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

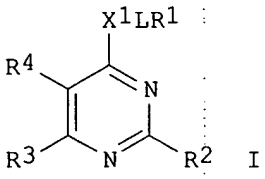
L19 ANSWER 5 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:340517 MARPAT
TITLE: Preparation of heterocycles containing a 4-substituted pyrimidine subunit for pharmaceutical use as mGluR1 antagonists
INVENTOR(S): Ambler, Samantha Jayne; Baker, Stephen Richard; Clark, Barry Peter; Coleman, Darrell Stephen; Foglesong, Robert James; Goldsworthy, John; Jagdmann, Gunnar Erik, Jr.; Johnson, Kirk Willis; Kingston, Ann Elizabeth; Owton, William Martin; Schoepp, Darryle Darwin; Hong, Jian Eric; Schkeryantz, Jeffrey Michael; Vannieuwenhze, Michael Scott; Zia-Ebrahimi, Mohammad Sadegh

PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032632	A2	20010510	WO 2000-US26261	20001019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1230225	A2	20020814	EP 2000-971987	20001019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1999-162900P 19991101
WO 2000-US26261 20001019

GI



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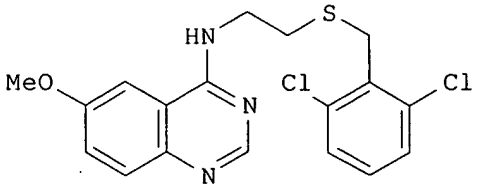
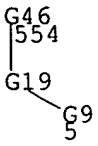


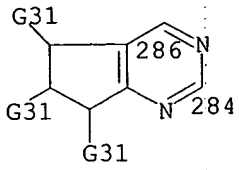
II

AB Heterocycles containing a 4-substituted pyrimidine subunit, such as I [R1 = carbocyclyl, heterocylyl; R2 = H, CN, SCH2CN, halogen, alkylthio, alkoxy, alkylsulfonyl, alkylamino, alkylsulfinyl, etc.; R3, R4 = alkyl; R3R4 = fused heterocycle, such as S(CH2)3, CH2O(CH2)2, CH:CHS, or fused carbocycle, such as CH:CHCH:CH, (CH2)4; L = alkylene or heteroalkylene linking group; X1 = O, NH], were prepd for pharmaceutical use as mGluR1 antagonists for treatment of migraine. Thus, quinazolinine II was prepared in three steps, which included cyclization of 2-amino-5-methoxybenzoic acid with formamidine to form 6-methoxy-4(1H)-quinazolinone, chlorination with phosphorus oxychloride to form 4-chloro-6-methoxyquinazoline followed by amination with 2-(2,6-dichlorobenzylthio)ethylamine. The prepared pyrimidines were tested for mGluR1 and mGluR5 metabotropic glutamate receptor antagonist activity and were found to be 10 fold selective for the mGluR1 receptor.

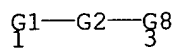
MSTR 1



G1 = NH
G2 = bond
G8 = Ph (opt. substd. by (1-2) G25)
G9 = Ph (opt. substd. by (1-2) G18)
G19 = 286-554 284-5



G46 = 1



Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: also incorporates claim 25, formulas II and IV

L19 ANSWER 6 OF 9 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:18884 MARPAT
TITLE: Preparation and formulation of pyrimidine derivatives as agents with effect on the peripheral benzodiazepine receptors
INVENTOR(S): Murata, Teruya; Hino, Katsuhiko; Furukawa, Kiyoshi; Oka, Makoto; Itoh, Mari
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
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WO 9632383	A1	19961017	WO 1996-JP977	19960410
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML			
IL 117659	A1	20001206	IL 1996-117659	19960326
ZA 9602438	A	19961001	ZA 1996-2438	19960327
CA 2218033	AA	19961017	CA 1996-2218033	19960410